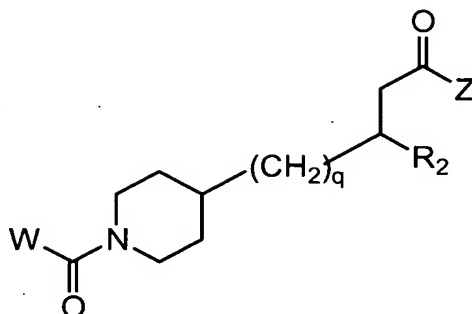


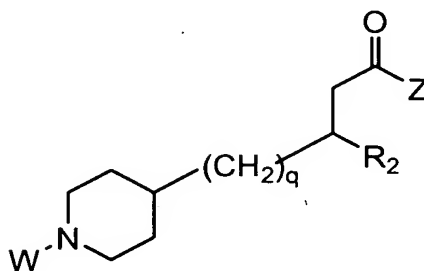
WHAT IS CLAIMED IS:

1. A targeting ligand having a formula selected from the group consisting of  
Formula (I) :



Formula (I)

and Formula (II):



Formula (II)

wherein

W is selected from the group consisting of -C<sub>0-6</sub>alkyl(R<sub>1</sub>), -C<sub>1-6</sub>alkyl(R<sub>1a</sub>),  
-C<sub>0-6</sub>alkyl-aryl(R<sub>1</sub>,R<sub>8</sub>), -C<sub>0-6</sub>alkyl-heterocyclyl(R<sub>1</sub>,R<sub>8</sub>), -C<sub>0-6</sub>alkoxy(R<sub>1</sub>),  
-C<sub>0-6</sub>alkoxy-aryl(R<sub>1</sub>,R<sub>8</sub>), and -C<sub>0-6</sub>alkoxy-heterocyclyl(R<sub>1</sub>,R<sub>8</sub>);

R<sub>1</sub> is selected from the group consisting of hydrogen, -N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)(R<sub>5</sub>), -N(R<sub>4</sub>)(R<sub>6</sub>),  
-heterocyclyl(R<sub>8</sub>) and -heteroaryl(R<sub>8</sub>);

R<sub>1a</sub> is selected from the group consisting of -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>,  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>,  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>,

$-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)-\text{SO}_2-\text{C}_{1-8}\text{alkyl}(\text{R}_7)$  and  $-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)-\text{SO}_2-\text{N}(\text{R}_4)_2$ ;

$\text{R}_4$  is selected from the group consisting of hydrogen and  $-\text{C}_{1-8}\text{alkyl}(\text{R}_7)$ ;

5  $\text{R}_5$  is selected from the group consisting of  $-\text{C}(=\text{O})-\text{R}_4$ ,  $-\text{C}(=\text{O})-\text{N}(\text{R}_4)_2$ ,  
 $-\text{C}(=\text{O})-\text{cycloalkyl}(\text{R}_8)$ ,  $-\text{C}(=\text{O})-\text{heterocyclyl}(\text{R}_8)$ ,  $-\text{C}(=\text{O})-\text{aryl}(\text{R}_8)$ ,  
 $-\text{C}(=\text{O})-\text{heteroaryl}(\text{R}_8)$ ,  $-\text{C}(=\text{O})-\text{N}(\text{R}_4)-\text{cycloalkyl}(\text{R}_8)$ ,  $-\text{C}(=\text{O})-\text{N}(\text{R}_4)-\text{aryl}(\text{R}_8)$ ,  
 $-\text{CO}_2-\text{R}_4$ ,  $-\text{CO}_2-\text{cycloalkyl}(\text{R}_8)$ ,  $-\text{CO}_2-\text{aryl}(\text{R}_8)$ ,  $-\text{C}(\text{R}_4)(=\text{N}-\text{R}_4)$ ,  $-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)_2$ ,  
 $-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)(\text{R}_6)$ ,  $-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)-\text{C}(=\text{O})-\text{R}_4$ ,  
10  $-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)-\text{C}(=\text{O})-\text{N}(\text{R}_4)_2$ ,  $-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)-\text{CO}_2-\text{R}_4$ ,  
 $-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)-\text{SO}_2-\text{C}_{1-8}\text{alkyl}(\text{R}_7)$ ,  $-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)-\text{SO}_2-\text{N}(\text{R}_4)_2$ ,  
 $-\text{N}(\text{R}_4)-\text{C}(\text{R}_4)(=\text{N}-\text{R}_4)$ ,  $-\text{N}(\text{R}_4)-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)_2$ ,  $-\text{N}(\text{R}_4)-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)(\text{R}_6)$ ,  
 $-\text{N}(\text{R}_4)-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)-\text{C}(=\text{O})-\text{R}_4$ ,  $-\text{N}(\text{R}_4)-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)-\text{C}(=\text{O})-\text{N}(\text{R}_4)_2$ ,  
 $-\text{N}(\text{R}_4)-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)-\text{CO}_2-\text{R}_4$ ,  $-\text{N}(\text{R}_4)-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)-\text{SO}_2-\text{C}_{1-8}\text{alkyl}(\text{R}_7)$ ,  
15  $-\text{N}(\text{R}_4)-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)-\text{SO}_2-\text{N}(\text{R}_4)_2$ ,  $-\text{SO}_2-\text{C}_{1-8}\text{alkyl}(\text{R}_7)$ ,  $-\text{SO}_2-\text{N}(\text{R}_4)_2$ ,  
 $-\text{SO}_2-\text{cycloalkyl}(\text{R}_8)$  and  $-\text{SO}_2-\text{aryl}(\text{R}_8)$ ;

$\text{R}_6$  is selected from the group consisting of  $-\text{cycloalkyl}(\text{R}_8)$ ,  $-\text{heterocyclyl}(\text{R}_8)$ ,  $-\text{aryl}(\text{R}_8)$   
and  $-\text{heteroaryl}(\text{R}_8)$ ;

20

$\text{R}_7$  is one to two substituents independently selected from the group consisting of  
hydrogen,  $-\text{C}_{1-8}\text{alkoxy}(\text{R}_9)$ ,  $-\text{NH}_2$ ,  $-\text{NH}-\text{C}_{1-8}\text{alkyl}(\text{R}_9)$ ,  $-\text{N}(\text{C}_{1-8}\text{alkyl}(\text{R}_9))_2$ ,  $-\text{C}(=\text{O})\text{H}$ ,  
 $-\text{C}(=\text{O})-\text{C}_{1-8}\text{alkyl}(\text{R}_9)$ ,  $-\text{C}(=\text{O})-\text{NH}_2$ ,  $-\text{C}(=\text{O})-\text{NH}-\text{C}_{1-8}\text{alkyl}(\text{R}_9)$ ,  
 $-\text{C}(=\text{O})-\text{N}(\text{C}_{1-8}\text{alkyl}(\text{R}_9))_2$ ,  $-\text{C}(=\text{O})-\text{NH}-\text{aryl}(\text{R}_{10})$ ,  $-\text{C}(=\text{O})-\text{cycloalkyl}(\text{R}_{10})$ ,  
25  $-\text{C}(=\text{O})-\text{heterocyclyl}(\text{R}_{10})$ ,  $-\text{C}(=\text{O})-\text{aryl}(\text{R}_{10})$ ,  $-\text{C}(=\text{O})-\text{heteroaryl}(\text{R}_{10})$ ,  $-\text{CO}_2\text{H}$ ,  
 $-\text{CO}_2-\text{C}_{1-8}\text{alkyl}(\text{R}_9)$ ,  $-\text{CO}_2-\text{aryl}(\text{R}_{10})$ ,  $-\text{C}(=\text{NH})-\text{NH}_2$ ,  $-\text{SH}$ ,  $-\text{S}-\text{C}_{1-8}\text{alkyl}(\text{R}_9)$ ,  
 $-\text{S}-\text{C}_{1-8}\text{alkyl}-\text{S}-\text{C}_{1-8}\text{alkyl}(\text{R}_9)$ ,  $-\text{S}-\text{C}_{1-8}\text{alkyl}-\text{C}_{1-8}\text{alkoxy}(\text{R}_9)$ ,  
 $-\text{S}-\text{C}_{1-8}\text{alkyl}-\text{NH}-\text{C}_{1-8}\text{alkyl}(\text{R}_9)$ ,  $-\text{SO}_2-\text{C}_{1-8}\text{alkyl}(\text{R}_9)$ ,  $-\text{SO}_2-\text{NH}_2$ ,  
 $-\text{SO}_2-\text{NH}-\text{C}_{1-8}\text{alkyl}(\text{R}_9)$ ,  $-\text{SO}_2-\text{N}(\text{C}_{1-8}\text{alkyl}(\text{R}_9))_2$ ,  $-\text{SO}_2-\text{aryl}(\text{R}_{10})$ , cyano, (halo)<sub>1-3</sub>,  
30 hydroxy, nitro, oxo,  $-\text{cycloalkyl}(\text{R}_{10})$ ,  $-\text{heterocyclyl}(\text{R}_{10})$ ,  $-\text{aryl}(\text{R}_{10})$  and  
 $-\text{heteroaryl}(\text{R}_{10})$ ;

R<sub>8</sub> is one to four substituents independently selected from the group consisting of

hydrogen, -C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)-NH<sub>2</sub>,  
 -C(=O)-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>),  
 -C(=O)-cycloalkyl(R<sub>10</sub>), -C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>),  
 5 -C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>,  
 -SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
 -SO<sub>2</sub>-aryl(R<sub>10</sub>), -cycloalkyl(R<sub>10</sub>) and -aryl(R<sub>10</sub>) when attached to a nitrogen atom;  
 and, wherein R<sub>8</sub> is one to four substituents independently selected from the group  
 consisting of hydrogen, -C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C<sub>1-8</sub>alkoxy(R<sub>9</sub>), -O-cycloalkyl(R<sub>10</sub>),  
 10 -O-aryl(R<sub>10</sub>), -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NHC(=O)-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
 -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
 -C(=O)-NH-aryl(R<sub>10</sub>), -NHC(=O)-NH<sub>2</sub>, -NHC(=O)-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
 -NHC(=O)-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -NHC(=O)-NH-aryl(R<sub>10</sub>),  
 -NHC(=O)-O-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NHC(=O)-O-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>),  
 15 -C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>),  
 -NHC(=O)-cycloalkyl(R<sub>10</sub>), -NHC(=O)-heterocyclyl(R<sub>10</sub>), -NHC(=O)-aryl(R<sub>10</sub>),  
 -NHC(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>),  
 -C(=NH)-NH<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
 -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>), -NHSO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NHSO<sub>2</sub>-aryl(R<sub>10</sub>),  
 20 -SH, -S-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-8</sub>alkyl-S-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-8</sub>alkyl-C<sub>1-8</sub>alkoxy(R<sub>9</sub>),  
 -S-C<sub>1-8</sub>alkyl-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, cyano,  
 halo, hydroxy, nitro, oxo, -cycloalkyl(R<sub>10</sub>), -heterocyclyl(R<sub>10</sub>), -aryl(R<sub>10</sub>), and  
 -heteroaryl(R<sub>10</sub>) when attached to a carbon atom;

25 R<sub>9</sub> is selected from the group consisting of hydrogen, -C<sub>1-8</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl,  
 -N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-8</sub>alkyl, -C(=O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub>,  
 -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl,  
 -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, cyano, (halo)<sub>1-3</sub>, hydroxy, nitro and oxo;

30 R<sub>10</sub> is one to four substituents independently selected from the group consisting of  
 hydrogen, -C<sub>1-8</sub>alkyl, -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl, -C(=O)-NH<sub>2</sub>,  
 -C(=O)-NH-C<sub>1-8</sub>alkyl, -C(=O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl,

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-SO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl and -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl)<sub>2</sub> when attached to a nitrogen atom; and, wherein R<sub>10</sub> is one to four substituents independently selected from the group consisting of hydrogen, -C<sub>1-8</sub>alkyl, -C<sub>1-8</sub>alkoxy, -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-8</sub>alkyl, -C(=O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl, -N(C<sub>1-8</sub>alkyl)<sub>2</sub>, cyano, halo, hydroxy, nitro and oxo when attached to a carbon atom;

q is 0, 1, 2, or 3;

R<sub>2a</sub> is selected from the group consisting of -C<sub>1-8</sub>alkyl(R<sub>7</sub>)(R<sub>11</sub>), -C<sub>2-8</sub>alkenyl(R<sub>7</sub>)(R<sub>11</sub>), -C<sub>2-8</sub>alkynyl(R<sub>7</sub>)(R<sub>11</sub>), -cycloalkyl(R<sub>7</sub>)(R<sub>11</sub>), -heterocyclyl(R<sub>8</sub>)(R<sub>12</sub>), -aryl(R<sub>8</sub>)(R<sub>12</sub>) and -heteroaryl(R<sub>8</sub>)(R<sub>12</sub>);

R<sub>11</sub> is selected from the group consisting of -C<sub>1-8</sub>alkyl(R<sub>14</sub>), -O-C<sub>1-8</sub>alkyl(R<sub>14</sub>), -NH-C<sub>1-8</sub>alkyl(R<sub>14</sub>), -S-C<sub>1-8</sub>alkyl(R<sub>14</sub>), -C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>), -O-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>), -C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>), -C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>), -O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>), -O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>), -NH-C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>), -NH-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>), -C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>), -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>), -SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>), -OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>), -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>), -SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>), -OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>), -OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),

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- OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 5 -SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -C(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 -OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 -OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 10 -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 -NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 -NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 -NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 -SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>), and  
 15 -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>);

R<sub>12</sub> is selected from the group consisting of -C<sub>1-8</sub>alkyl(R<sub>14</sub>), -O-C<sub>1-8</sub>alkyl(R<sub>14</sub>),

- NH-C<sub>1-8</sub>alkyl(R<sub>14</sub>), -S-C<sub>1-8</sub>alkyl(R<sub>14</sub>), -CH<sub>2</sub>O-C<sub>1-8</sub>alkyl(R<sub>14</sub>),  
 -CH<sub>2</sub>NH-C<sub>1-8</sub>alkyl(R<sub>14</sub>), -CH<sub>2</sub>S-C<sub>1-8</sub>alkyl(R<sub>14</sub>), -C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>),  
 20 -O-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>),  
 -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>), -CH<sub>2</sub>NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>),  
 -C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>), -C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>),  
 -O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>), -O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>),  
 -NH-C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>), -NH-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>),  
 25 -CH<sub>2</sub>O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>), -CH<sub>2</sub>O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>),  
 -CH<sub>2</sub>NH-C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>), -CH<sub>2</sub>NH-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>),  
 -C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
 -NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
 -CH<sub>2</sub>NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
 30 -O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
 -CH<sub>2</sub>O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -CH<sub>2</sub>NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
 -C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),

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- NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -CH<sub>2</sub>O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),
- CH<sub>2</sub>NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),
- OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- 5      -SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- 10      -OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- 15      -SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- 20      -CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- CH<sub>2</sub>OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- 25      -CH<sub>2</sub>OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- CH<sub>2</sub>NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- CH<sub>2</sub>NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- C(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- 30      -OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),

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-NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
-NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
-NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
-SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
5 -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
-CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
-CH<sub>2</sub>OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
-CH<sub>2</sub>OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
-CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
10 -CH<sub>2</sub>NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>), and  
-CH<sub>2</sub>NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>);

R<sub>14</sub> when R<sub>11</sub> and R<sub>12</sub> terminates with a C(=O) is selected from the group consisting of hydrogen, OH, , -OC<sub>1-4</sub>alkyl and NH<sub>2</sub>; otherwise R<sub>14</sub> is selected from the group  
15 consisting of -OH, -SH, COOH, and -COOC<sub>1-4</sub>alkyl;

Z is selected from the group consisting of hydroxy, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl,  
-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -O-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-OH, -O-C<sub>1-8</sub>alkylC<sub>1-8</sub>alkoxy, -O-  
C<sub>1-8</sub>alkylcarbonylC<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-CO<sub>2</sub>H, -O-C<sub>1-8</sub>alkyl-C(O)O-C<sub>1-8</sub>alkyl, -O-  
20 C<sub>1-8</sub>alkyl-O-C(O)C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-NH<sub>2</sub>, -O-C<sub>1-8</sub>alkyl-NH-C<sub>1-8</sub>alkyl, -O-  
C<sub>1-8</sub>alkyl-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -O-C<sub>1-8</sub>alkylamide, -O-C<sub>1-8</sub>alkyl-C(O)-NH-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-C(O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub> and -NHC(O)C<sub>1-8</sub>alkyl;

and pharmaceutically acceptable salts, racemic mixtures and enantiomers thereof.

- 25
2. The targeting ligand of claim 1 wherein W is selected from the group consisting of -C<sub>0-4</sub>alkyl(R<sub>1</sub>) and -C<sub>0-4</sub>alkyl-aryl(R<sub>1</sub>,R<sub>8</sub>).
3. The targeting ligand of claim 1 wherein W is -C<sub>0-4</sub>alkyl(R<sub>1</sub>) or  
30 -C<sub>0-4</sub>alkyl-phenyl(R<sub>1</sub>,R<sub>8</sub>).
4. The targeting ligand of claim 1 wherein R<sub>1</sub> is selected from the group consisting

of -N(R<sub>4</sub>)(R<sub>6</sub>), -heterocyclyl(R<sub>8</sub>) and -heteroaryl(R<sub>8</sub>).

5. The targeting ligand of claim 1 wherein R<sub>1</sub> is selected from the group consisting of -N(R<sub>4</sub>)(R<sub>6</sub>), -dihydro-1*H*-pyrrolo[2,3-*b*]pyridinyl(R<sub>8</sub>),  
 5 -tetrahydropyrimidinyl(R<sub>8</sub>), -tetrahydro-1,8-naphthyridinyl(R<sub>8</sub>),  
 -tetrahydro-1*H*-azepino[2,3-*b*]pyridinyl(R<sub>8</sub>) and -pyridinyl(R<sub>8</sub>).
6. The targeting ligand of claim 1 wherein R<sub>1</sub> is selected from the group consisting of -N(R<sub>4</sub>)(R<sub>6</sub>), -tetrahydropyrimidinyl(R<sub>8</sub>) and  
 10 -tetrahydro-1,8-naphthyridinyl(R<sub>8</sub>).
7. The targeting ligand of claim 1 wherein R<sub>1a</sub> is selected from the group consisting of -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>),  
 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>,  
 15 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>) and  
 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>.
8. The targeting ligand of claim 1 wherein R<sub>4</sub> is selected from the group consisting of hydrogen and -C<sub>1-4</sub>alkyl(R<sub>7</sub>).
9. The targeting ligand of claim 1 wherein R<sub>4</sub> is hydrogen.
10. The targeting ligand of claim 1 wherein R<sub>5</sub> is selected from the group consisting of -C(=O)-R<sub>4</sub>, -C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=O)-cycloalkyl(R<sub>8</sub>), -C(=O)-heterocyclyl(R<sub>8</sub>),  
 25 -C(=O)-aryl(R<sub>8</sub>), -C(=O)-heteroaryl(R<sub>8</sub>), -C(=O)-N(R<sub>4</sub>)-cycloalkyl(R<sub>8</sub>),  
 -C(=O)-N(R<sub>4</sub>)-aryl(R<sub>8</sub>), -CO<sub>2</sub>-R<sub>4</sub>, -CO<sub>2</sub>-cycloalkyl(R<sub>8</sub>), -CO<sub>2</sub>-aryl(R<sub>8</sub>),  
 -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>),  
 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>,  
 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>),  
 30 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(R<sub>4</sub>)(=N-R<sub>4</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>,  
 -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>,  
 -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>,



-N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>),  
 -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>), -SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>,  
 -SO<sub>2</sub>-cycloalkyl(R<sub>8</sub>) and -SO<sub>2</sub>-aryl(R<sub>8</sub>).

- 5 11. The targeting ligand of claim 1 wherein R<sub>5</sub> is selected from the group consisting of -C(=O)-R<sub>4</sub>, -C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -CO<sub>2</sub>-R<sub>4</sub>, -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -N(R<sub>4</sub>)-C(R<sub>4</sub>)(=N-R<sub>4</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>) and -SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>.
- 10 12. The targeting ligand of claim 1 wherein R<sub>6</sub> is selected from the group consisting of -heterocyclyl(R<sub>8</sub>) and -heteroaryl(R<sub>8</sub>).
13. The targeting ligand of claim 1 wherein R<sub>6</sub> is selected from the group consisting of -dihydroimidazolyl(R<sub>8</sub>), -tetrahydropyridinyl(R<sub>8</sub>), -tetrahydropyrimidinyl(R<sub>8</sub>)  
15 and -pyridinyl(R<sub>8</sub>).
14. The targeting ligand of claim 1 wherein R<sub>7</sub> is one to two substituents independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
20 -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>), -C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>, -SH, -S-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-4</sub>alkyl-S-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-4</sub>alkyl-C<sub>1-4</sub>alkoxy(R<sub>9</sub>),  
25 -S-C<sub>1-4</sub>alkyl-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>), cyano, (halo)<sub>1-3</sub>, hydroxy, nitro, oxo, -cycloalkyl(R<sub>10</sub>), -heterocyclyl(R<sub>10</sub>), -aryl(R<sub>10</sub>) and -heteroaryl(R<sub>10</sub>).
- 30 15. The targeting ligand of claim 1 wherein R<sub>7</sub> is one to two substituents independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, (halo)<sub>1-3</sub>, hydroxy and oxo.

16. The targeting ligand of claim 1 wherein R<sub>7</sub> is hydrogen.

17. The targeting ligand of claim 1 wherein R<sub>8</sub> is one to four substituents

independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
 -C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
 -C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>),  
 -C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H,  
 -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
 -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>),  
 -cycloalkyl(R<sub>10</sub>) and -aryl(R<sub>10</sub>) when attached to a nitrogen atom; and, wherein  
 R<sub>8</sub> is one to four substituents independently selected from the group consisting  
 of hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -O-cycloalkyl(R<sub>10</sub>), -O-aryl(R<sub>10</sub>),  
 -C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
 -C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>11</sub>))<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>),  
 -C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H,  
 -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
 -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>),  
 -SH, -S-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-4</sub>alkyl-S-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-4</sub>alkyl-C<sub>1-4</sub>alkoxy(R<sub>9</sub>),  
 -S-C<sub>1-4</sub>alkyl-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
 cyano, halo, hydroxy, nitro, oxo, -cycloalkyl(R<sub>10</sub>), -heterocyclyl(R<sub>10</sub>), -aryl(R<sub>10</sub>)  
 and -heteroaryl(R<sub>10</sub>) when attached to a carbon atom.

18. The targeting ligand of claim 1 wherein R<sub>8</sub> is one to four substituents

independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
 -C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
 -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>) and -SO<sub>2</sub>-NH<sub>2</sub> when attached to a nitrogen atom;  
 and, wherein R<sub>8</sub> is one to four substituents independently selected from the  
 group consisting of hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -O-aryl(R<sub>10</sub>),  
 -C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
 -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
 -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, cyano, halo, hydroxy, nitro and oxo when attached to a

carbon atom.

19. The targeting ligand of claim 1 wherein R<sub>8</sub> is one to four substituents independently selected from the group consisting of hydrogen and  
 5 -C<sub>1-4</sub>alkyl(R<sub>9</sub>) when attached to a nitrogen atom; and, wherein R<sub>8</sub> is one to four substituents independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -O-aryl(R<sub>10</sub>), -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, halo, hydroxy and oxo when attached to a carbon atom.
- 10 20. The targeting ligand of claim 1 wherein R<sub>8</sub> is one to four substituents independently selected from the group consisting of hydrogen and -C<sub>1-4</sub>alkyl(R<sub>9</sub>) when attached to a nitrogen atom; and, wherein R<sub>8</sub> is one to four substituents independently selected from the group consisting of hydrogen,  
 15 -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -O-aryl(R<sub>10</sub>) and hydroxy when attached to a carbon atom.
21. The targeting ligand of claim 1 wherein R<sub>9</sub> is selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -C(=O)H,  
 20 -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl, -C(=O)-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, cyano, (halo)<sub>1-3</sub>, hydroxy, nitro and oxo.
22. The targeting ligand of claim 1 wherein R<sub>9</sub> is selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -C(=O)H,  
 25 -CO<sub>2</sub>H, -C(=O)-C<sub>1-4</sub>alkoxy, (halo)<sub>1-3</sub>, hydroxy and oxo.
23. The targeting ligand of claim 1 wherein R<sub>9</sub> is selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, (halo)<sub>1-3</sub> and hydroxy.  
 30
24. The targeting ligand claim 1 wherein R<sub>10</sub> is one to four substituents independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkyl,

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-C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl,  
-C(=O)-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>,  
-SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl and -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl)<sub>2</sub> when attached to a nitrogen atom;  
and, wherein R<sub>10</sub> is one to four substituents independently selected from the  
group consisting of hydrogen, -C<sub>1-4</sub>alkyl, -C<sub>1-4</sub>alkoxy, -C(=O)H,  
-C(=O)-C<sub>1-4</sub>alkyl, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl, -C(=O)-N(C<sub>1-4</sub>alkyl)<sub>2</sub>,  
-CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl,  
-SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, cyano, halo, hydroxy,  
nitro and oxo when attached to a carbon atom.

25. The targeting ligand of claim 1 wherein (R<sub>10</sub>)<sub>1-4</sub> is selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkyl, -C<sub>1-4</sub>alkoxy, -C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, halo, hydroxy, nitro and oxo when attached to a carbon atom.
26. The targeting ligand of claim 1 wherein R<sub>10</sub> is hydrogen.
27. The targeting ligand of claim 1 wherein R<sub>2a</sub> is selected from the group consisting of -C<sub>1-4</sub>alkyl (R<sub>7</sub>)(R<sub>11</sub>), -C<sub>2-4</sub>alkenyl(R<sub>7</sub>)(R<sub>11</sub>), -C<sub>2-4</sub>alkynyl(R<sub>7</sub>)(R<sub>11</sub>), -cycloalkyl(R<sub>7</sub>)(R<sub>11</sub>), -heterocyclyl(R<sub>8</sub>)(R<sub>12</sub>), -aryl(R<sub>8</sub>)(R<sub>12</sub>), and -heteroaryl(R<sub>8</sub>)(R<sub>12</sub>).
28. The targeting ligand of claim 1 wherein R<sub>2a</sub> is selected from the group consisting of -cycloalkyl(R<sub>7</sub>)(R<sub>11</sub>), -heterocyclyl(R<sub>8</sub>)(R<sub>12</sub>), -aryl(R<sub>8</sub>)(R<sub>12</sub>), and -heteroaryl(R<sub>8</sub>)(R<sub>11</sub>).
29. The targeting ligand of claim 1 wherein R<sub>2a</sub> is selected from the group consisting of -cycloalkyl(R<sub>7</sub>)(R<sub>11</sub>), -heterocyclyl(R<sub>8</sub>)(R<sub>12</sub>), -phenyl(R<sub>8</sub>)(R<sub>12</sub>), -naphthalenyl(R<sub>8</sub>)(R<sub>12</sub>), and -heteroaryl(R<sub>8</sub>)(R<sub>11</sub>).
30. The targeting ligand claim 1 wherein R<sub>2a</sub> is selected from the group consisting of -tetrahydropyrimidinyl(R<sub>8</sub>)(R<sub>12</sub>), -1,3-benzodioxolyl(R<sub>8</sub>)(R<sub>12</sub>),

-dihydrobenzofuranyl(R<sub>8</sub>)(R<sub>12</sub>), -tetrahydroquinoliny(R<sub>8</sub>)(R<sub>12</sub>),  
 -phenyl(R<sub>8</sub>)(R<sub>12</sub>), -naphthalenyl(R<sub>8</sub>)(R<sub>12</sub>), -pyridinyl(R<sub>8</sub>)(R<sub>12</sub>),  
 -pyrimidinyl(R<sub>8</sub>)(R<sub>12</sub>), and -quinoliny(R<sub>8</sub>)(R<sub>12</sub>).

- 5        31.    The targeting ligand of claim 1 wherein R<sub>11</sub> is selected from the group  
 consisting of -C<sub>1-8</sub>alkyl(R<sub>14</sub>), -O-C<sub>1-8</sub>alkyl(R<sub>14</sub>), -NH-C<sub>1-8</sub>alkyl(R<sub>14</sub>),  
 -S-C<sub>1-8</sub>alkyl(R<sub>14</sub>), -C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>), -O-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>),  
 -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>), -C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>), -C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>),  
 -O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>), -O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>),  
 10        -O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
 -NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
 -O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
 -C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
 -NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
 15        -SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -C(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 -OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 20        -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 -NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 and -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>).
- 25        32.    The targeting ligand of claim 1 wherein R<sub>11</sub> is selected from the group  
 consisting of -C<sub>1-8</sub>alkyl(R<sub>14</sub>), -O-C<sub>1-8</sub>alkyl(R<sub>14</sub>), -NH-C<sub>1-8</sub>alkyl(R<sub>14</sub>),  
 -S-C<sub>1-8</sub>alkyl(R<sub>14</sub>), -C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>), -O-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>),  
 -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>), -C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>), -C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>),  
 -O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>), -O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>),  
 -O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
 30        -C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
 -NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
 -O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), and -NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>).

33. The targeting ligand of claim 1 wherein  $R_{12}$  is selected from the group consisting of  $-C_{1-6}\text{alkyl}(R_{14})$ ,  $-O-C_{1-6}\text{alkyl}(R_{14})$ ,  $-NH-C_{1-4}\text{alkyl}(R_{14})$ ,  $-S-C_{1-6}\text{alkyl}(R_{14})$ ,  $-CH_2O-C_{1-6}\text{alkyl}(R_{14})$ ,  $-CH_2NH-C_{1-6}\text{alkyl}(R_{14})$ ,  $-CH_2S-C_{1-6}\text{alkyl}(R_{14})$ ,  $-C(=O)C_{1-6}\text{alkyl}(R_{14})$ ,  $-O-C(=O)C_{1-6}\text{alkyl}(R_{14})$ ,  $-NH-C(=O)C_{1-8}\text{alkyl}(R_{14})$ ,  $-CH_2O-C(=O)C_{1-8}\text{alkyl}(R_{14})$ ,  $-CH_2NH-C(=O)C_{1-6}\text{alkyl}(R_{14})$ ,  $-C(=O)OC_{1-6}\text{alkyl}(R_{14})$ ,  $-C(=O)NHC_{1-6}\text{alkyl}(R_{14})$ ,  $-O-C(=O)OC_{1-6}\text{alkyl}(R_{14})$ ,  $-O-C(=O)NHC_{1-6}\text{alkyl}(R_{14})$ ,  $-NH-C(=O)OC_{1-6}\text{alkyl}(R_{14})$ ,  $-NH-C(=O)NHC_{1-6}\text{alkyl}(R_{14})$ ,  $-NH-C(=O)C_{1-6}\text{alkyl}C(=O)(R_{14})$ ,  $-CH_2O-C(=O)C_{1-8}\text{alkyl}C(=O)(R_{14})$ ,  $-NH-C(=O)NHC_{1-8}\text{alkyl}C(=O)(R_{14})$ ,  $-CH_2O-C(=O)NHC_{1-8}\text{alkyl}C(=O)(R_{14})$ ,  $-CH_2NH-C(=O)NHC_{1-8}\text{alkyl}C(=O)(R_{14})$ ,  $-OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$ ,  $-NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$ ,  $-SCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$ ,  $-OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$ ,  $-NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$ ,  $-OC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$ ,  $-NH(C=O)CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$ ,  $-NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$ ,  $-NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$ ,  $-SO_2CH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$ ,  $-SO_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$ ,  $-CH_2OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$ ,  $-CH_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$ ,  $-CH_2SCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$ ,  $-CH_2OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$ ,  $-OC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$ ,  $-NH(C=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$ ,  $-NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$ ,  $-NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$ ,

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- CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- CH<sub>2</sub>NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>), and
- CH<sub>2</sub>NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>).

5

34. The targeting ligand of claim 1 wherein q is 1, 2 or 3.

35. The targeting ligand claim 1 wherein Z is selected from the group consisting of hydroxy, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl, -N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -O-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-OH, -O-C<sub>1-8</sub>alkylC<sub>1-4</sub>alkoxy, -O-C<sub>1-8</sub>alkylcarbonylC<sub>1-4</sub>alkyl, -O-C<sub>1-8</sub>alkyl-CO<sub>2</sub>H, -O-C<sub>1-8</sub>alkyl-C(O)O-C<sub>1-6</sub>alkyl, C<sub>1-8</sub>alkyl-OC(O)-C<sub>1-6</sub>alkyl, -O-C<sub>1-8</sub>alkyl-NH<sub>2</sub>, -O-C<sub>1-8</sub>alkyl-NH-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -O-C<sub>1-8</sub>alkylamide, C<sub>1-8</sub>alkyl-C(O)-NH-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-C(O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub> and -NHC(O)C<sub>1-8</sub>alkyl.

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36. The targeting ligand of claim 1 wherein the targeting ligand is conjugated to an radioactive element.

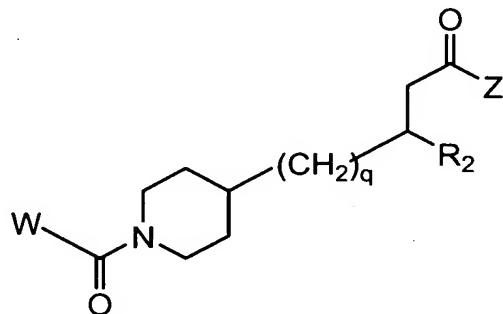
37. The targeting ligand of claim 1 wherein the targeting ligand is conjugated to an imagining agent.

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38. The targeting ligand of claim 37 wherein the imagining agent is selected from the group consisting of <sup>99</sup>Tc, <sup>125</sup>I, <sup>18</sup>F, <sup>11</sup>C, and <sup>64</sup>Cu.

25

39. A targeting ligand of Formula (I):



Formula (I)

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wherein

W is selected from the group consisting of -C<sub>0-4</sub>alkyl(R<sub>1</sub>) and -C<sub>0-4</sub>alkyl-phenyl(R<sub>1</sub>,R<sub>8</sub>);

R<sub>1</sub> is -NH(R<sub>6</sub>);

- 5 R<sub>2a</sub> is selected from the group consisting of -tetrahydropyrimidinyl(R<sub>8</sub>)(R<sub>12</sub>),  
-1,3-benzodioxolyl(R<sub>8</sub>)(R<sub>12</sub>), -dihydrobenzofuranyl(R<sub>8</sub>)(R<sub>12</sub>),  
-tetrahydroquinolinyl(R<sub>8</sub>)(R<sub>12</sub>), -phenyl(R<sub>8</sub>)(R<sub>12</sub>), -naphthalenyl(R<sub>8</sub>)(R<sub>12</sub>),  
-pyridinyl(R<sub>8</sub>)(R<sub>12</sub>), -pyrimidinyl(R<sub>8</sub>)(R<sub>12</sub>), and -quinolinyl(R<sub>8</sub>)(R<sub>12</sub>).

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R<sub>6</sub> is selected from the group consisting of -dihydroimidazolyl(R<sub>8</sub>),  
-tetrahydropyridinyl(R<sub>8</sub>), -tetrahydropyrimidinyl(R<sub>8</sub>) and -pyridinyl(R<sub>8</sub>);

15

R<sub>8</sub> is one to four substituents independently selected from the group consisting of  
hydrogen and -C<sub>1-4</sub>alkyl(R<sub>9</sub>) when attached to a nitrogen atom; and, wherein R<sub>8</sub> is  
one to four substituents independently selected from the group consisting of  
hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -O-aryl(R<sub>10</sub>) and hydroxy when attached  
to a carbon atom;

20

R<sub>9</sub> is selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl,  
-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, (halo)<sub>1-3</sub> and hydroxy;

25

R<sub>10</sub> is independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkyl,  
-C<sub>1-4</sub>alkoxy, -C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -NH<sub>2</sub>,  
-NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, halo, hydroxy, nitro and oxo when attached to a  
carbon atom;

q is 1, 2 or 3;

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R<sub>12</sub> is selected from the group consisting of -C<sub>1-6</sub>alkyl(R<sub>14</sub>), -O-C<sub>1-6</sub>alkyl(R<sub>14</sub>),  
-NH-C<sub>1-4</sub>alkyl(R<sub>14</sub>), -S-C<sub>1-6</sub>alkyl(R<sub>14</sub>), -CH<sub>2</sub>O-C<sub>1-6</sub>alkyl(R<sub>14</sub>),  
-CH<sub>2</sub>NH-C<sub>1-6</sub>alkyl(R<sub>14</sub>), -CH<sub>2</sub>S-C<sub>1-6</sub>alkyl(R<sub>14</sub>), -C(=O)C<sub>1-6</sub>alkyl(R<sub>14</sub>),



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- O-C(=O)C<sub>1-6</sub>alkyl(R<sub>14</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>),  
 -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>), -CH<sub>2</sub>NH-C(=O)C<sub>1-6</sub>alkyl(R<sub>14</sub>),  
 -C(=O)OC<sub>1-6</sub>alkyl(R<sub>14</sub>), -C(=O)NHC<sub>1-6</sub>alkyl(R<sub>14</sub>),  
 -O-C(=O)OC<sub>1-6</sub>alkyl(R<sub>14</sub>), -O-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>14</sub>),  
 5 -NH-C(=O)OC<sub>1-6</sub>alkyl(R<sub>14</sub>), -NH-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>14</sub>),  
 -NH-C(=O)C<sub>1-6</sub>alkylC(=O)(R<sub>14</sub>), -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
 -NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -CH<sub>2</sub>O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
 -CH<sub>2</sub>NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
 -OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 10 -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 15 -NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 20 -CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 25 -NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 -NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 -NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 -CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 -CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 30 -CH<sub>2</sub>NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>), and  
 -CH<sub>2</sub>NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>);

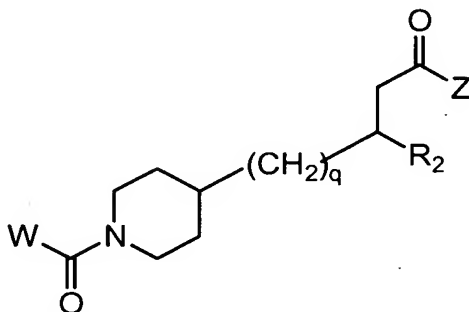
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R<sub>14</sub> when R<sub>11</sub> and R<sub>12</sub> terminates with a C(=O) is selected from the group consisting of hydrogen, OH, , -OC<sub>1-4</sub>alkyl and NH<sub>2</sub>; otherwise R<sub>14</sub> is selected from the group consisting of -OH, -SH, COOH, and -COOC<sub>1-4</sub>alkyl;

Z is selected from the group consisting hydroxy, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl, -N(C<sub>1-8</sub>alkyl)<sub>2</sub>, O-C<sub>1-8</sub>alkyl-OH, -O-C<sub>1-8</sub>alkylC<sub>1-8</sub>alkoxy, -O-C<sub>1-8</sub>alkylcarbonylC<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-CO<sub>2</sub>H, -O-C<sub>1-8</sub>alkyl-C(O)O-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-O-C(O)C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-NH<sub>2</sub>, -O-C<sub>1-8</sub>alkyl-NH-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -O-C<sub>1-8</sub>alkylamide, -O-C<sub>1-8</sub>alkyl-C(O)-NH-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-C(O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub> and -NHC(O)C<sub>1-8</sub>alkyl;

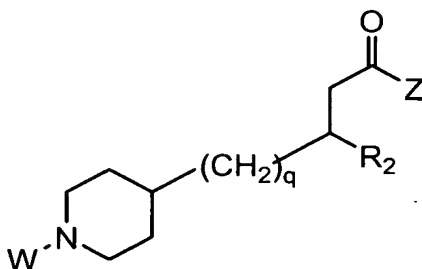
and pharmaceutically acceptable salts, racemic mixtures and enantiomers thereof.

40. A targeting conjugate having a formula selected from the group consisting of Formula (I):



Formula (I)

and Formula (II):



Formula (II)

wherein

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W is selected from the group consisting of -C<sub>0-6</sub>alkyl(R<sub>1</sub>), -C<sub>1-6</sub>alkyl(R<sub>1a</sub>),  
-C<sub>0-6</sub>alkyl-aryl(R<sub>1</sub>,R<sub>8</sub>), -C<sub>0-6</sub>alkyl-heterocyclyl(R<sub>1</sub>,R<sub>8</sub>), -C<sub>0-6</sub>alkoxy(R<sub>1</sub>),  
-C<sub>0-6</sub>alkoxy-aryl(R<sub>1</sub>,R<sub>8</sub>), and -C<sub>0-6</sub>alkoxy-heterocyclyl(R<sub>1</sub>,R<sub>8</sub>);

5 R<sub>1</sub> is selected from the group consisting of hydrogen, -N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)(R<sub>5</sub>), -N(R<sub>4</sub>)(R<sub>6</sub>),  
-heterocyclyl(R<sub>8</sub>) and -heteroaryl(R<sub>8</sub>);

R<sub>1a</sub> is selected from the group consisting of -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>,  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>,  
10 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>,  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>7</sub>) and -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>;

R<sub>4</sub> is selected from the group consisting of hydrogen and -C<sub>1-8</sub>alkyl(R<sub>7</sub>);

15 R<sub>5</sub> is selected from the group consisting of -C(=O)-R<sub>4</sub>, -C(=O)-N(R<sub>4</sub>)<sub>2</sub>,  
-C(=O)-cycloalkyl(R<sub>8</sub>), -C(=O)-heterocyclyl(R<sub>8</sub>), -C(=O)-aryl(R<sub>8</sub>),  
-C(=O)-heteroaryl(R<sub>8</sub>), -C(=O)-N(R<sub>4</sub>)-cycloalkyl(R<sub>8</sub>), -C(=O)-N(R<sub>4</sub>)-aryl(R<sub>8</sub>),  
-CO<sub>2</sub>-R<sub>4</sub>, -CO<sub>2</sub>-cycloalkyl(R<sub>8</sub>), -CO<sub>2</sub>-aryl(R<sub>8</sub>), -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>,  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>,  
20 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>,  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>7</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>,  
-N(R<sub>4</sub>)-C(R<sub>4</sub>)(=N-R<sub>4</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>),  
-N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>,  
-N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>7</sub>),  
25 -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>7</sub>), -SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>,  
-SO<sub>2</sub>-cycloalkyl(R<sub>8</sub>) and -SO<sub>2</sub>-aryl(R<sub>8</sub>);

R<sub>6</sub> is selected from the group consisting of -cycloalkyl(R<sub>8</sub>), -heterocyclyl(R<sub>8</sub>), -aryl(R<sub>8</sub>)  
and -heteroaryl(R<sub>8</sub>);

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R<sub>7</sub> is one to two substituents independently selected from the group consisting of  
hydrogen, -C<sub>1-8</sub>alkoxy(R<sub>9</sub>), -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)H,

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-C(=O)-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
 -C(=O)-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>),  
 -C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H,  
 -CO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>, -SH, -S-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
 5 -S-C<sub>1-8</sub>alkyl-S-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-8</sub>alkyl-C<sub>1-8</sub>alkoxy(R<sub>9</sub>),  
 -S-C<sub>1-8</sub>alkyl-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>,  
 -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>), cyano, (halo)<sub>1-3</sub>,  
 hydroxy, nitro, oxo, -cycloalkyl(R<sub>10</sub>), -heterocyclyl(R<sub>10</sub>), -aryl(R<sub>10</sub>) and  
 -heteroaryl(R<sub>10</sub>);

R<sub>8</sub> is one to four substituents independently selected from the group consisting of  
 hydrogen, -C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)-NH<sub>2</sub>,  
 -C(=O)-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>),  
 -C(=O)-cycloalkyl(R<sub>10</sub>), -C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>),  
 15 -C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>,  
 -SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
 -SO<sub>2</sub>-aryl(R<sub>10</sub>), -cycloalkyl(R<sub>10</sub>) and -aryl(R<sub>10</sub>) when attached to a nitrogen atom;  
 and, wherein R<sub>8</sub> is one to four substituents independently selected from the group  
 consisting of hydrogen, -C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C<sub>1-8</sub>alkoxy(R<sub>9</sub>), -O-cycloalkyl(R<sub>10</sub>),  
 20 -O-aryl(R<sub>10</sub>), -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NHC(=O)-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
 -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
 -C(=O)-NH-aryl(R<sub>10</sub>), -NHC(=O)-NH<sub>2</sub>, -NHC(=O)-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
 -NHC(=O)-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -NHC(=O)-NH-aryl(R<sub>10</sub>),  
 -NHC(=O)-O-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NHC(=O)-O-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>),  
 25 -C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>),  
 -NHC(=O)-cycloalkyl(R<sub>10</sub>), -NHC(=O)-heterocyclyl(R<sub>10</sub>), -NHC(=O)-aryl(R<sub>10</sub>),  
 -NHC(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>),  
 -C(=NH)-NH<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
 -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>), -NHSO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NHSO<sub>2</sub>-aryl(R<sub>10</sub>),  
 30 -SH, -S-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-8</sub>alkyl-S-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-8</sub>alkyl-C<sub>1-8</sub>alkoxy(R<sub>9</sub>),  
 -S-C<sub>1-8</sub>alkyl-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, cyano,  
 halo, hydroxy, nitro, oxo, -cycloalkyl(R<sub>10</sub>), -heterocyclyl(R<sub>10</sub>), -aryl(R<sub>10</sub>), and

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-heteroaryl(R<sub>10</sub>) when attached to a carbon atom;

R<sub>9</sub> is selected from the group consisting of hydrogen, -C<sub>1-8</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl, -N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-8</sub>alkyl, -C(=O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub>,  
5 -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, cyano, (halo)<sub>1-3</sub>, hydroxy, nitro and oxo;

R<sub>10</sub> is one to four substituents independently selected from the group consisting of hydrogen, -C<sub>1-8</sub>alkyl, -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl, -C(=O)-NH<sub>2</sub>,

10 -C(=O)-NH-C<sub>1-8</sub>alkyl, -C(=O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl and -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl)<sub>2</sub> when attached to a nitrogen atom; and, wherein R<sub>10</sub> is one to four substituents

independently selected from the group consisting of hydrogen, -C<sub>1-8</sub>alkyl, -C<sub>1-8</sub>alkoxy, -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-8</sub>alkyl,  
15 -C(=O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl, -N(C<sub>1-8</sub>alkyl)<sub>2</sub>, cyano, halo, hydroxy, nitro and oxo when attached to a carbon atom;

q is 0, 1, 2, or 3;

R<sub>2a</sub> is selected from the group consisting of -C<sub>1-8</sub>alkyl(R<sub>7</sub>)(R<sub>11</sub>), -C<sub>2-8</sub>alkenyl(R<sub>7</sub>)(R<sub>11</sub>), -C<sub>2-8</sub>alkynyl(R<sub>7</sub>)(R<sub>11</sub>), -cycloalkyl(R<sub>7</sub>)(R<sub>11</sub>), -heterocyclyl(R<sub>8</sub>)(R<sub>12</sub>), -aryl(R<sub>8</sub>)(R<sub>12</sub>) and -heteroaryl(R<sub>8</sub>)(R<sub>12</sub>);

R<sub>11</sub> is selected from the group consisting of -C<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -S-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>),  
25 -NH-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
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- NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
- O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
- OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 5     -SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 10    -OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 15    -SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- C(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- 20    -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), and
- 25    -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>);

R<sub>12</sub> is selected from the group consisting of -C<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C<sub>1-8</sub>alkyl(R<sub>13</sub>),

- NH-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -S-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>O-C<sub>1-8</sub>alkyl(R<sub>13</sub>),
- CH<sub>2</sub>NH-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>S-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),
- 30    -O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),
- CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),
- C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),

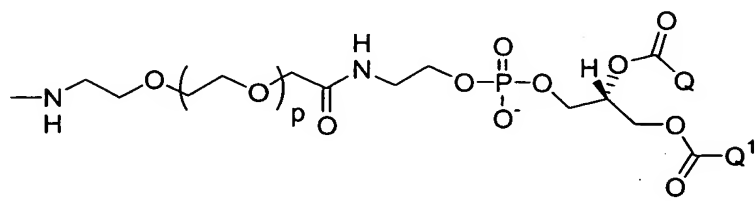
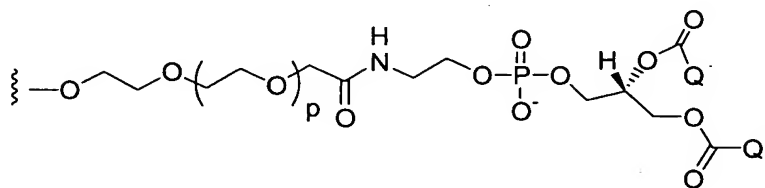
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- O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),  
 -NH-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),  
 -CH<sub>2</sub>O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),  
 -CH<sub>2</sub>NH-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>NH-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),  
 5 -C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 -NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 -CH<sub>2</sub>NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 -O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 -CH<sub>2</sub>O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 10 -C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 -NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 -CH<sub>2</sub>NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 -OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 15 -SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 20 -OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 25 -SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 30 -CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),

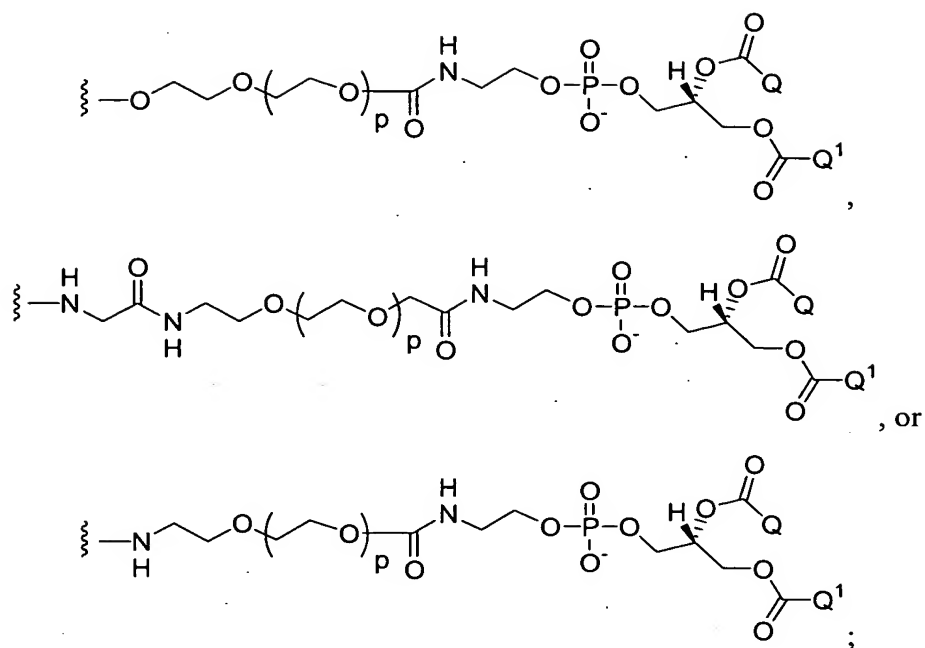
PRD-0026 CIP

- CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 5 -CH<sub>2</sub>NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- C(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- 10 -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- 15 -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- 20 -CH<sub>2</sub>NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), and
- CH<sub>2</sub>NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>);

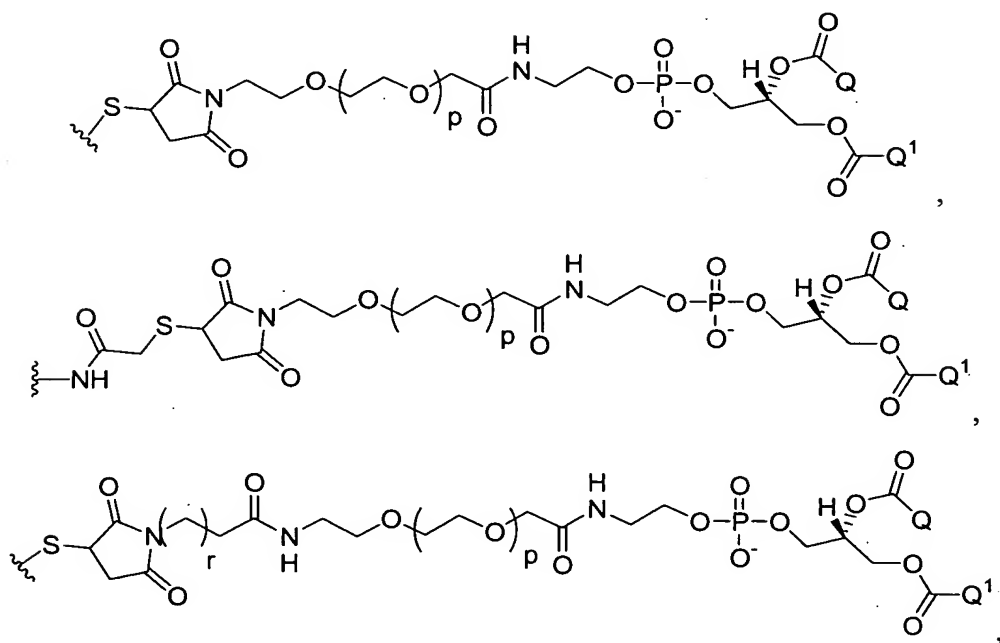
wherein when R<sub>11</sub> or R<sub>12</sub> terminates with a -C(=O)-, R<sub>13</sub> is selected from

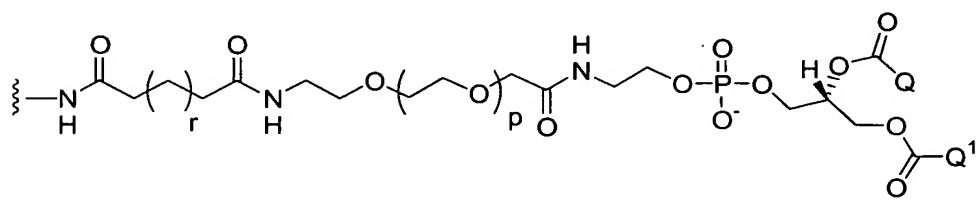
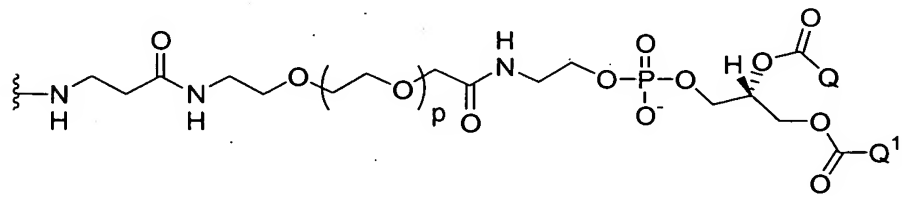
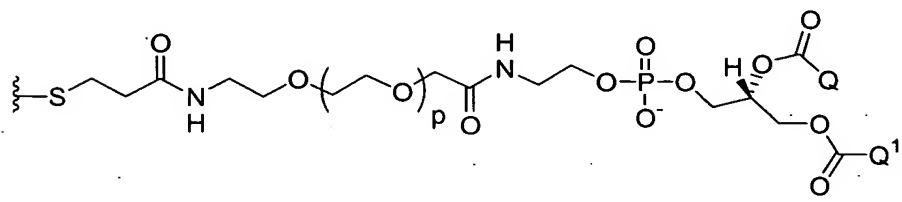
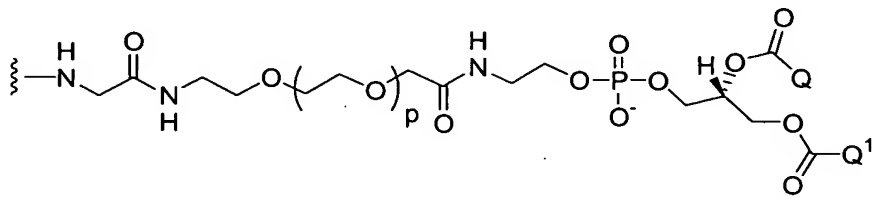
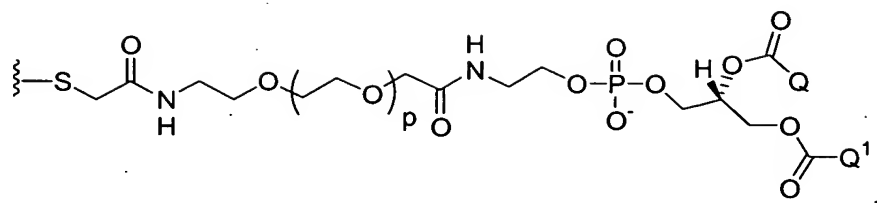




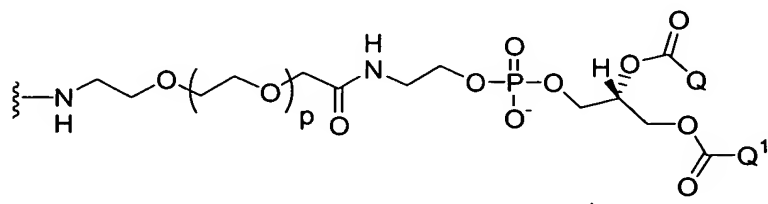
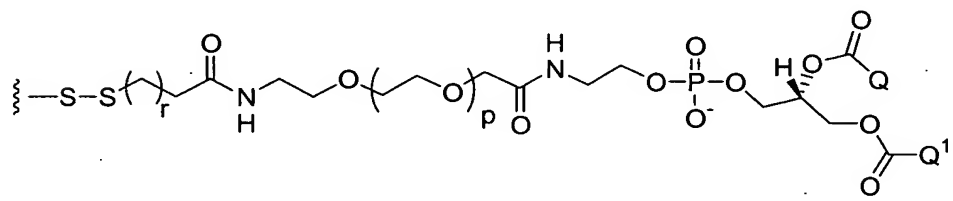


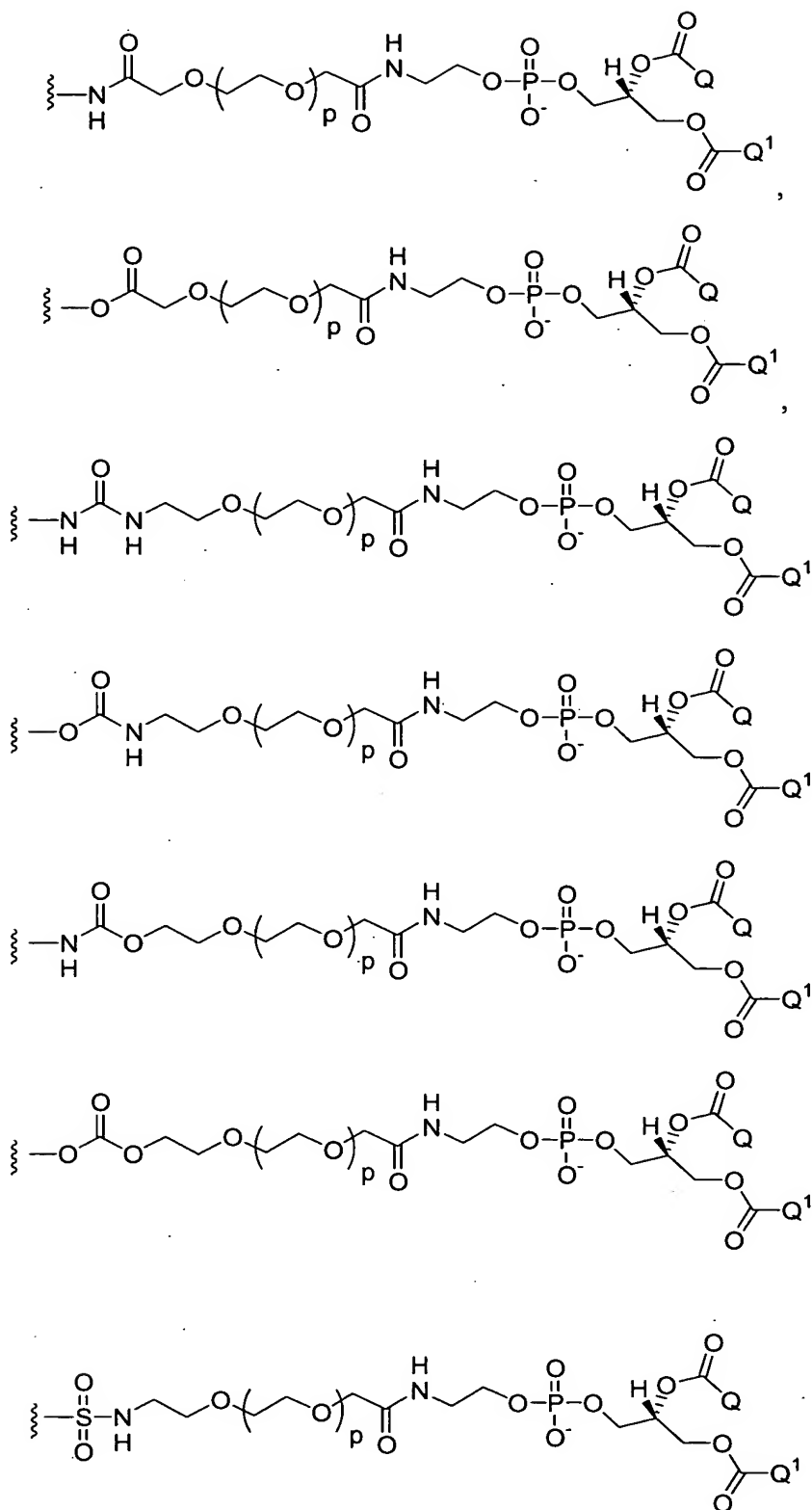
- 5 and when  $R_{11}$  or  $R_{12}$  does not terminate with a  $-C(=O)-$ ,  $R_{13}$  is selected from the group consisting of

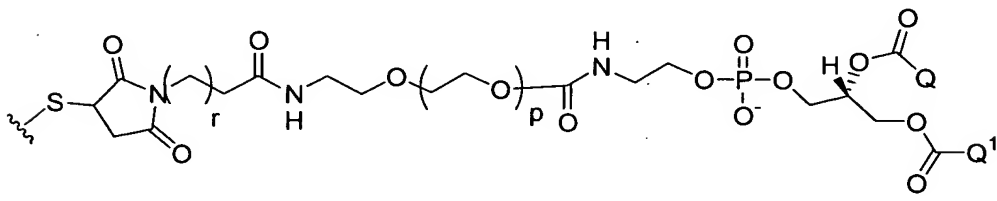
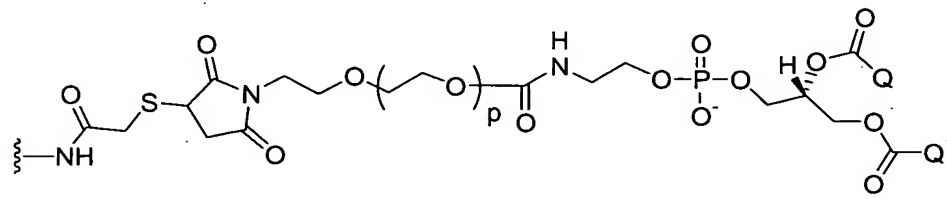
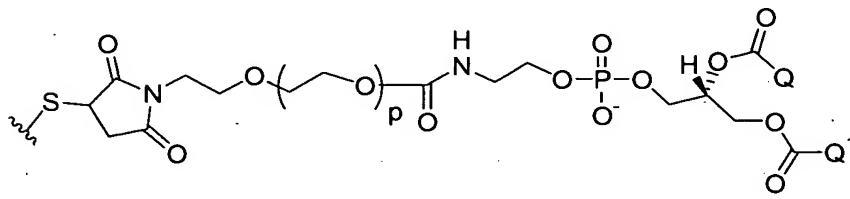
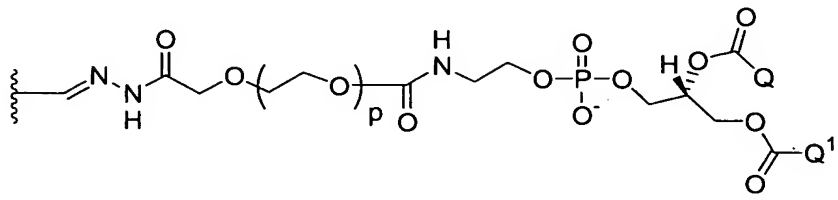
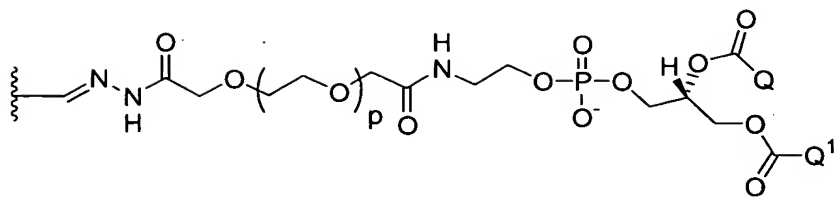




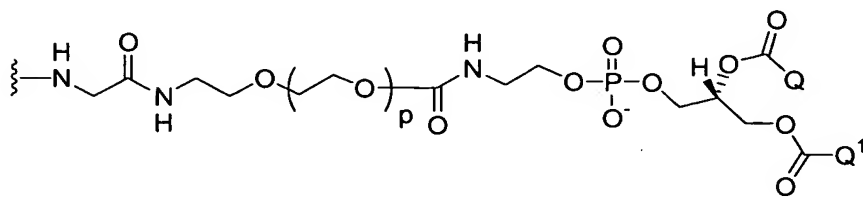
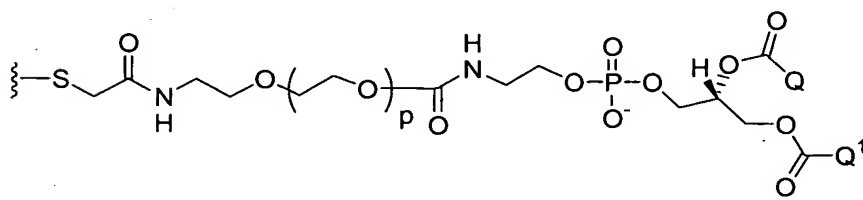
5

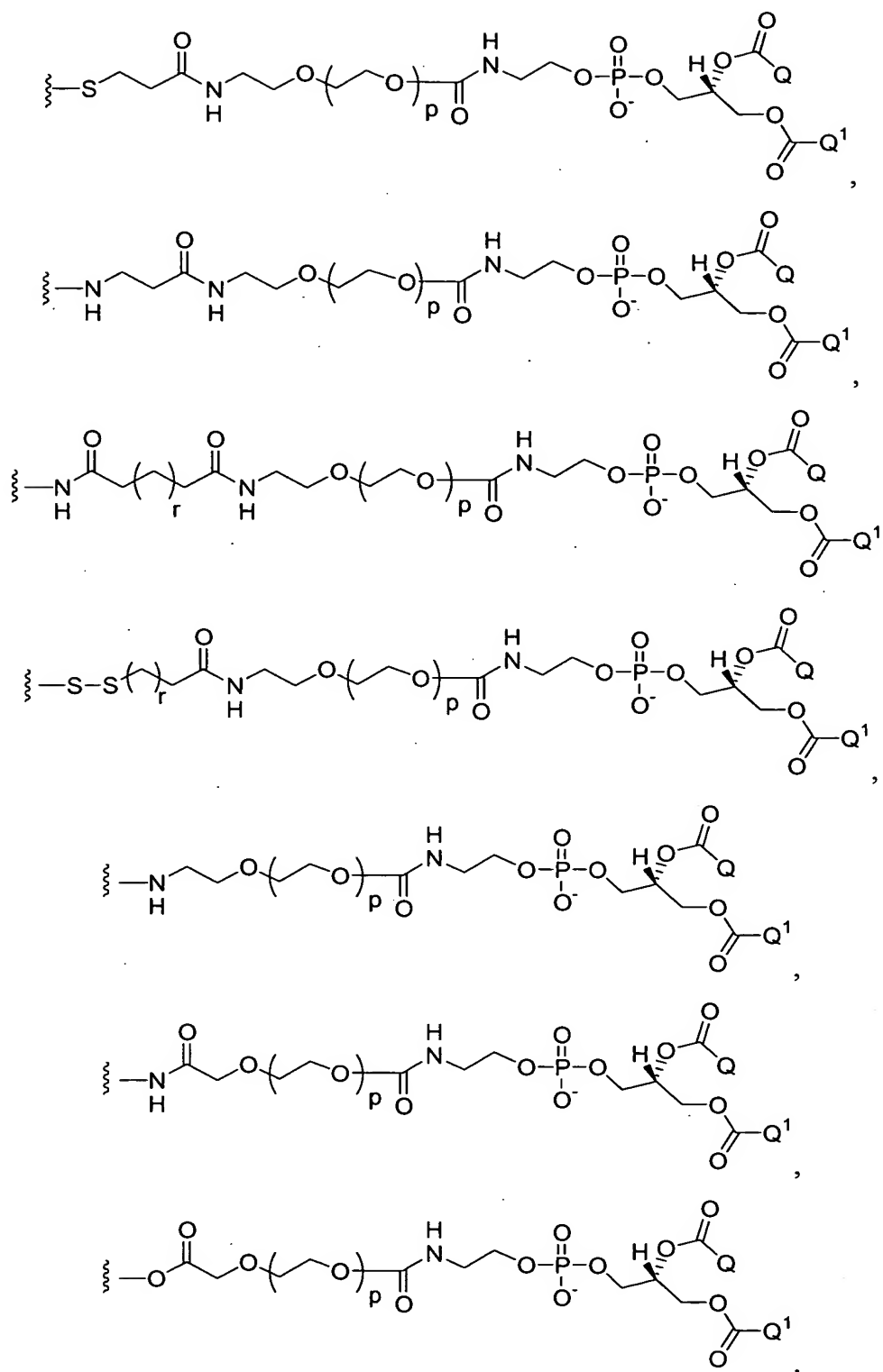


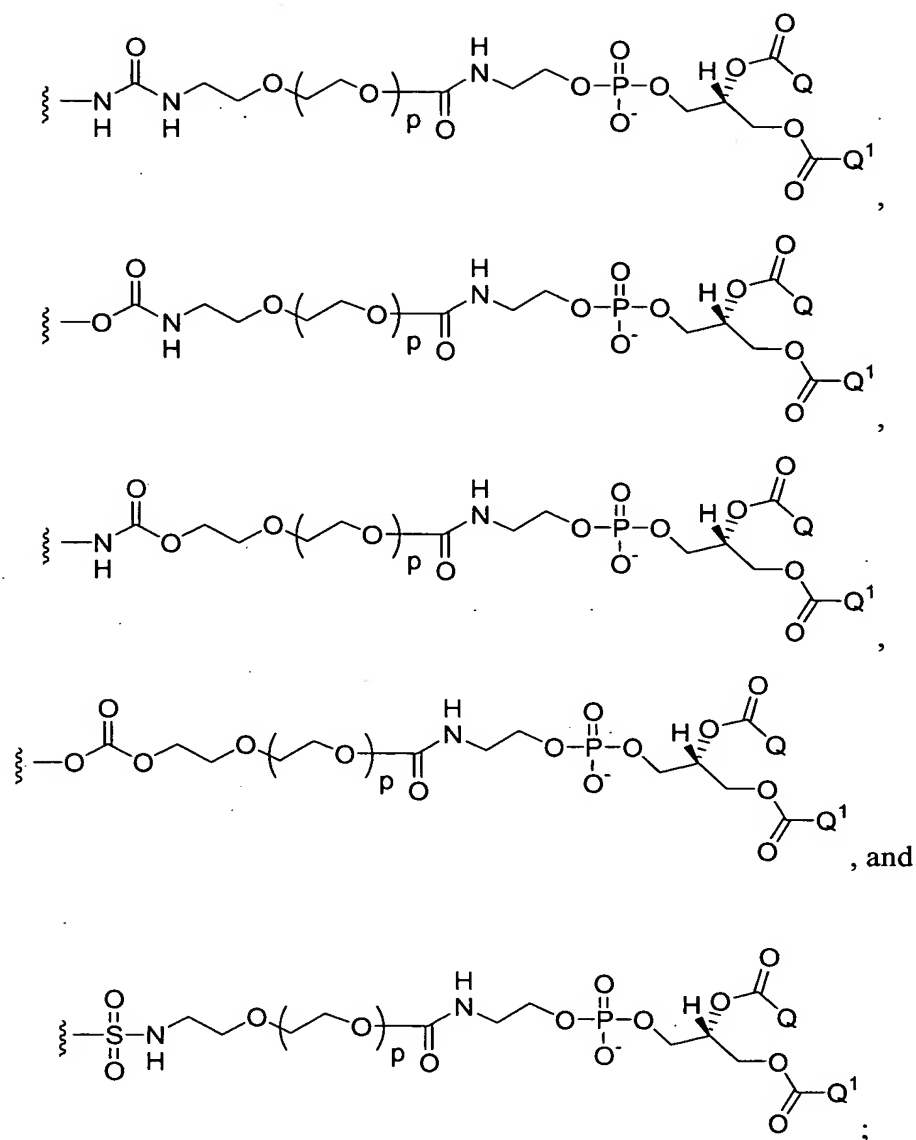




5







wherein the unit  $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_p-$  or  $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_p$  of  $\text{R}_{12}$  and  $\text{R}_{13}$  is a polyethylene glycol (PEG) polymer ranging in molecular weight from 750 to 5000 daltons;

$r$  is an integer from 0 to 8;

$\text{Q}$  and  $\text{Q}^1$  of substituents  $\text{R}_{12}$  and  $\text{R}_{13}$  are the same within a given compound and are selected from the group consisting of

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the C<sub>11</sub> saturated chain of lauric acid,  
the C<sub>13</sub> saturated chain of myristic acid,  
the C<sub>15</sub> saturated chain of palmitic acid,  
the C<sub>17</sub> saturated chain of stearic acid,  
5 the C<sub>17</sub> mono-unsaturated chain of oleic acid, and  
the C<sub>17</sub> di-unsaturated chain of linoleic acid;

Z is selected from the group consisting of hydroxy, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl,  
-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -O-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-OH, -O-C<sub>1-8</sub>alkyl-C<sub>1-8</sub>alkoxy, -  
10 O-C<sub>1-8</sub>alkylcarbonylC<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-CO<sub>2</sub>H, -O-C<sub>1-8</sub>alkyl-C(O)O-C<sub>1-8</sub>alkyl, -  
O-C<sub>1-8</sub>alkyl-O-C(O)C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-NH<sub>2</sub>, -O-C<sub>1-8</sub>alkyl-NH-C<sub>1-8</sub>alkyl, -  
O-C<sub>1-8</sub>alkyl-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -O-C<sub>1-8</sub>alkylamide, -O-C<sub>1-8</sub>alkyl-C(O)-NH-C<sub>1-8</sub>alkyl, -  
O-C<sub>1-8</sub>alkyl-C(O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, and -NHC(O)C<sub>1-8</sub>alkyl;

15 and pharmaceutically acceptable salts, racemic mixtures and enantiomers thereof.

41. The targeting conjugate of claim 40 wherein W is selected from the group  
consisting of -C<sub>0-4</sub>alkyl(R<sub>1</sub>) and -C<sub>0-4</sub>alkyl-aryl(R<sub>1</sub>,R<sub>8</sub>).

20 42. The targeting conjugate of claim 40 wherein W is -C<sub>0-4</sub>alkyl(R<sub>1</sub>) or  
-C<sub>0-4</sub>alkyl-phenyl(R<sub>1</sub>,R<sub>8</sub>).

43. The targeting conjugate of claim 40 wherein R<sub>1</sub> is selected from the group  
consisting of -N(R<sub>4</sub>)(R<sub>6</sub>), -heterocyclyl(R<sub>8</sub>) and -heteroaryl(R<sub>8</sub>).

25 44. The targeting conjugate of claim 40 wherein R<sub>1</sub> is selected from the group  
consisting of -N(R<sub>4</sub>)(R<sub>6</sub>), -dihydro-1*H*-pyrrolo[2,3-*b*]pyridinyl(R<sub>8</sub>),  
-tetrahydropyrimidinyl(R<sub>8</sub>), -tetrahydro-1,8-naphthyridinyl(R<sub>8</sub>),  
-tetrahydro-1*H*-azepino[2,3-*b*]pyridinyl(R<sub>8</sub>) and -pyridinyl(R<sub>8</sub>).

30 45. The targeting conjugate of claim 40 wherein R<sub>1</sub> is selected from the group  
consisting of -N(R<sub>4</sub>)(R<sub>6</sub>), -tetrahydropyrimidinyl(R<sub>8</sub>) and

-tetrahydro-1,8-naphthyridinyl(R<sub>8</sub>).

46. The targeting conjugate of claim 40 wherein R<sub>1a</sub> is selected from the group consisting of -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>),  
 5 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>,  
 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>) and  
 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>.
47. The targeting conjugate of claim 40 wherein R<sub>4</sub> is selected from the group  
 10 consisting of hydrogen and -C<sub>1-4</sub>alkyl(R<sub>7</sub>).
48. The targeting conjugate of claim 40 wherein R<sub>4</sub> is hydrogen.
49. The targeting conjugate of claim 40 wherein R<sub>5</sub> is selected from the group  
 15 consisting of -C(=O)-R<sub>4</sub>, -C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=O)-cycloalkyl(R<sub>8</sub>),  
 -C(=O)-heterocyclyl(R<sub>8</sub>), -C(=O)-aryl(R<sub>8</sub>), -C(=O)-heteroaryl(R<sub>8</sub>),  
 -C(=O)-N(R<sub>4</sub>)-cycloalkyl(R<sub>8</sub>), -C(=O)-N(R<sub>4</sub>)-aryl(R<sub>8</sub>), -CO<sub>2</sub>-R<sub>4</sub>,  
 -CO<sub>2</sub>-cycloalkyl(R<sub>8</sub>), -CO<sub>2</sub>-aryl(R<sub>8</sub>), -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>,  
 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>,  
 20 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>,  
 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>,  
 -N(R<sub>4</sub>)-C(R<sub>4</sub>)(=N-R<sub>4</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>),  
 -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>,  
 -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>),  
 25 -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>), -SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>,  
 -SO<sub>2</sub>-cycloalkyl(R<sub>8</sub>) and -SO<sub>2</sub>-aryl(R<sub>8</sub>).
50. The targeting conjugate of claim 40 wherein R<sub>5</sub> is selected from the group  
 30 consisting of -C(=O)-R<sub>4</sub>, -C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -CO<sub>2</sub>-R<sub>4</sub>, -C(R<sub>4</sub>)(=N-R<sub>4</sub>),  
 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -N(R<sub>4</sub>)-C(R<sub>4</sub>)(=N-R<sub>4</sub>),  
 -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>) and  
 -SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>.



51. The targeting conjugate of claim 40 wherein  $R_6$  is selected from the group consisting of -heterocyclyl( $R_8$ ) and -heteroaryl( $R_8$ ).
52. The targeting conjugate of claim 40 wherein  $R_6$  is selected from the group consisting of -dihydroimidazolyl( $R_8$ ), -tetrahydropyridinyl( $R_8$ ), -tetrahydropyrimidinyl( $R_8$ ) and -pyridinyl( $R_8$ ).
53. The targeting conjugate of claim 40 wherein  $R_7$  is one to two substituents independently selected from the group consisting of hydrogen, - $C_{1-4}$ alkoxy( $R_9$ ), - $NH_2$ , - $NH-C_{1-4}$ alkyl( $R_9$ ), - $N(C_{1-4}$ alkyl( $R_9$ ))<sub>2</sub>, - $C(=O)H$ , - $C(=O)-C_{1-4}$ alkyl( $R_9$ ), - $C(=O)-NH_2$ , - $C(=O)-NH-C_{1-4}$ alkyl( $R_9$ ), - $C(=O)-N(C_{1-4}$ alkyl( $R_9$ ))<sub>2</sub>, - $C(=O)-NH$ -aryl( $R_{10}$ ), - $C(=O)$ -cycloalkyl( $R_{10}$ ), - $C(=O)$ -heterocyclyl( $R_{10}$ ), - $C(=O)$ -aryl( $R_{10}$ ), - $C(=O)$ -heteroaryl( $R_{10}$ ), - $CO_2H$ , - $CO_2-C_{1-4}$ alkyl( $R_9$ ), - $CO_2$ -aryl( $R_{10}$ ), - $C(=NH)-NH_2$ , - $SH$ , - $S-C_{1-4}$ alkyl( $R_9$ ), - $S-C_{1-4}$ alkyl- $S-C_{1-4}$ alkyl( $R_9$ ), - $S-C_{1-4}$ alkyl- $C_{1-4}$ alkoxy( $R_9$ ), - $S-C_{1-4}$ alkyl- $NH-C_{1-4}$ alkyl( $R_9$ ), - $SO_2-C_{1-4}$ alkyl( $R_9$ ), - $SO_2-NH_2$ , - $SO_2-NH-C_{1-4}$ alkyl( $R_9$ ), - $SO_2-N(C_{1-4}$ alkyl( $R_9$ ))<sub>2</sub>, - $SO_2$ -aryl( $R_{10}$ ), cyano, (halo)<sub>1-3</sub>, hydroxy, nitro, oxo, -cycloalkyl( $R_{10}$ ), -heterocyclyl( $R_{10}$ ), -aryl( $R_{10}$ ) and -heteroaryl( $R_{10}$ ).
54. The targeting conjugate of claim 40 wherein  $R_7$  is one to two substituents independently selected from the group consisting of hydrogen, - $C_{1-4}$ alkoxy( $R_9$ ), - $NH_2$ , - $NH-C_{1-4}$ alkyl( $R_9$ ), - $N(C_{1-4}$ alkyl( $R_9$ ))<sub>2</sub>, (halo)<sub>1-3</sub>, hydroxy and oxo.
55. The targeting conjugate of claim 40 wherein  $R_7$  is hydrogen.
56. The targeting conjugate of claim 40 wherein  $R_8$  is one to four substituents independently selected from the group consisting of hydrogen, - $C_{1-4}$ alkyl( $R_9$ ), - $C(=O)H$ , - $C(=O)-C_{1-4}$ alkyl( $R_9$ ), - $C(=O)-NH_2$ , - $C(=O)-NH-C_{1-4}$ alkyl( $R_9$ ), - $C(=O)-N(C_{1-4}$ alkyl( $R_9$ ))<sub>2</sub>, - $C(=O)-NH$ -aryl( $R_{10}$ ), - $C(=O)$ -cycloalkyl( $R_{10}$ ), - $C(=O)$ -heterocyclyl( $R_{10}$ ), - $C(=O)$ -aryl( $R_{10}$ ), - $C(=O)$ -heteroaryl( $R_{10}$ ), - $CO_2H$ ,

-CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
 -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>),  
 -cycloalkyl(R<sub>10</sub>) and -aryl(R<sub>10</sub>) when attached to a nitrogen atom; and, wherein  
 R<sub>8</sub> is one to four substituents independently selected from the group consisting  
 of hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -O-cycloalkyl(R<sub>10</sub>), -O-aryl(R<sub>10</sub>),  
 -C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
 -C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>),  
 -C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H,  
 -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
 -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>),  
 -SH, -S-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-4</sub>alkyl-S-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-4</sub>alkyl-C<sub>1-4</sub>alkoxy(R<sub>9</sub>),  
 -S-C<sub>1-4</sub>alkyl-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
 cyano, halo, hydroxy, nitro, oxo, -cycloalkyl(R<sub>10</sub>), -heterocyclyl(R<sub>10</sub>), -aryl(R<sub>10</sub>)  
 and -heteroaryl(R<sub>10</sub>) when attached to a carbon atom.

57. The targeting conjugate of claim 40 wherein R<sub>8</sub> is one to four substituents  
 independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
 -C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
 -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>) and -SO<sub>2</sub>-NH<sub>2</sub> when attached to a nitrogen atom;  
 and, wherein R<sub>8</sub> is one to four substituents independently selected from the  
 group consisting of hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -O-aryl(R<sub>10</sub>),  
 -C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
 -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
 -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, cyano, halo, hydroxy, nitro and oxo when attached to a  
 carbon atom.

58. The targeting conjugate of claim 40 wherein R<sub>8</sub> is one to four substituents  
 independently selected from the group consisting of hydrogen and  
 -C<sub>1-4</sub>alkyl(R<sub>9</sub>) when attached to a nitrogen atom; and, wherein R<sub>8</sub> is one to four  
 substituents independently selected from the group consisting of hydrogen,  
 -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -O-aryl(R<sub>10</sub>), -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
 -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, halo, hydroxy and oxo when attached to a carbon atom.

59. The targeting conjugate of claim 40 wherein  $R_8$  is one to four substituents independently selected from the group consisting of hydrogen and  
 5 - $C_{1-4}$ alkyl( $R_9$ ) when attached to a nitrogen atom; and, wherein  $R_8$  is one to four substituents independently selected from the group consisting of hydrogen, - $C_{1-4}$ alkyl( $R_9$ ), - $C_{1-4}$ alkoxy( $R_9$ ), -O-aryl( $R_{10}$ ) and hydroxy when attached to a carbon atom.
60. The targeting conjugate of claim 40 wherein  $R_9$  is selected from the group  
 10 consisting of hydrogen, - $C_{1-4}$ alkoxy, - $NH_2$ , -NH- $C_{1-4}$ alkyl, -N( $C_{1-4}$ alkyl) $_2$ , -C(=O)H, -C(=O)- $NH_2$ , -C(=O)-NH- $C_{1-4}$ alkyl, -C(=O)-N( $C_{1-4}$ alkyl) $_2$ , -CO $_2$ H, -CO $_2$ - $C_{1-4}$ alkyl, -SO $_2$ - $C_{1-4}$ alkyl, -SO $_2$ - $NH_2$ , -SO $_2$ -NH- $C_{1-4}$ alkyl, -SO $_2$ -N( $C_{1-4}$ alkyl) $_2$ , cyano, (halo) $_{1-3}$ , hydroxy, nitro and oxo.
61. The targeting conjugate of claim 40 wherein  $R_9$  is selected from the group  
 15 consisting of hydrogen, - $C_{1-4}$ alkoxy, - $NH_2$ , -NH- $C_{1-4}$ alkyl, -N( $C_{1-4}$ alkyl) $_2$ , -C(=O)H, -CO $_2$ H, -C(=O)- $C_{1-4}$ alkoxy, (halo) $_{1-3}$ , hydroxy and oxo.
62. The targeting conjugate of claim 40 wherein  $R_9$  is selected from the group  
 20 consisting of hydrogen, - $C_{1-4}$ alkoxy, - $NH_2$ , -NH- $C_{1-4}$ alkyl, -N( $C_{1-4}$ alkyl) $_2$ , (halo) $_{1-3}$  and hydroxy.
63. The targeting conjugate claim 40 wherein  $R_{10}$  is one to four substituents independently selected from the group consisting of hydrogen, - $C_{1-4}$ alkyl,  
 25 -C(=O)H, -C(=O)- $C_{1-4}$ alkyl, -C(=O)- $NH_2$ , -C(=O)-NH- $C_{1-4}$ alkyl, -C(=O)-N( $C_{1-4}$ alkyl) $_2$ , -CO $_2$ H, -CO $_2$ - $C_{1-4}$ alkyl, -SO $_2$ - $C_{1-4}$ alkyl, -SO $_2$ - $NH_2$ , -SO $_2$ -NH- $C_{1-4}$ alkyl and -SO $_2$ -N( $C_{1-4}$ alkyl) $_2$  when attached to a nitrogen atom; and, wherein  $R_{10}$  is one to four substituents independently selected from the group consisting of hydrogen, - $C_{1-4}$ alkyl, - $C_{1-4}$ alkoxy, -C(=O)H,  
 30 -C(=O)- $C_{1-4}$ alkyl, -C(=O)- $NH_2$ , -C(=O)-NH- $C_{1-4}$ alkyl, -C(=O)-N( $C_{1-4}$ alkyl) $_2$ , -CO $_2$ H, -CO $_2$ - $C_{1-4}$ alkyl, -SO $_2$ - $C_{1-4}$ alkyl, -SO $_2$ - $NH_2$ , -SO $_2$ -NH- $C_{1-4}$ alkyl, -SO $_2$ -N( $C_{1-4}$ alkyl) $_2$ , - $NH_2$ , -NH- $C_{1-4}$ alkyl, -N( $C_{1-4}$ alkyl) $_2$ , cyano, halo, hydroxy,

nitro and oxo when attached to a carbon atom.

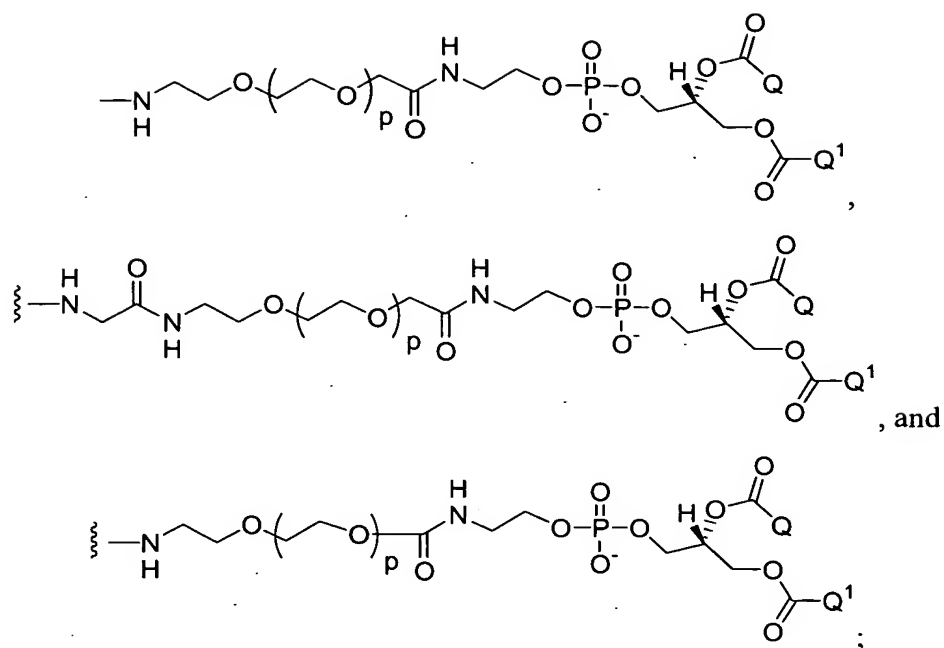
64. The targeting conjugate of claim 40 wherein  $(R_{10})_{1-4}$  is selected from the group consisting of hydrogen,  $-C_{1-4}$ alkyl,  $-C_{1-4}$ alkoxy,  $-C(=O)H$ ,  $-C(=O)-C_{1-4}$ alkyl,  $-CO_2H$ ,  $-CO_2-C_{1-4}$ alkyl,  $-NH_2$ ,  $-NH-C_{1-4}$ alkyl,  $-N(C_{1-4}alkyl)_2$ , halo, hydroxy, nitro and oxo when attached to a carbon atom.
65. The targeting conjugate of claim 40 wherein  $R_{10}$  is hydrogen.
66. The targeting conjugate of claim 40 wherein  $R_{2a}$  is selected from the group consisting of  $-C_{1-4}$ alkyl  $(R_7)(R_{11})$ ,  $-C_{2-4}$ alkenyl  $(R_7)(R_{11})$ ,  $-C_{2-4}$ alkynyl  $(R_7)(R_{11})$ ,  $-cycloalkyl(R_7)(R_{11})$ ,  $-heterocyclyl(R_8)(R_{12})$ ,  $-aryl(R_8)(R_{12})$ , and  $-heteroaryl(R_8)(R_{12})$ .
67. The targeting conjugate of claim 40 wherein  $R_{2a}$  is selected from the group consisting of  $-cycloalkyl(R_7)(R_{11})$ ,  $-heterocyclyl(R_8)(R_{12})$ ,  $-aryl(R_8)(R_{12})$ , and  $-heteroaryl(R_8)(R_{11})$ .
68. The targeting conjugate of claim 40 wherein  $R_{2a}$  is selected from the group consisting of  $-cycloalkyl(R_7)(R_{11})$ ,  $-heterocyclyl(R_8)(R_{12})$ ,  $-phenyl(R_8)(R_{12})$ ,  $-naphthalenyl(R_8)(R_{12})$ , and  $-heteroaryl(R_8)(R_{11})$ .
69. The targeting conjugate claim 40 wherein  $R_{2a}$  is selected from the group consisting of  $-tetrahydropyrimidinyl(R_8)(R_{12})$ ,  $-1,3-benzodioxolyl(R_8)(R_{12})$ ,  $-dihydrobenzofuranyl(R_8)(R_{12})$ ,  $-tetrahydroquinolinyl(R_8)(R_{12})$ ,  $-phenyl(R_8)(R_{12})$ ,  $-naphthalenyl(R_8)(R_{12})$ ,  $-pyridinyl(R_8)(R_{12})$ ,  $-pyrimidinyl(R_8)(R_{12})$ , and  $-quinolinyl(R_8)(R_{12})$ .
70. The targeting conjugate of claim 40 wherein  $R_{11}$  is selected from the group consisting of  $-C_{1-8}$ alkyl  $(R_{13})$ ,  $-O-C_{1-8}$ alkyl  $(R_{13})$ ,  $-NH-C_{1-8}$ alkyl  $(R_{13})$ ,  $-S-C_{1-8}$ alkyl  $(R_{13})$ ,  $-C(=O)C_{1-8}$ alkyl  $(R_{13})$ ,  $-O-C(=O)C_{1-8}$ alkyl  $(R_{13})$ ,  $-NH-C(=O)C_{1-8}$ alkyl  $(R_{13})$ ,  $-C(=O)OC_{1-8}$ alkyl  $(R_{13})$ ,

- C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>),  
 -O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-  
 C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-  
 C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -  
 5 C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-  
 C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -C(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 10 -OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 and -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>).
- 15 71. The targeting conjugate of claim 40 wherein R<sub>11</sub> is selected from the group  
 consisting of -C<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C<sub>1-8</sub>alkyl(R<sub>13</sub>),  
 -S-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),  
 -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),  
 -O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),  
 20 -O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 -C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 -NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 -O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), and -NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>).
- 25 72. The targeting conjugate of claim 40 wherein R<sub>12</sub> is selected from the group  
 consisting of -C<sub>1-6</sub>alkyl(R<sub>13</sub>), -O-C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
 -NH-C<sub>1-4</sub>alkyl(R<sub>13</sub>), -S-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>O-C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
 -CH<sub>2</sub>NH-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>S-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
 -O-C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),  
 30 -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>NH-C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
 -C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),  
 -O-C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -O-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),

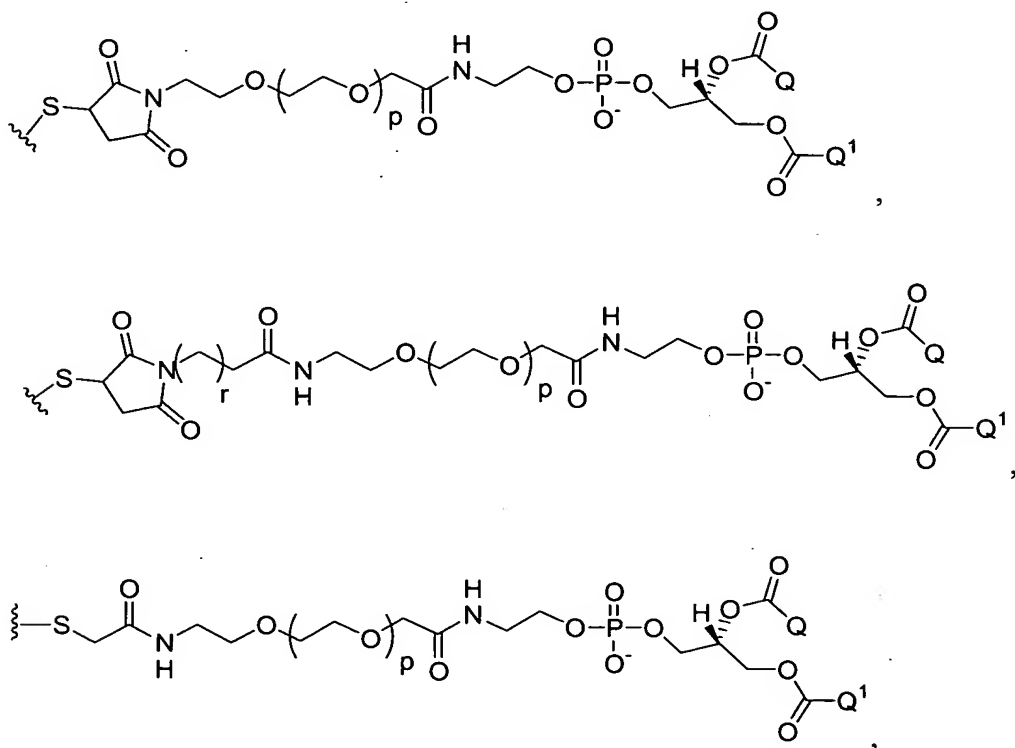
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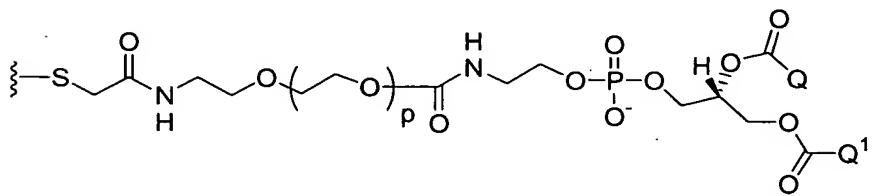
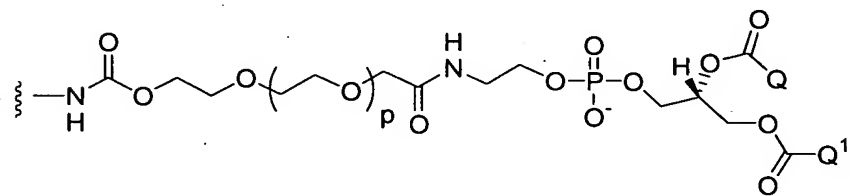
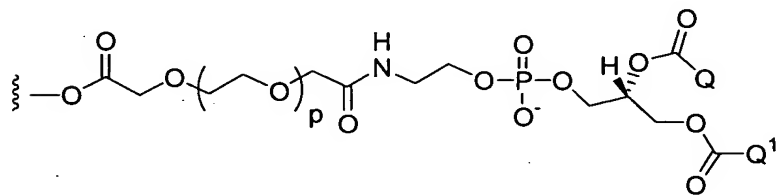
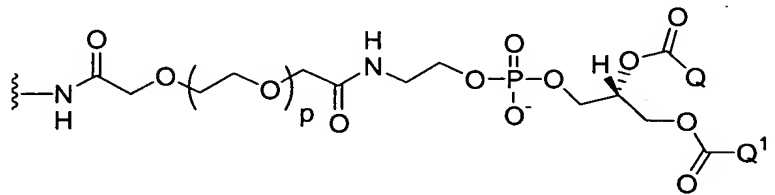
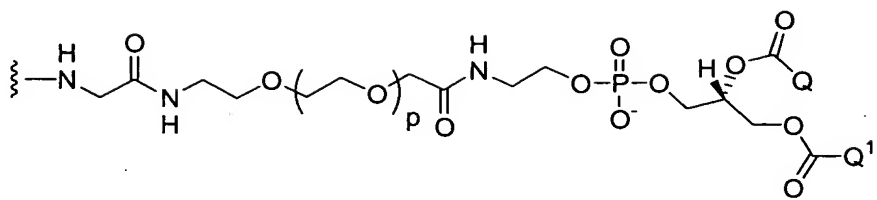
- NH-C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -NH-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),  
 -NH-C(=O)C<sub>1-6</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 -NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 -CH<sub>2</sub>NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 5 -OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 10 -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 15 -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 20 -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 25 -CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -CH<sub>2</sub>NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), and  
 -CH<sub>2</sub>NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>);

wherein when R<sub>11</sub> or R<sub>12</sub> terminates with a -C(=O)-, R<sub>13</sub> is selected from the  
 group consisting of

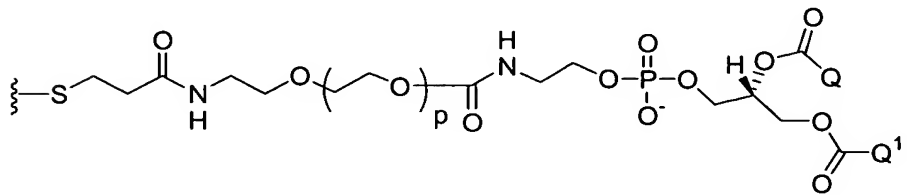
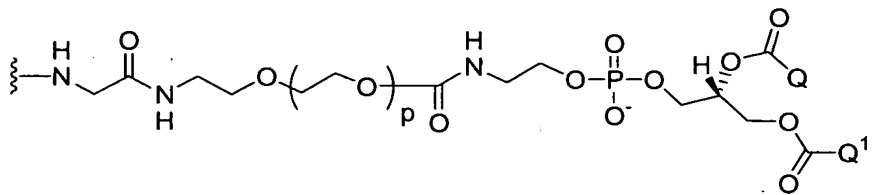


and when  $R_{11}$  or  $R_{12}$  does not terminate with a  $-C(=O)-$ ,  $R_{13}$  is selected from the group consisting of

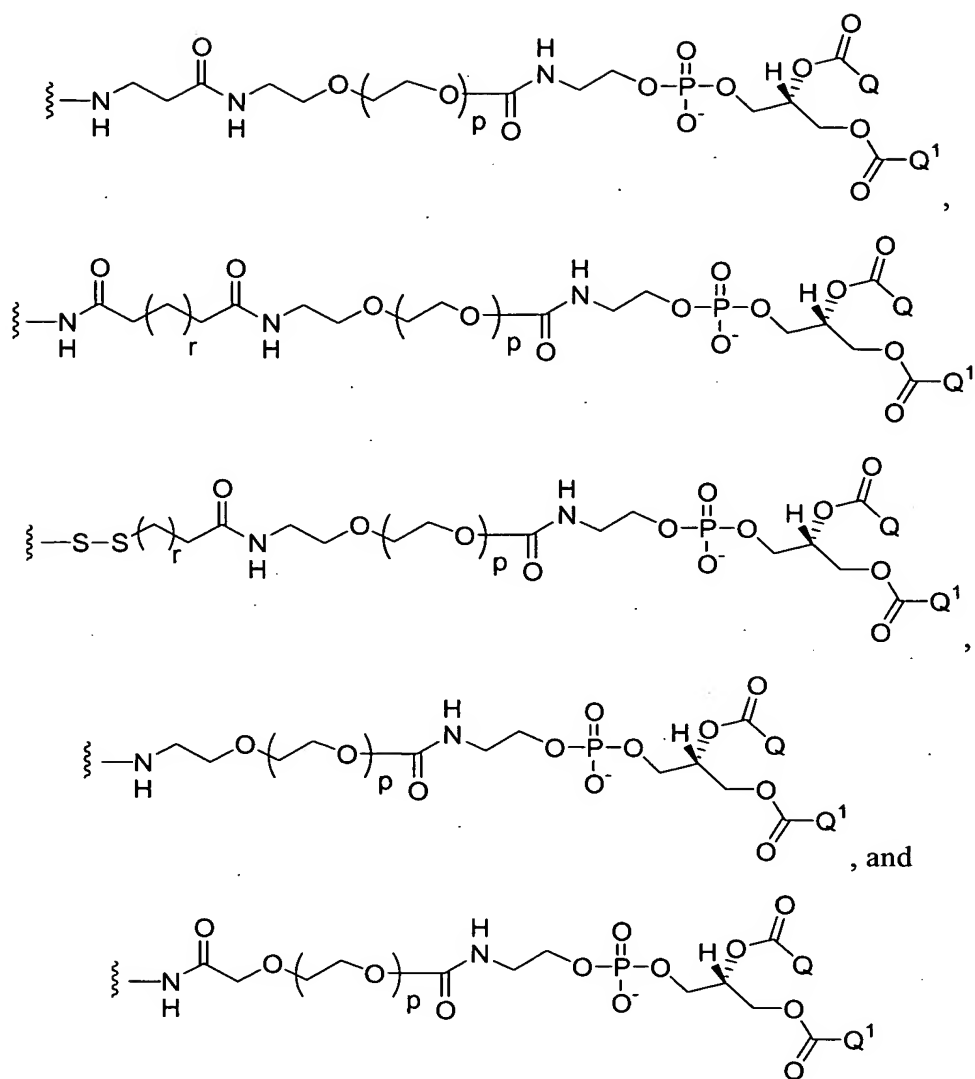




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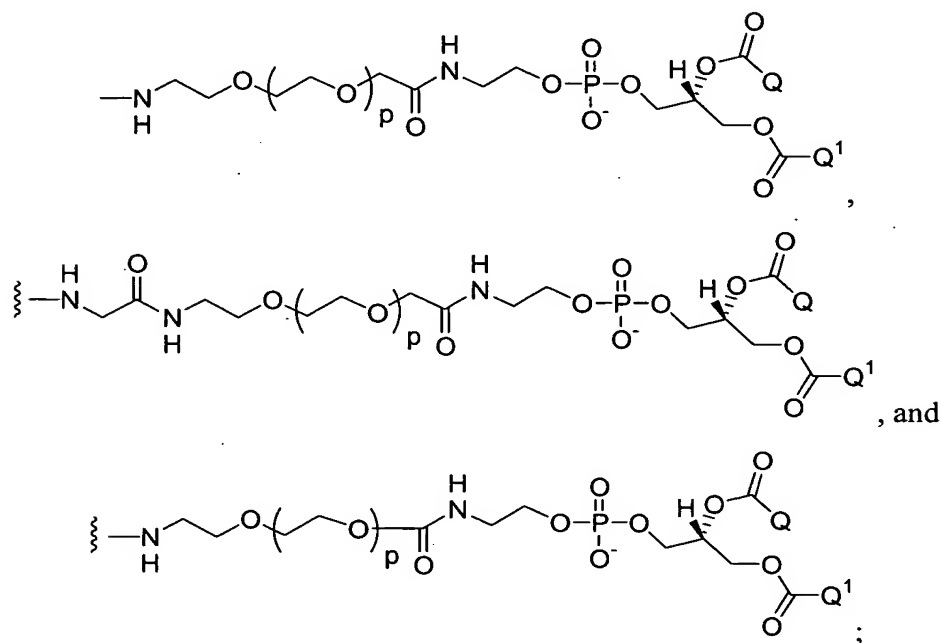
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73. The targeting conjugate of claim 40 wherein R<sub>12</sub> is selected from the group consisting of -CH<sub>2</sub>O-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>NH-C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
 -CH<sub>2</sub>S-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),  
 -CH<sub>2</sub>NH-C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>), -NH-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),  
 -NH-C(=O)C<sub>1-6</sub>alkylC(=O)(R<sub>13</sub>),  
 -OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),

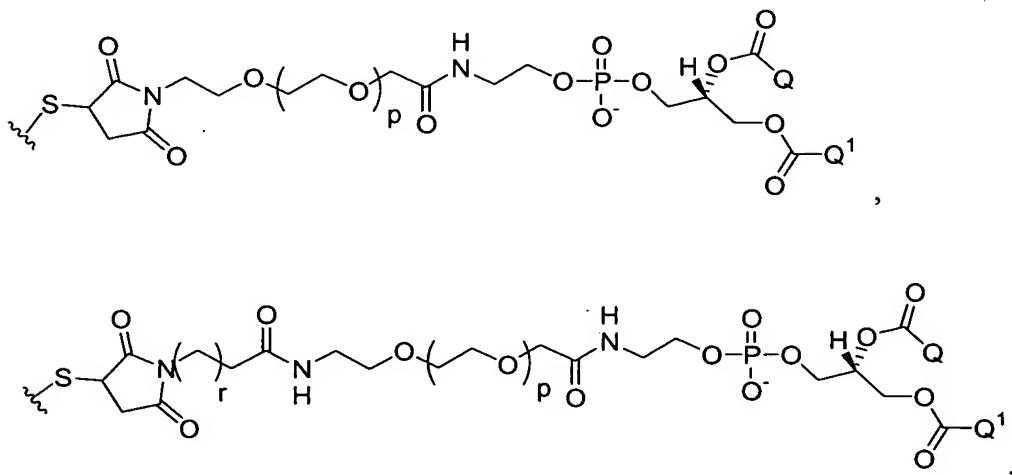
15

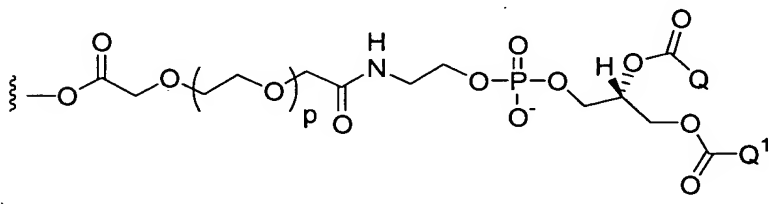
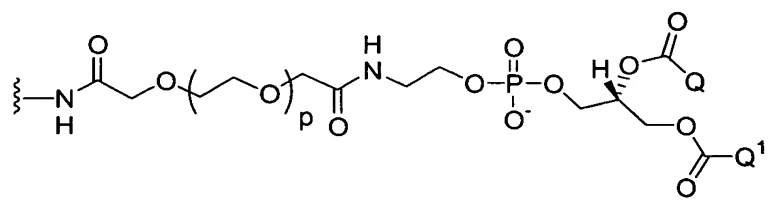
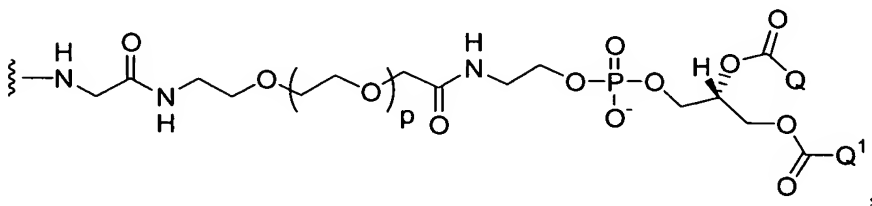
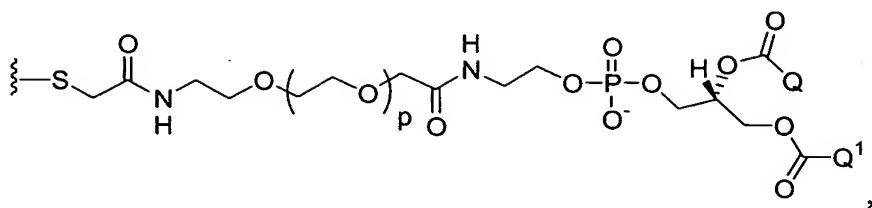
- $-\text{CH}_2\text{OCH}_2\text{CH}_2\text{O}(\text{CH}_2\text{CH}_2\text{O})_r\text{CH}_2\text{CH}_2(\text{R}_{13}),$   
 $-\text{CH}_2\text{NHCH}_2\text{CH}_2\text{O}(\text{CH}_2\text{CH}_2\text{O})_r\text{CH}_2\text{CH}_2(\text{R}_{13}),$   
 $-\text{CH}_2\text{SCH}_2\text{CH}_2\text{O}(\text{CH}_2\text{CH}_2\text{O})_r\text{CH}_2\text{CH}_2(\text{R}_{13}),$   
 $-\text{NH}(\text{C}=\text{O})\text{CH}_2\text{O}(\text{CH}_2\text{CH}_2\text{O})_r\text{CH}_2\text{C}(\text{=O})(\text{R}_{13}),$  and  
 $-\text{CH}_2\text{NH}(\text{C}=\text{O})\text{CH}_2\text{O}(\text{CH}_2\text{CH}_2\text{O})_r\text{CH}_2\text{C}(\text{=O})(\text{R}_{13}).$

wherein when  $\text{R}_{11}$  or  $\text{R}_{12}$  terminates with a  $-\text{C}(\text{=O})-$ ,  $\text{R}_{13}$  is selected from the group consisting of

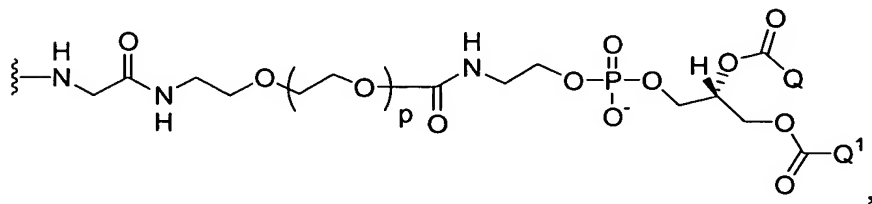
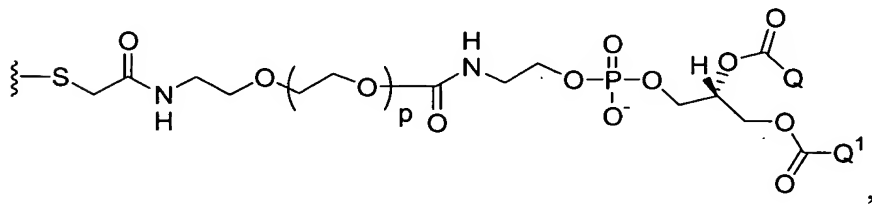
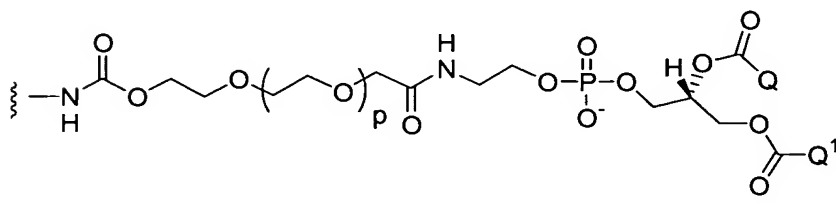


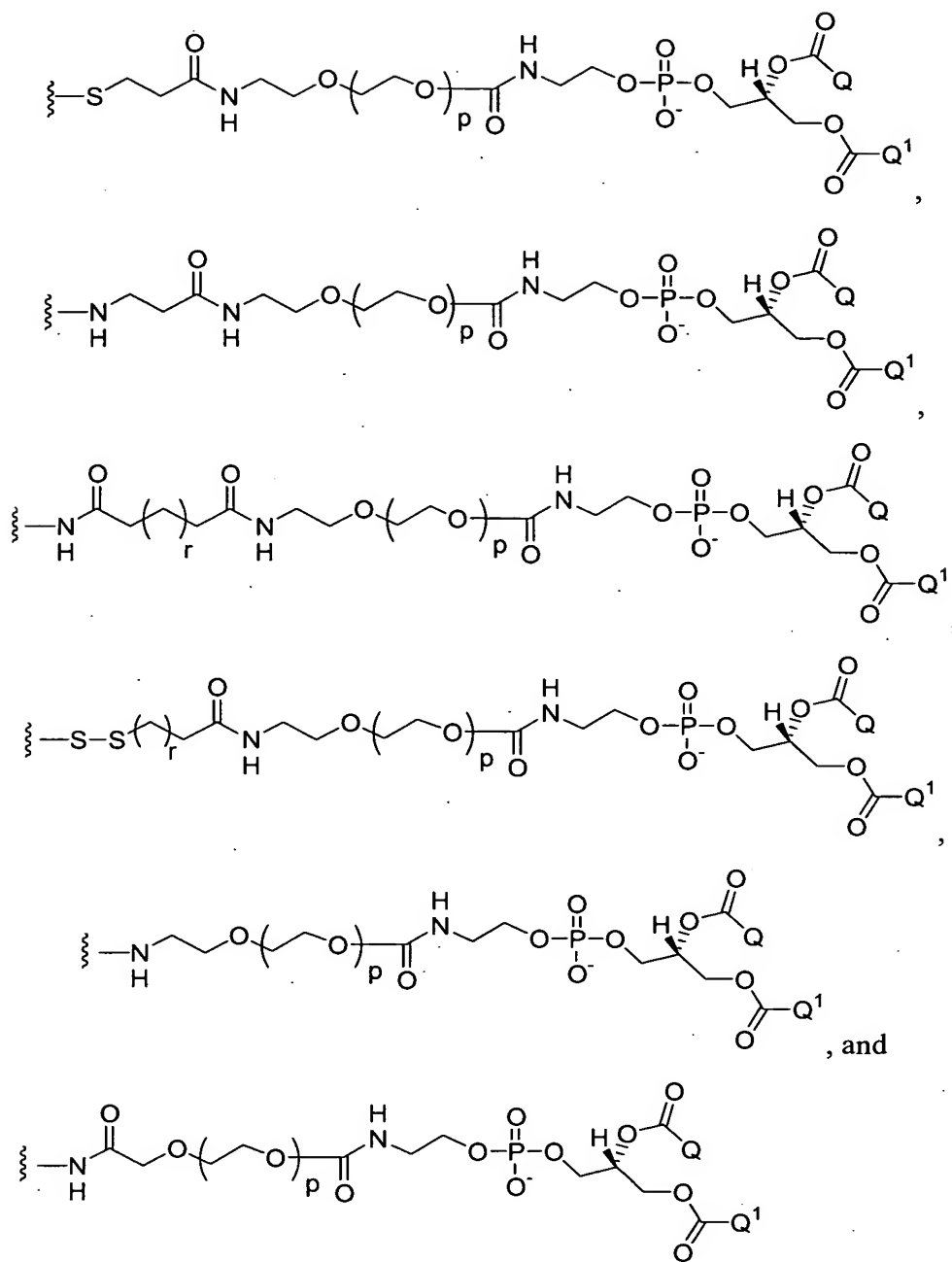
and when  $\text{R}_{11}$  or  $\text{R}_{12}$  does not terminate with a  $-\text{C}(\text{=O})-$ ,  $\text{R}_{13}$  is selected from the group consisting of



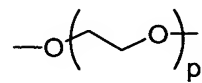


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74. The targeting conjugate of claim 40 wherein said  $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_p-$  or



of  $\text{R}_{12}$  and  $\text{R}_{13}$  is a polyethylene glycol (PEG) polymer ranging in molecular weight from 2000 to 5000 daltons.

75. The targeting conjugate of claim 40 wherein wherein Q and  $\text{Q}^1$  of substituents

R<sub>12</sub> and R<sub>13</sub> are the same within a given compound and are selected from the group consisting of the C<sub>15</sub> saturated chain of palmitic acid, the C<sub>17</sub> saturated chain of stearic acid, and the C<sub>17</sub> mono-unsaturated chain of oleic acid.

5

76. The targeting conjugate of claim 40 wherein

W is preferably selected from the group consisting of -C<sub>0-4</sub>alkyl(R<sub>1</sub>), -C<sub>1-4</sub>alkyl(R<sub>1a</sub>), -C<sub>0-4</sub>alkyl-aryl(R<sub>1</sub>,R<sub>8</sub>), -C<sub>0-4</sub>alkyl-heterocyclyl(R<sub>1</sub>,R<sub>8</sub>), -C<sub>0-4</sub>alkoxy(R<sub>1</sub>), -C<sub>0-4</sub>alkoxy-aryl(R<sub>1</sub>,R<sub>8</sub>), and -C<sub>0-4</sub>alkoxy-heterocyclyl(R<sub>1</sub>,R<sub>8</sub>);

10

R<sub>1</sub> is -N(R<sub>4</sub>)(R<sub>6</sub>), -heterocyclyl(R<sub>8</sub>) or -heteroaryl(R<sub>8</sub>);

R<sub>1a</sub> is -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>) or -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>;

15

R<sub>4</sub> is hydrogen or -C<sub>1-4</sub>alkyl(R<sub>7</sub>);

20

R<sub>5</sub> is -C(=O)-R<sub>4</sub>, -C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=O)-cycloalkyl(R<sub>8</sub>), -C(=O)-heterocyclyl(R<sub>8</sub>), -C(=O)-aryl(R<sub>8</sub>), -C(=O)-heteroaryl(R<sub>8</sub>), -C(=O)-N(R<sub>4</sub>)-cycloalkyl(R<sub>8</sub>), -C(=O)-N(R<sub>4</sub>)-aryl(R<sub>8</sub>), -CO<sub>2</sub>-R<sub>4</sub>, -CO<sub>2</sub>-cycloalkyl(R<sub>8</sub>), -CO<sub>2</sub>-aryl(R<sub>8</sub>), -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(R<sub>4</sub>)(=N-R<sub>4</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>), -SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>, -SO<sub>2</sub>-cycloalkyl(R<sub>8</sub>) or -SO<sub>2</sub>-aryl(R<sub>8</sub>);

25

30

R<sub>6</sub> is -heterocyclyl(R<sub>8</sub>) or -heteroaryl(R<sub>8</sub>);

R<sub>7</sub> is one to two substituents independently selected from hydrogen,

-C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)H,

-C(=O)-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),

-C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>),

-C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H,

-CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>, -SH, -S-C<sub>1-4</sub>alkyl(R<sub>9</sub>),

-S-C<sub>1-4</sub>alkyl-S-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-4</sub>alkyl-C<sub>1-4</sub>alkoxy(R<sub>9</sub>),

-S-C<sub>1-4</sub>alkyl-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>,

-SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>), cyano, (halo)<sub>1-3</sub>,

hydroxy, nitro, oxo, -cycloalkyl(R<sub>10</sub>), -heterocyclyl(R<sub>10</sub>), -aryl(R<sub>10</sub>) or

-heteroaryl(R<sub>10</sub>);

R<sub>8</sub> is one to four substituents independently selected from hydrogen,

-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),

-C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>) or -SO<sub>2</sub>-NH<sub>2</sub> when

attached to a nitrogen atom; and, wherein R<sub>8</sub> is one to four substituents

independently selected from hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C<sub>1-4</sub>alkoxy(R<sub>9</sub>),

-O-aryl(R<sub>10</sub>), -C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),

-C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>, -NH<sub>2</sub>,

-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, cyano, halo, hydroxy, nitro or oxo when

attached to a carbon atom;

R<sub>9</sub> is hydrogen, -C<sub>1-4</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -C(=O)H,

-C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl, -C(=O)-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H,

-CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl,

-SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, cyano, (halo)<sub>1-3</sub>, hydroxy, nitro or oxo;

R<sub>10</sub> is one to four substituents independently selected from hydrogen, -C<sub>1-4</sub>alkyl,

-C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl,

-C(=O)-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>,

-SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl or -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl)<sub>2</sub> when attached to a nitrogen atom; and, wherein R<sub>10</sub> is one to four substituents independently selected from hydrogen, -C<sub>1-4</sub>alkyl, -C<sub>1-4</sub>alkoxy, -C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl, -C(=O)-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, cyano, halo, hydroxy, nitro or oxo when attached to a carbon atom;

R<sub>2a</sub> is -cycloalkyl(R<sub>8</sub>)(R<sub>11</sub>), -heterocyclyl(R<sub>8</sub>)(R<sub>12</sub>), -aryl(R<sub>8</sub>)(R<sub>12</sub>) or -heteroaryl(R<sub>8</sub>)(R<sub>12</sub>);

q is 1, 2 or 3.

R<sub>11</sub> is selected from the group consisting of -C<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -S-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>), -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>), -C(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), -OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), -NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), and -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>);

R<sub>12</sub> is selected from the group consisting of

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- C<sub>1-6</sub>alkyl(R<sub>13</sub>), -O-C<sub>1-6</sub>alkyl(R<sub>13</sub>),
- NH-C<sub>1-4</sub>alkyl(R<sub>13</sub>), -S-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>O-C<sub>1-6</sub>alkyl(R<sub>13</sub>),
- CH<sub>2</sub>NH-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>S-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>),
- O-C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),
- 5     -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>NH-C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>),
- C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),
- O-C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -O-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),
- NH-C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -NH-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),
- NH-C(=O)C<sub>1-6</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
- 10     -NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
- CH<sub>2</sub>NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
- OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 15     -OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 20     -NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 25     -CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- 30     -NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),

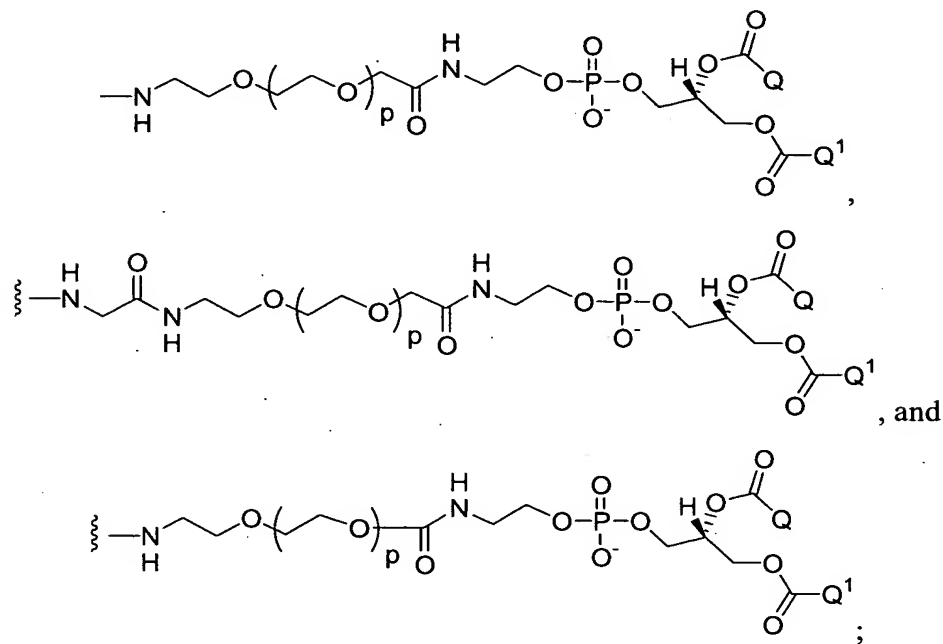


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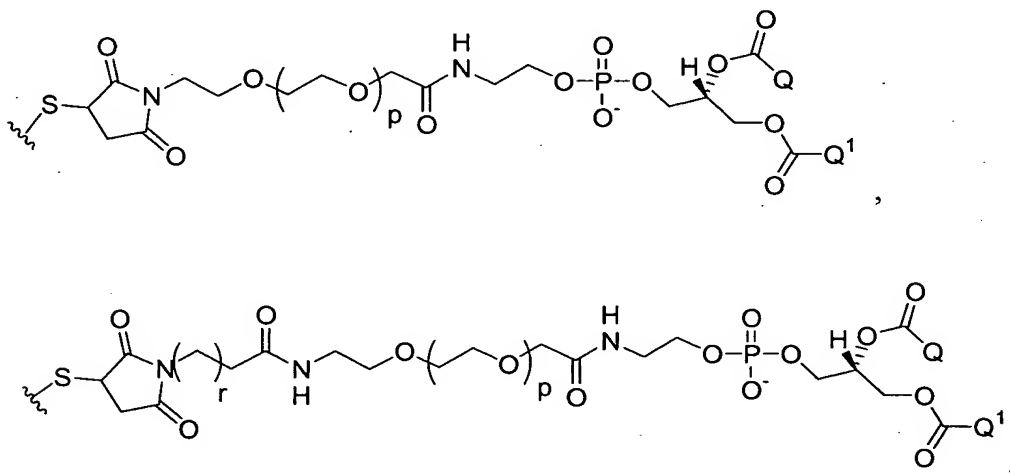
$-\text{CH}_2\text{NHC}(=\text{O})\text{OCH}_2\text{CH}_2\text{O}(\text{CH}_2\text{CH}_2\text{O})_r\text{CH}_2\text{C}(=\text{O})(\text{R}_{13})$ , and

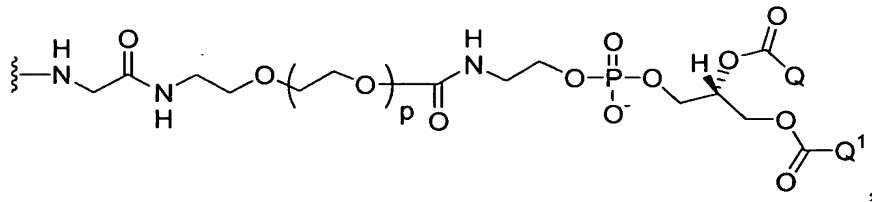
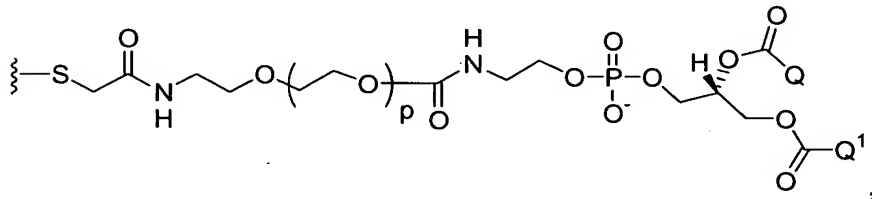
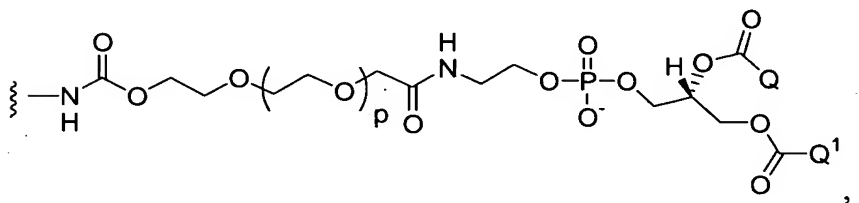
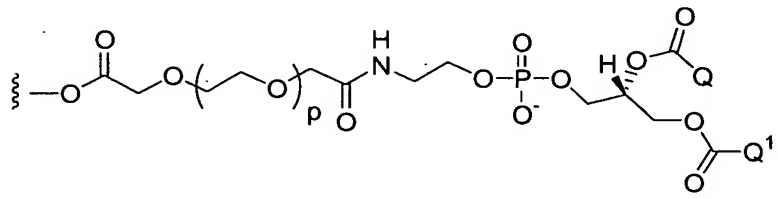
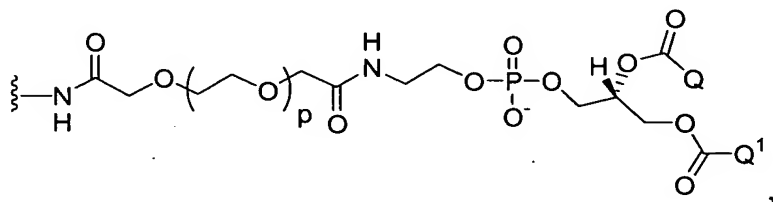
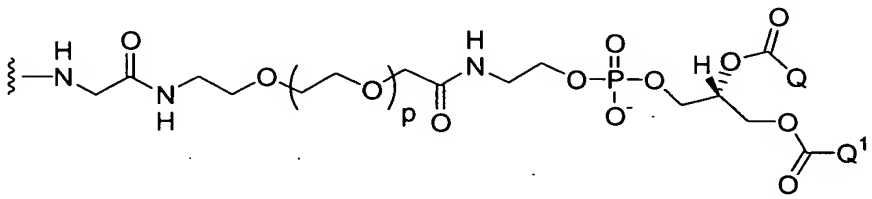
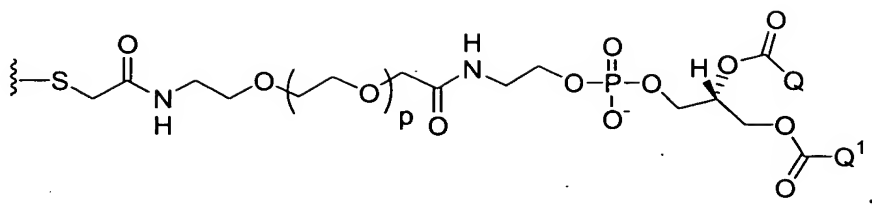
$-\text{CH}_2\text{NHC}(=\text{O})\text{NHCH}_2\text{CH}_2\text{O}(\text{CH}_2\text{CH}_2\text{O})_r\text{CH}_2\text{C}(=\text{O})(\text{R}_{13})$ ;

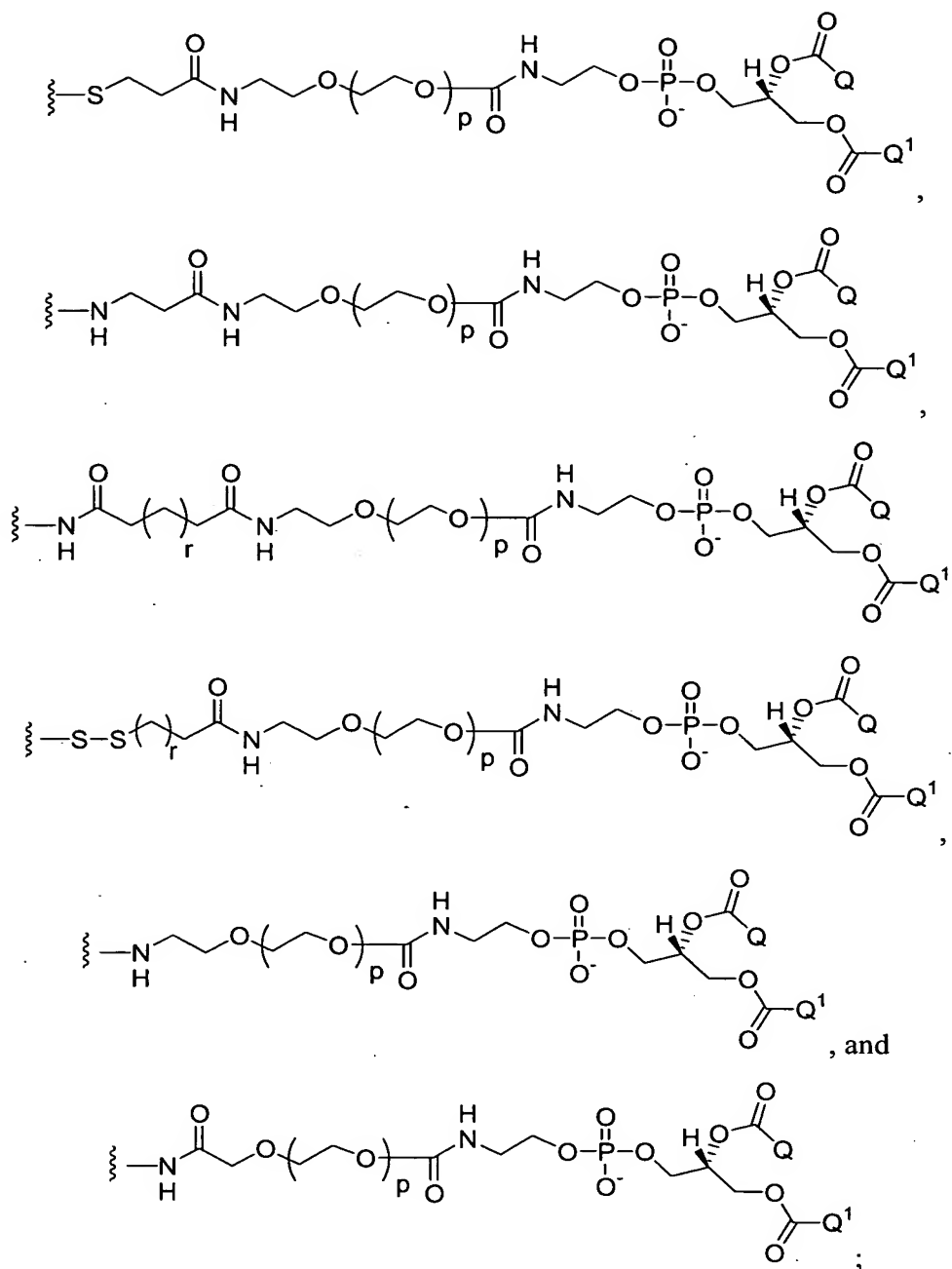
wherein when  $\text{R}_{11}$  or  $\text{R}_{12}$  terminates with a  $-\text{C}(=\text{O})-$ ,  $\text{R}_{13}$  is selected from the group consisting of



and when  $\text{R}_{11}$  or  $\text{R}_{12}$  does not terminate with a  $-\text{C}(=\text{O})-$ ,  $\text{R}_{13}$  is selected from the group consisting of







10 said  $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_p-$  or  $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_p$  of  $\text{R}_{12}$  and  $\text{R}_{13}$  is a polyethylene glycol (PEG) polymer ranging in molecular weight from 750 to 5000 daltons;

$r$  is an integer from 0 to 8;

Q and Q<sup>1</sup> of substituents R<sub>12</sub> and R<sub>13</sub> are the same within a given compound and are selected from the group consisting of  
 the C<sub>11</sub> saturated chain of lauric acid,  
 5 the C<sub>15</sub> saturated chain of palmitic acid,  
 the C<sub>17</sub> saturated chain of stearic acid,  
 the C<sub>17</sub> mono-unsaturated chain of oleic acid, and  
 the C<sub>17</sub> di-unsaturated chain of linoleic acid;

10 Z is selected from the group consisting of hydroxy, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl,  
 -N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -O-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-OH, -O-C<sub>1-8</sub>alkyl-C<sub>1-4</sub>alkoxy, -O-  
 C<sub>1-8</sub>alkylcarbonylC<sub>1-4</sub>alkyl, -O-C<sub>1-8</sub>alkyl-CO<sub>2</sub>H, -O-C<sub>1-8</sub>alkyl-C(O)O-C<sub>1-6</sub>alkyl, -  
 O-C<sub>1-8</sub>alkyl-O-C(O)C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-NH<sub>2</sub>, -O-C<sub>1-8</sub>alkyl-NH-C<sub>1-8</sub>alkyl, -O-  
 C<sub>1-8</sub>alkyl-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -O-C<sub>1-8</sub>alkylamide -O-C<sub>1-8</sub>alkyl-C(O)-NH-C<sub>1-8</sub>alkyl, -  
 15 O-C<sub>1-8</sub>alkyl-C(O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub> and  
 -NHC(O)C<sub>1-8</sub>alkyl.

77. The targeting conjugate of claim 40 wherein

20 W is preferably -C<sub>0-4</sub>alkyl(R<sub>1</sub>) or -C<sub>0-4</sub>alkyl-phenyl(R<sub>1</sub>,R<sub>8</sub>);

R<sub>1</sub> is -N(R<sub>4</sub>)(R<sub>6</sub>), -tetrahydropyrimidinyl(R<sub>8</sub>) or  
 -tetrahydro-1,8-naphthyridinyl(R<sub>8</sub>);

25 R<sub>1a</sub> is -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>),  
 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>,  
 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>) or  
 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>;

30 R<sub>4</sub> is hydrogen;

R<sub>5</sub> is -C(=O)-R<sub>4</sub>, -C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -CO<sub>2</sub>-R<sub>4</sub>, -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>,  
 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -N(R<sub>4</sub>)-C(R<sub>4</sub>)(=N-R<sub>4</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>,

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-N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>) or -SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>;

R<sub>6</sub> is -dihydroimidazolyl(R<sub>8</sub>), -tetrahydropyridinyl(R<sub>8</sub>),  
-tetrahydropyrimidinyl(R<sub>8</sub>) or -pyridinyl(R<sub>8</sub>);

5

R<sub>7</sub> is hydrogen;

R<sub>8</sub> is one to four substituents independently selected from hydrogen or  
-C<sub>1-4</sub>alkyl(R<sub>9</sub>) when attached to a nitrogen atom; and, wherein R<sub>8</sub> is one to four  
substituents independently selected from hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
-C<sub>1-4</sub>alkoxy(R<sub>9</sub>) -O-aryl(R<sub>10</sub>) or hydroxy when attached to a carbon atom;

10

R<sub>9</sub> is hydrogen, -C<sub>1-4</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, (halo)<sub>1-3</sub> or  
hydroxy;

15

R<sub>10</sub> is hydrogen;

R<sub>2a</sub> is -tetrahydropyrimidinyl(R<sub>8</sub>)(R<sub>12</sub>), -1,3-benzodioxolyl(R<sub>8</sub>)(R<sub>12</sub>),  
-dihydrobenzofuranyl(R<sub>8</sub>)(R<sub>12</sub>), -tetrahydroquinolinyl(R<sub>8</sub>)(R<sub>12</sub>),  
-phenyl(R<sub>8</sub>)(R<sub>12</sub>), -naphthalenyl(R<sub>8</sub>)(R<sub>12</sub>), -pyridinyl(R<sub>8</sub>)(R<sub>12</sub>),  
-pyrimidinyl(R<sub>8</sub>)(R<sub>12</sub>) or -quinolinyl(R<sub>8</sub>)(R<sub>12</sub>);

20

q is 1 or 2;

25

R<sub>12</sub> is selected from the group consisting of

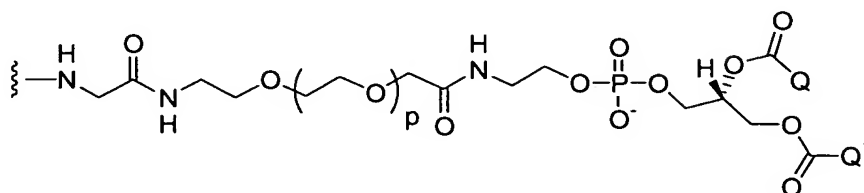
-CH<sub>2</sub>-O-(CH<sub>2</sub>)<sub>4</sub>(R<sub>13</sub>)-,  
-CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>4</sub>(R<sub>13</sub>)-,  
-CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>4</sub>(R<sub>13</sub>)-,  
-CH<sub>2</sub>-O-(CH<sub>2</sub>)<sub>6</sub>(R<sub>13</sub>)-,  
-CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>6</sub>(R<sub>13</sub>)-,  
-CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>6</sub>(R<sub>13</sub>)-,

30

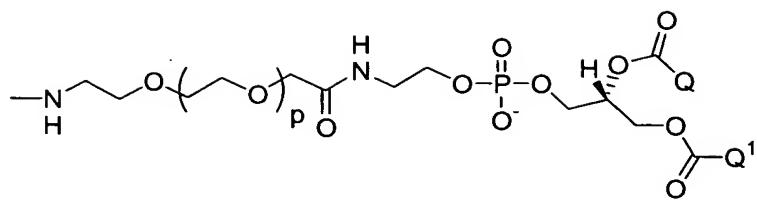
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- NH-C(=O)-(CH<sub>2</sub>)<sub>4</sub>(R<sub>13</sub>)-,  
 -NH-C(=O)-(CH<sub>2</sub>)<sub>7</sub>(R<sub>13</sub>)-,  
 -NH-C(=O)NH-(CH<sub>2</sub>)<sub>3</sub>(R<sub>13</sub>)-,  
 -NH-C(=O)NH-(CH<sub>2</sub>)<sub>6</sub>(R<sub>13</sub>)-,  
 5 -CH<sub>2</sub>NH-C(=O)NH-(CH<sub>2</sub>)<sub>2</sub>(R<sub>13</sub>)-,  
 -CH<sub>2</sub>NH-C(=O)NH-(CH<sub>2</sub>)<sub>5</sub>(R<sub>13</sub>)-,  
 -NHC(=O)-(CH<sub>2</sub>)<sub>2</sub>-C(=O)(R<sub>13</sub>)-,  
 -NHC(=O)-(CH<sub>2</sub>)<sub>3</sub>-C(=O)(R<sub>13</sub>)-,  
 -NHC(=O)-(CH<sub>2</sub>)<sub>4</sub>-C(=O)(R<sub>13</sub>)-,  
 10 -OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,  
 -NHCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,  
 -OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,  
 -NHCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,  
 -OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)(R<sub>13</sub>)-,  
 15 -OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)(R<sub>13</sub>)-,  
 -NHC(=O)CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,  
 -NHC(=O)CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,  
 -CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,  
 -CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,  
 20 -CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,  
 -CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,  
 -CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,  
 -CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,  
 -CH<sub>2</sub>NHC(=O)CH<sub>2</sub>OCH<sub>2</sub>C(=O)(R<sub>13</sub>)-, and  
 25 -NHC(=O)CH<sub>2</sub>OCH<sub>2</sub>C(=O)(R<sub>13</sub>)-;

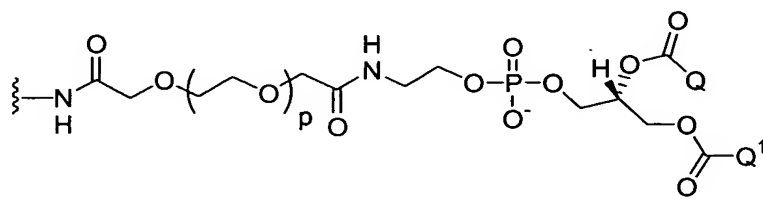
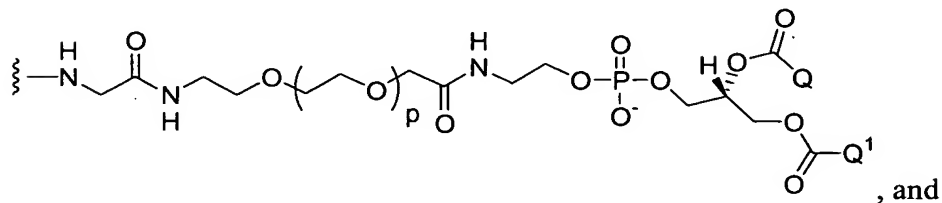
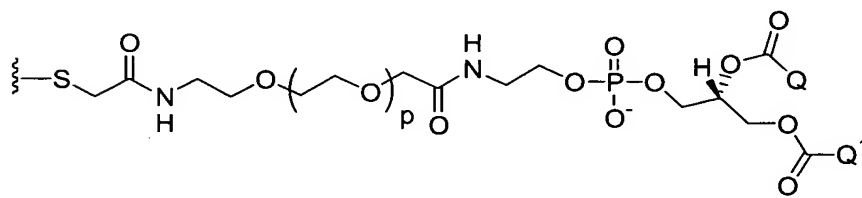
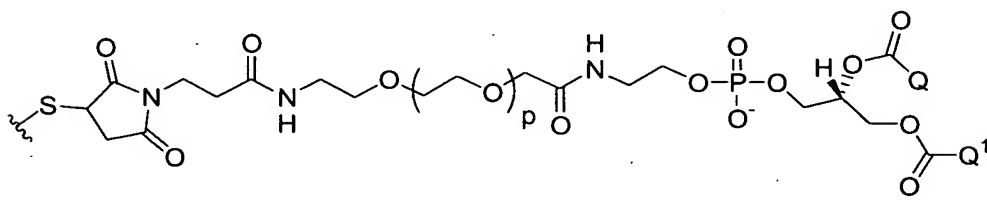
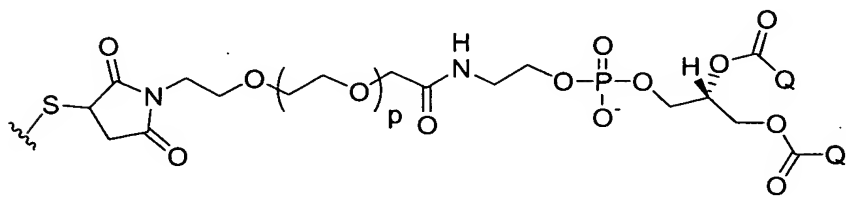
wherein when R<sub>11</sub> or R<sub>12</sub> terminates with a -C(=O)-, R<sub>13</sub> is selected from the group consisting of

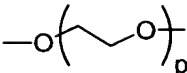


and



and when  $R_{11}$  or  $R_{12}$  does not terminate with a  $-C(=O)-$ ,  $R_{13}$  is selected from the group consisting of



wherein said  $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_p-$  or  of  $\text{R}_{12}$  and  $\text{R}_{13}$  is a polyethylene glycol (PEG) polymer selected from 2000 (PEG 2000), 3400 (PEG 3400), or 5000 (PEG 5000) Daltons;

$r$  is an integer from 0 to 8;

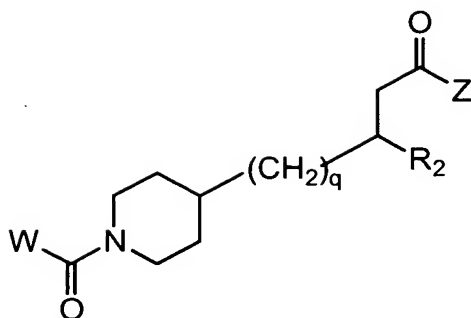
$\text{Q}$  and  $\text{Q}^1$  of substituents  $\text{R}_{12}$  and  $\text{R}_{13}$  are the same within a given compound and is the  $\text{C}_{17}$  saturated chain of stearic acid;

$\text{Z}$  is selected from the group consisting of hydroxy,  $-\text{NH}_2$ ,  $-\text{NH}-\text{C}_{1-8}\text{alkyl}$ ,  $-\text{N}(\text{C}_{1-8}\text{alkyl})_2$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{OH}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}_{1-4}\text{alkoxy}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{carbonyl}-\text{C}_{1-4}\text{alkyl}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{CO}_2\text{H}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}(\text{O})-\text{O}-\text{C}_{1-6}\text{alkyl}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{O}-\text{C}(\text{O})-\text{C}_{1-8}\text{alkyl}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{NH}_2$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{NH}-\text{C}_{1-8}\text{alkyl}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{N}(\text{C}_{1-8}\text{alkyl})_2$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{amide}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}(\text{O})-\text{NH}-\text{C}_{1-8}\text{alkyl}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}(\text{O})-\text{N}(\text{C}_{1-8}\text{alkyl})_2$  and  $-\text{NHC}(\text{O})-\text{C}_{1-8}\text{alkyl}$ .

78. A therapeutic liposome composition sensitized to a target cell, comprising
- (i) a liposomal composition composed of pre-formed liposomes having an entrapped therapeutic agent; and
  - (ii) a plurality of targeting conjugates, each conjugate composed of
    - (a) a lipid having a polar head group and a hydrophobic tail, (b) a hydrophilic polymer having a proximal end and a distal end, where the polymer is attached at its proximal end to the head group of the lipid, and
    - (c) a targeting ligand attached to the distal end of the polymer.

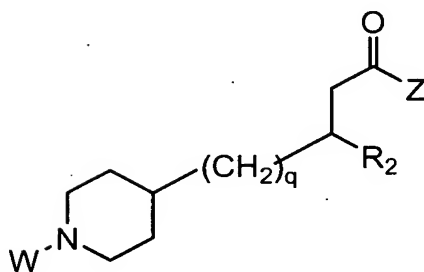
79. The liposome of claim 78 wherein the targeting conjugate has a formula selected from the group consisting of
- Formula (I):





Formula (I)

and Formula (II):



Formula (II)

5 wherein

W is selected from the group consisting of -C<sub>0-6</sub>alkyl(R<sub>1</sub>), -C<sub>1-6</sub>alkyl(R<sub>1a</sub>),  
-C<sub>0-6</sub>alkyl-aryl(R<sub>1</sub>,R<sub>8</sub>), -C<sub>0-6</sub>alkyl-heterocyclyl(R<sub>1</sub>,R<sub>8</sub>), -C<sub>0-6</sub>alkoxy(R<sub>1</sub>),  
-C<sub>0-6</sub>alkoxy-aryl(R<sub>1</sub>,R<sub>8</sub>), and -C<sub>0-6</sub>alkoxy-heterocyclyl(R<sub>1</sub>,R<sub>8</sub>);

10 R<sub>1</sub> is selected from the group consisting of hydrogen, -N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)(R<sub>5</sub>), -N(R<sub>4</sub>)(R<sub>6</sub>),  
-heterocyclyl(R<sub>8</sub>) and -heteroaryl(R<sub>8</sub>);

R<sub>1a</sub> is selected from the group consisting of -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>,  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>,  
15 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>,  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>7</sub>) and -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>;

R<sub>4</sub> is selected from the group consisting of hydrogen and -C<sub>1-8</sub>alkyl(R<sub>7</sub>);

20 R<sub>5</sub> is selected from the group consisting of -C(=O)-R<sub>4</sub>, -C(=O)-N(R<sub>4</sub>)<sub>2</sub>,

-C(=O)-cycloalkyl(R<sub>8</sub>), -C(=O)-heterocyclyl(R<sub>8</sub>), -C(=O)-aryl(R<sub>8</sub>),  
 -C(=O)-heteroaryl(R<sub>8</sub>), -C(=O)-N(R<sub>4</sub>)-cycloalkyl(R<sub>8</sub>), -C(=O)-N(R<sub>4</sub>)-aryl(R<sub>8</sub>),  
 -CO<sub>2</sub>-R<sub>4</sub>, -CO<sub>2</sub>-cycloalkyl(R<sub>8</sub>), -CO<sub>2</sub>-aryl(R<sub>8</sub>), -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>,  
 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>,  
 5 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>,  
 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>7</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>,  
 -N(R<sub>4</sub>)-C(R<sub>4</sub>)(=N-R<sub>4</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>),  
 -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>,  
 -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>7</sub>),  
 10 -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>7</sub>), -SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>,  
 -SO<sub>2</sub>-cycloalkyl(R<sub>8</sub>) and -SO<sub>2</sub>-aryl(R<sub>8</sub>);

R<sub>6</sub> is selected from the group consisting of -cycloalkyl(R<sub>8</sub>), -heterocyclyl(R<sub>8</sub>), -aryl(R<sub>8</sub>)  
 and -heteroaryl(R<sub>8</sub>);

15

R<sub>7</sub> is one to two substituents independently selected from the group consisting of  
 hydrogen, -C<sub>1-8</sub>alkoxy(R<sub>9</sub>), -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)H,  
 -C(=O)-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
 -C(=O)-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>),  
 20 -C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H,  
 -CO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>, -SH, -S-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
 -S-C<sub>1-8</sub>alkyl-S-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-8</sub>alkyl-C<sub>1-8</sub>alkoxy(R<sub>9</sub>),  
 -S-C<sub>1-8</sub>alkyl-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>,  
 -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>), cyano, (halo)<sub>1-3</sub>,  
 25 hydroxy, nitro, oxo, -cycloalkyl(R<sub>10</sub>), -heterocyclyl(R<sub>10</sub>), -aryl(R<sub>10</sub>) and  
 -heteroaryl(R<sub>10</sub>);

R<sub>8</sub> is one to four substituents independently selected from the group consisting of  
 hydrogen, -C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)-NH<sub>2</sub>,

30

-C(=O)-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>),  
 -C(=O)-cycloalkyl(R<sub>10</sub>), -C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>),  
 -C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>,

-SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
 -SO<sub>2</sub>-aryl(R<sub>10</sub>), -cycloalkyl(R<sub>10</sub>) and -aryl(R<sub>10</sub>) when attached to a nitrogen atom;  
 and, wherein R<sub>8</sub> is one to four substituents independently selected from the group  
 consisting of hydrogen, -C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C<sub>1-8</sub>alkoxy(R<sub>9</sub>), -O-cycloalkyl(R<sub>10</sub>),

5 -O-aryl(R<sub>10</sub>), -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NHC(=O)-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
 -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
 -C(=O)-NH-aryl(R<sub>10</sub>), -NHC(=O)-NH<sub>2</sub>, -NHC(=O)-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
 -NHC(=O)-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -NHC(=O)-NH-aryl(R<sub>10</sub>),  
 -NHC(=O)-O-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NHC(=O)-O-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>),  
 10 -C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>),  
 -NHC(=O)-cycloalkyl(R<sub>10</sub>), -NHC(=O)-heterocyclyl(R<sub>10</sub>), -NHC(=O)-aryl(R<sub>10</sub>),  
 -NHC(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>),  
 -C(=NH)-NH<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
 -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>), -NHSO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NHSO<sub>2</sub>-aryl(R<sub>10</sub>),  
 15 -SH, -S-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-8</sub>alkyl-S-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-8</sub>alkyl-C<sub>1-8</sub>alkoxy(R<sub>9</sub>),  
 -S-C<sub>1-8</sub>alkyl-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, cyano,  
 halo, hydroxy, nitro, oxo, -cycloalkyl(R<sub>10</sub>), -heterocyclyl(R<sub>10</sub>), -aryl(R<sub>10</sub>), and  
 -heteroaryl(R<sub>10</sub>) when attached to a carbon atom;

20 R<sub>9</sub> is selected from the group consisting of hydrogen, -C<sub>1-8</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl,  
 -N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-8</sub>alkyl, -C(=O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub>,  
 -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl,  
 -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, cyano, (halo)<sub>1-3</sub>, hydroxy, nitro and oxo;

25 R<sub>10</sub> is one to four substituents independently selected from the group consisting of  
 hydrogen, -C<sub>1-8</sub>alkyl, -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl, -C(=O)-NH<sub>2</sub>,  
 -C(=O)-NH-C<sub>1-8</sub>alkyl, -C(=O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl,  
 -SO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl and -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl)<sub>2</sub> when  
 attached to a nitrogen atom; and, wherein R<sub>10</sub> is one to four substituents  
 30 independently selected from the group consisting of hydrogen, -C<sub>1-8</sub>alkyl,  
 -C<sub>1-8</sub>alkoxy, -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-8</sub>alkyl,  
 -C(=O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>,

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-SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl, -N(C<sub>1-8</sub>alkyl)<sub>2</sub>, cyano, halo, hydroxy, nitro and oxo when attached to a carbon atom;

q is 0, 1, 2, or 3;

5

R<sub>2a</sub> is selected from the group consisting of -C<sub>1-8</sub>alkyl(R<sub>7</sub>)(R<sub>11</sub>), -C<sub>2-8</sub>alkenyl(R<sub>7</sub>)(R<sub>11</sub>), -C<sub>2-8</sub>alkynyl(R<sub>7</sub>)(R<sub>11</sub>), -cycloalkyl(R<sub>7</sub>)(R<sub>11</sub>), -heterocyclyl(R<sub>8</sub>)(R<sub>12</sub>), -aryl(R<sub>8</sub>)(R<sub>12</sub>) and -heteroaryl(R<sub>8</sub>)(R<sub>12</sub>);

10

R<sub>11</sub> is selected from the group consisting of -C<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -S-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>),

15

-NH-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),

20

-OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>), -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>), -SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>), -OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),

25

-SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), -OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>), -OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>), -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>), -NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),

30

-NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>), -NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>), -SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),

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-SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -C(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 5 -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), and  
 10 -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>);

R<sub>12</sub> is selected from the group consisting of -C<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C<sub>1-8</sub>alkyl(R<sub>13</sub>),

-NH-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -S-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>O-C<sub>1-8</sub>alkyl(R<sub>13</sub>),  
 -CH<sub>2</sub>NH-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>S-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),  
 15 -O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),  
 -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),  
 -C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),  
 -O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),  
 -NH-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),  
 20 -CH<sub>2</sub>O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),  
 -CH<sub>2</sub>NH-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>NH-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),  
 -C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 -NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 -CH<sub>2</sub>NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 25 -O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 -CH<sub>2</sub>O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 -C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 -NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 -CH<sub>2</sub>NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 30 -OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),

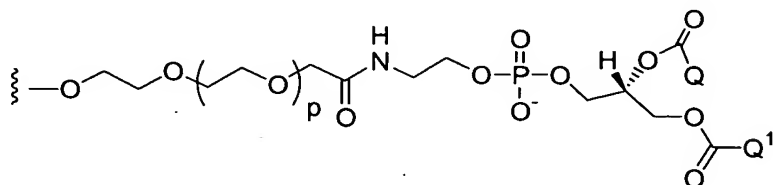
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- OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 5 -OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 10 -SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 15 -CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 20 -CH<sub>2</sub>OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- C(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- 25 -OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- 30 -NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),

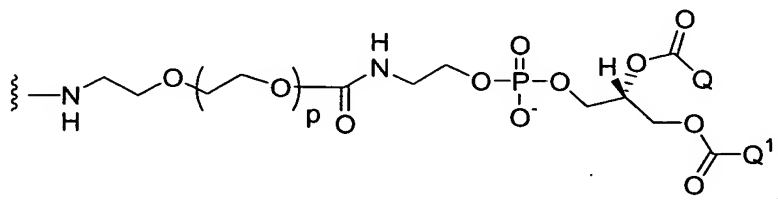
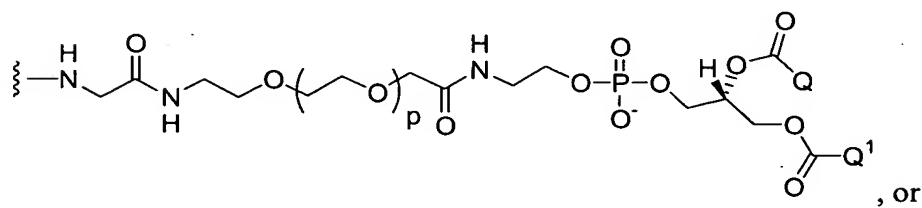
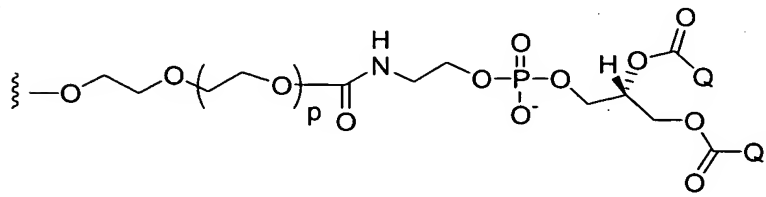
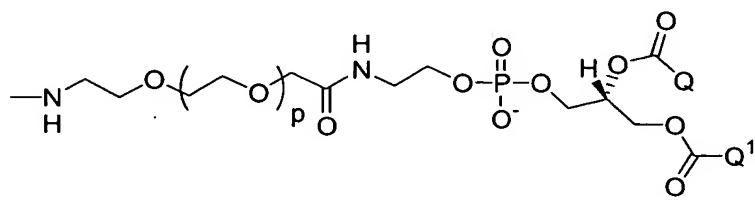
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- CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- 5 -CH<sub>2</sub>NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), and
- CH<sub>2</sub>NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>);

wherein when R<sub>11</sub> or R<sub>12</sub> terminates with a -C(=O)-, R<sub>13</sub> is selected from

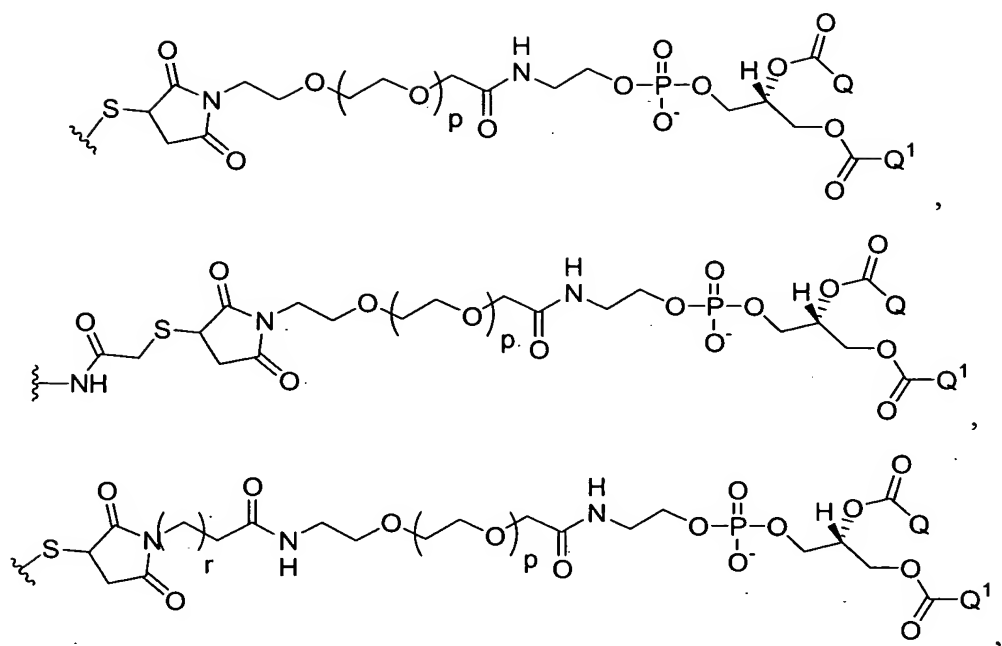


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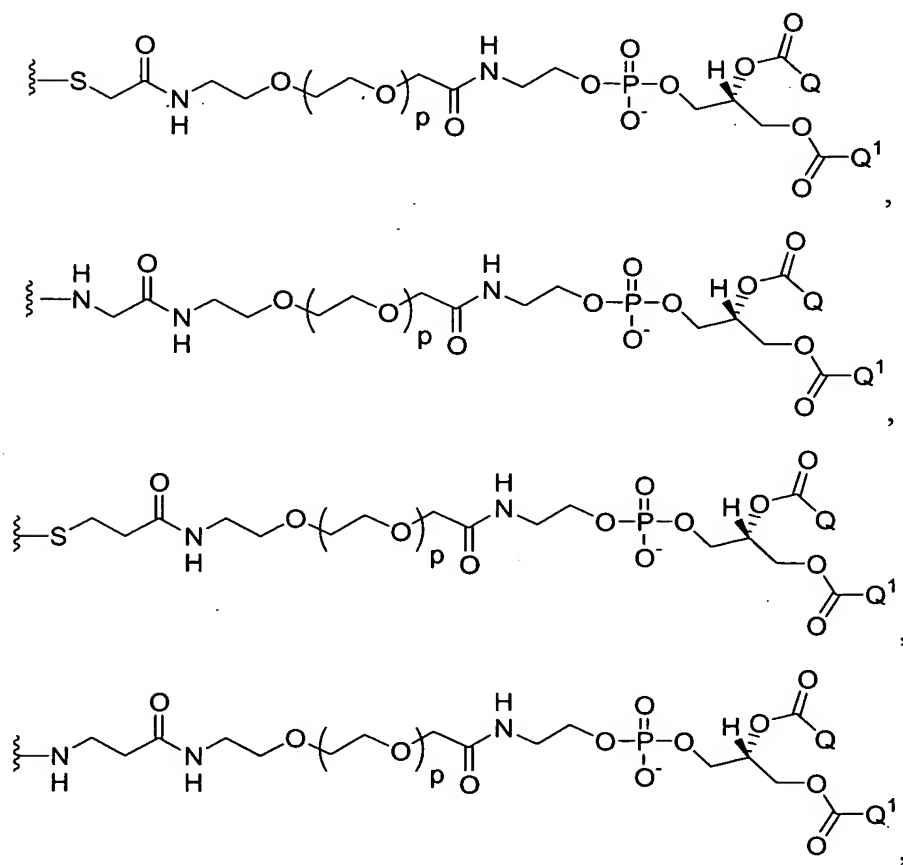


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and when R<sub>11</sub> or R<sub>12</sub> does not terminate with a -C(=O)-, R<sub>13</sub> is selected from the group consisting of



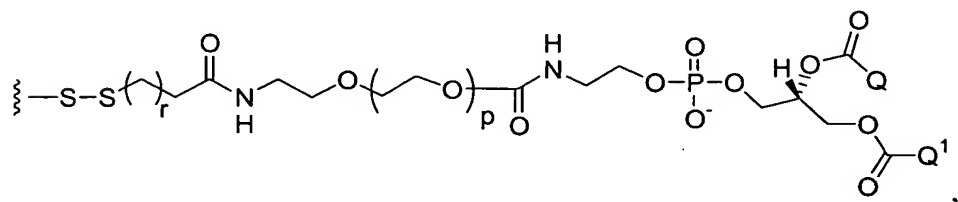
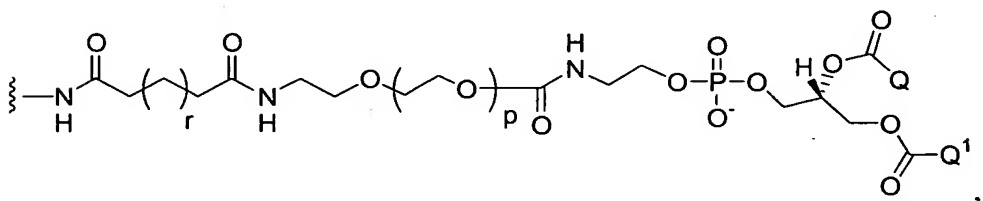
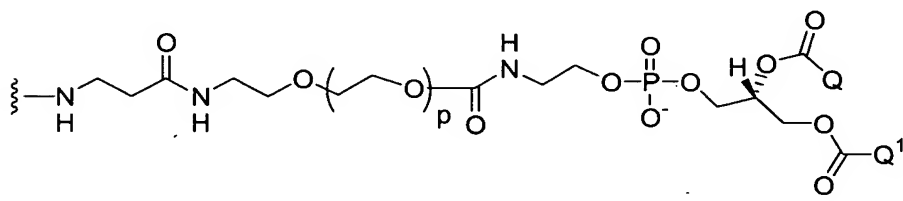
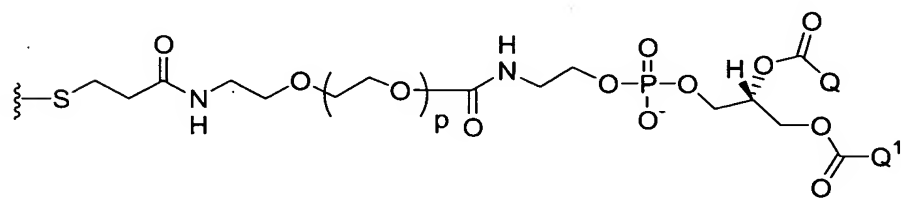
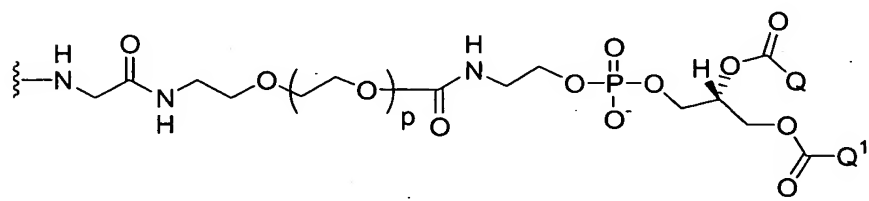
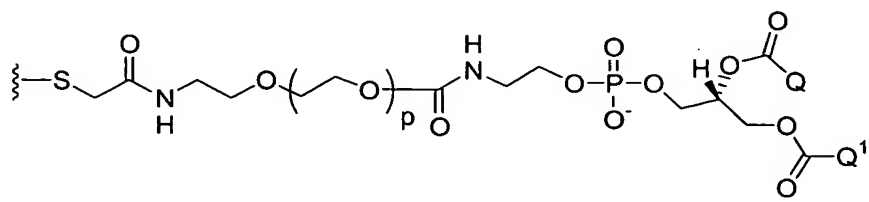
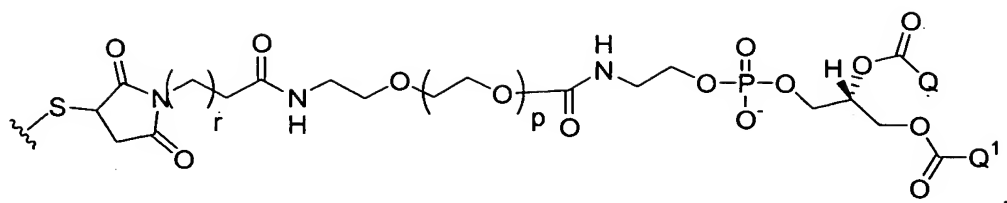
5



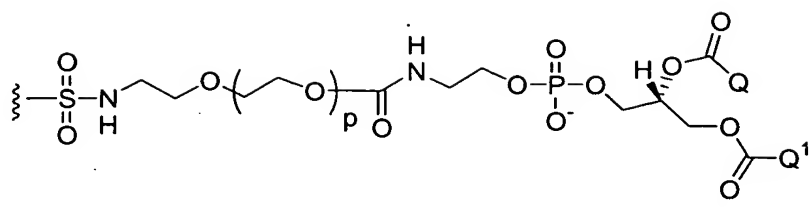












wherein the unit  $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_p-$  or  $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_p-$  of  $\text{R}_{12}$  and  $\text{R}_{13}$  is a polyethylene glycol (PEG) polymer ranging in molecular weight from 750 to 5000 daltons;

$r$  is an integer from 0 to 8;

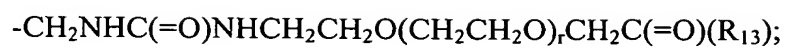
$\text{Q}$  and  $\text{Q}^1$  of substituents  $\text{R}_{12}$  and  $\text{R}_{13}$  are the same within a given compound and are selected from the group consisting of the  $\text{C}_{11}$  saturated chain of lauric acid, the  $\text{C}_{13}$  saturated chain of myristic acid, the  $\text{C}_{15}$  saturated chain of palmitic acid, the  $\text{C}_{17}$  saturated chain of stearic acid, the  $\text{C}_{17}$  mono-unsaturated chain of oleic acid, and the  $\text{C}_{17}$  di-unsaturated chain of linoleic acid;

$\text{Z}$  is selected from the group consisting of hydroxy,  $-\text{NH}_2$ ,  $-\text{NH}-\text{C}_{1-8}\text{alkyl}$ ,  $-\text{N}(\text{C}_{1-8}\text{alkyl})_2$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{OH}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}_{1-8}\text{alkoxy}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{carbonyl}-\text{C}_{1-8}\text{alkyl}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{CO}_2\text{H}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}(\text{O})-\text{O}-\text{C}_{1-8}\text{alkyl}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{O}-\text{C}(\text{O})-\text{C}_{1-8}\text{alkyl}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{NH}_2$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{NH}-\text{C}_{1-8}\text{alkyl}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{N}(\text{C}_{1-8}\text{alkyl})_2$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{amide}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}(\text{O})-\text{NH}-\text{C}_{1-8}\text{alkyl}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}(\text{O})-\text{N}(\text{C}_{1-8}\text{alkyl})_2$ , and  $-\text{NHC}(\text{O})-\text{C}_{1-8}\text{alkyl}$ ;

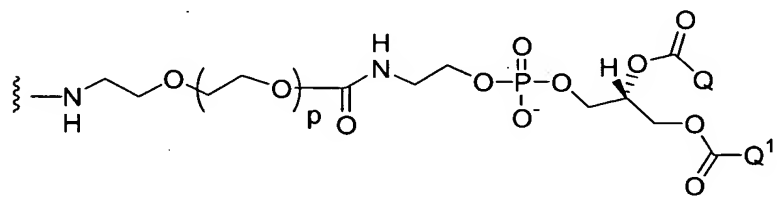
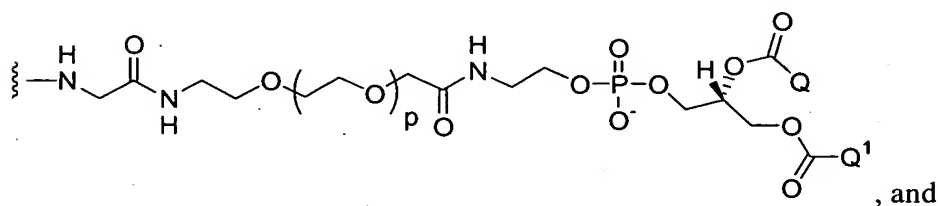
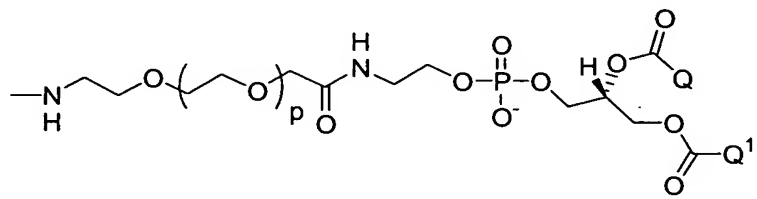
and pharmaceutically acceptable salts, racemic mixtures and enantiomers thereof.

80. The liposome of claim 79 wherein  $\text{R}_{12}$  is selected from the group consisting of  $-\text{C}_{1-6}\text{alkyl}(\text{R}_{13})$ ,  $-\text{O}-\text{C}_{1-6}\text{alkyl}(\text{R}_{13})$ ,

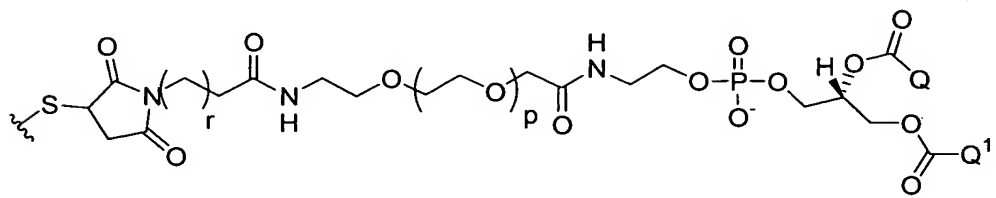
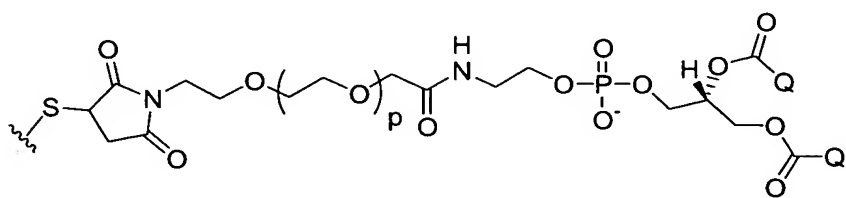
- NH-C<sub>1-4</sub>alkyl(R<sub>13</sub>), -S-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>O-C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
 -CH<sub>2</sub>NH-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>S-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
 -O-C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),  
 -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>NH-C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
 5 -C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),  
 -O-C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -O-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),  
 -NH-C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -NH-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),  
 -NH-C(=O)C<sub>1-6</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 -NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 10 -CH<sub>2</sub>NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
 -OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 15 -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 20 -SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 -CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
 25 -CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 30 -CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
 -CH<sub>2</sub>NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), and

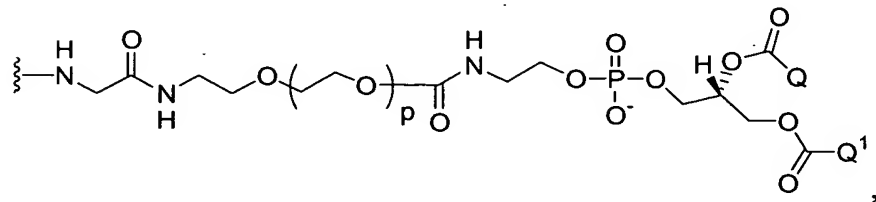
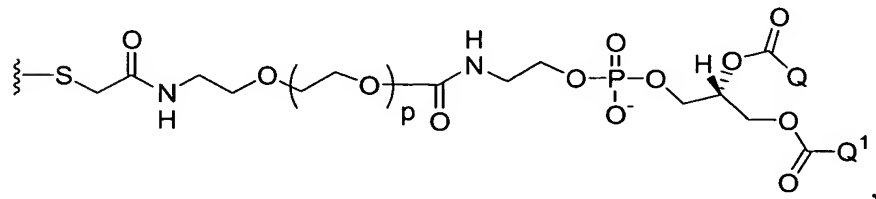
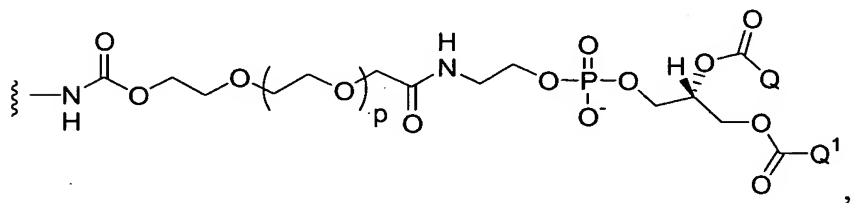
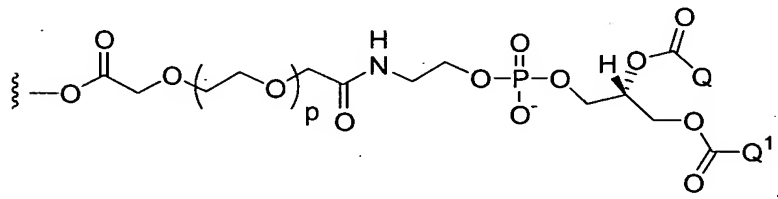
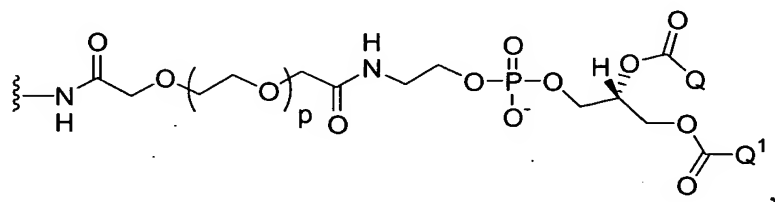
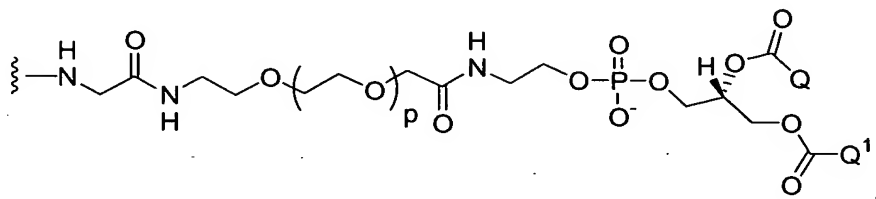
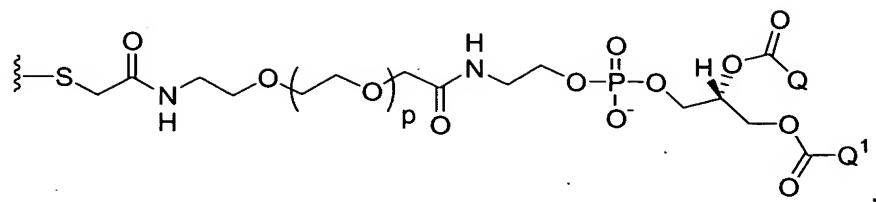


wherein when  $\text{R}_{11}$  or  $\text{R}_{12}$  terminates with a  $-\text{C}(=\text{O})-$ ,  $\text{R}_{13}$  is selected from the group consisting of

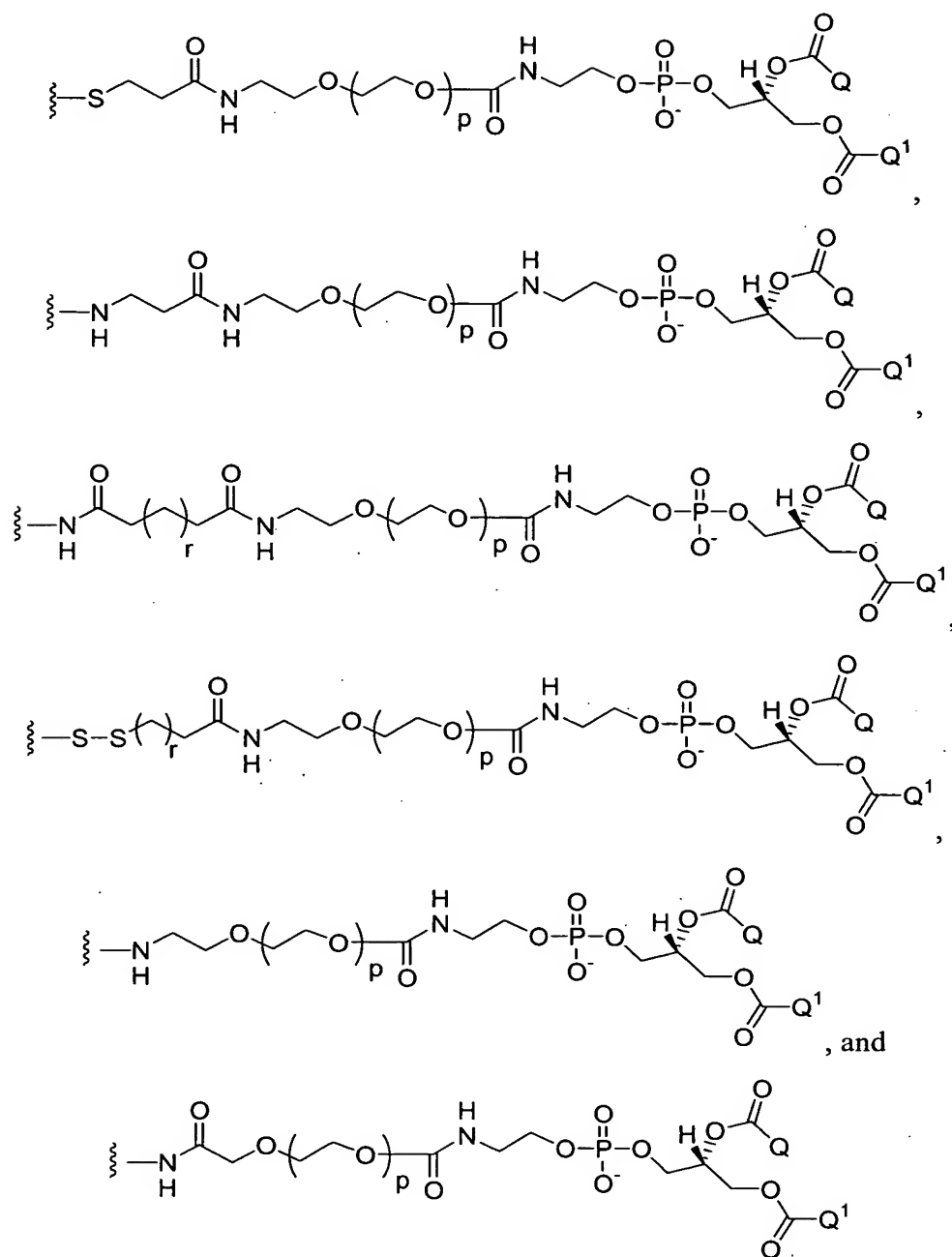


and when  $\text{R}_{11}$  or  $\text{R}_{12}$  does not terminate with a  $-\text{C}(=\text{O})-$ ,  $\text{R}_{13}$  is selected from the group consisting of





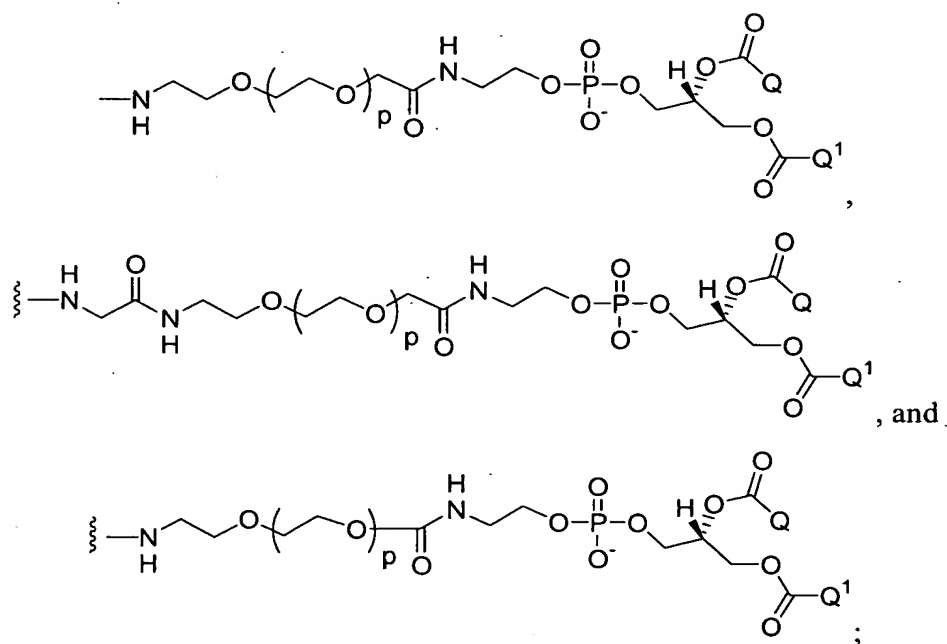




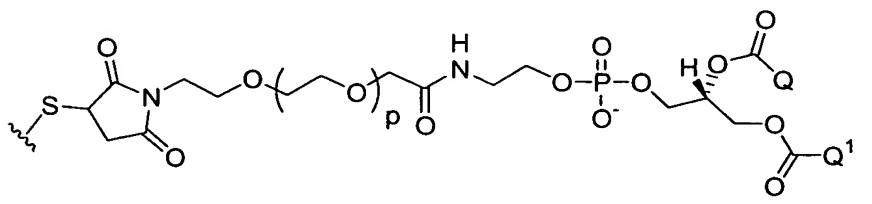
- 10      81.    The liposome of claim 79 wherein  $R_{12}$  is selected from the group consisting of -  
 $\text{CH}_2\text{O-C}_{1-6}\text{alkyl}(R_{13})$ ,  $-\text{CH}_2\text{NH-C}_{1-6}\text{alkyl}(R_{13})$ ,  
 $-\text{CH}_2\text{S-C}_{1-6}\text{alkyl}(R_{13})$ ,  $-\text{NH-C}(=\text{O})\text{C}_{1-8}\text{alkyl}(R_{13})$ ,  
 $-\text{CH}_2\text{NH-C}(=\text{O})\text{C}_{1-6}\text{alkyl}(R_{13})$ ,  $-\text{NH-C}(=\text{O})\text{NHC}_{1-6}\text{alkyl}(R_{13})$ ,

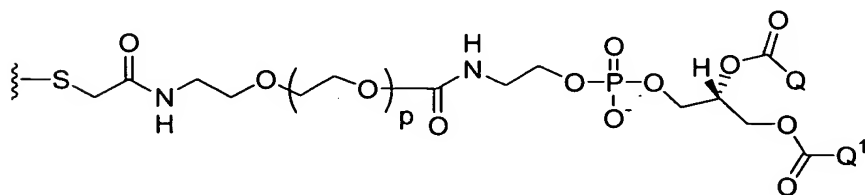
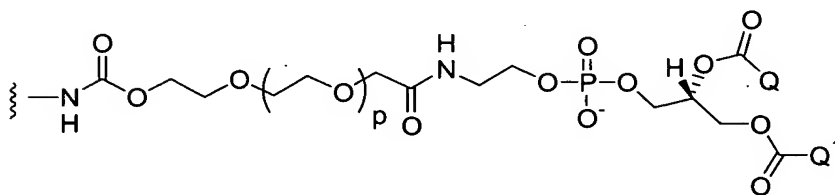
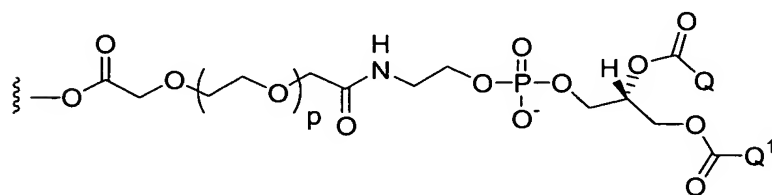
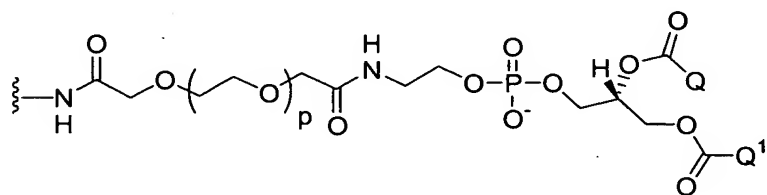
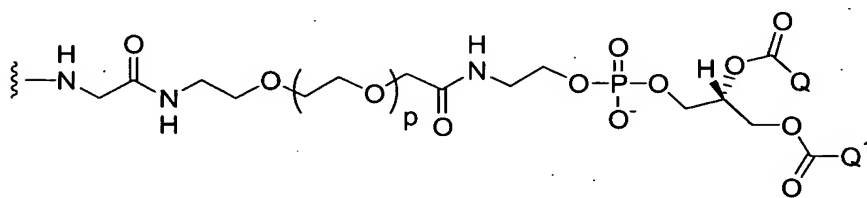
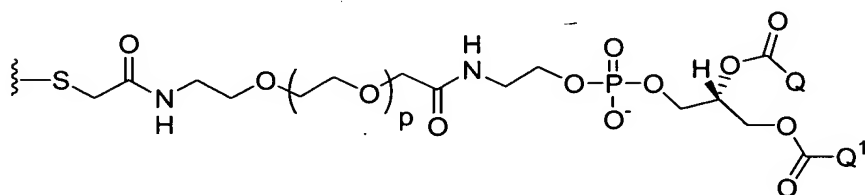
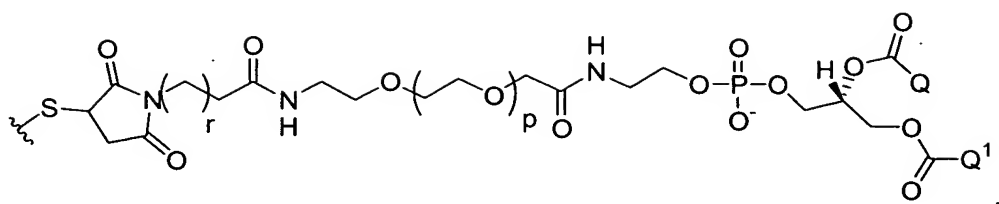
- $-\text{NH}-\text{C}(=\text{O})\text{C}_{1-6}\text{alkylC}(=\text{O})(\text{R}_{13}),$   
 $-\text{OCH}_2\text{CH}_2\text{O}(\text{CH}_2\text{CH}_2\text{O})_r\text{CH}_2\text{CH}_2(\text{R}_{13}),$   
 $-\text{NHCH}_2\text{CH}_2\text{O}(\text{CH}_2\text{CH}_2\text{O})_r\text{CH}_2\text{CH}_2(\text{R}_{13}),$   
 $-\text{OCH}_2\text{CH}_2\text{O}(\text{CH}_2\text{CH}_2\text{O})_r\text{CH}_2\text{C}(=\text{O})(\text{R}_{13}),$   
 $-\text{NH}(\text{C}=\text{O})\text{CH}_2\text{O}(\text{CH}_2\text{CH}_2\text{O})_r\text{CH}_2\text{CH}_2(\text{R}_{13}),$   
 $-\text{CH}_2\text{OCH}_2\text{CH}_2\text{O}(\text{CH}_2\text{CH}_2\text{O})_r\text{CH}_2\text{CH}_2(\text{R}_{13}),$   
 $-\text{CH}_2\text{NHCH}_2\text{CH}_2\text{O}(\text{CH}_2\text{CH}_2\text{O})_r\text{CH}_2\text{CH}_2(\text{R}_{13}),$   
 $-\text{CH}_2\text{SCH}_2\text{CH}_2\text{O}(\text{CH}_2\text{CH}_2\text{O})_r\text{CH}_2\text{CH}_2(\text{R}_{13}),$   
 $-\text{NH}(\text{C}=\text{O})\text{CH}_2\text{O}(\text{CH}_2\text{CH}_2\text{O})_r\text{CH}_2\text{C}(=\text{O})(\text{R}_{13}),$  and  
 $-\text{CH}_2\text{NH}(\text{C}=\text{O})\text{CH}_2\text{O}(\text{CH}_2\text{CH}_2\text{O})_r\text{CH}_2\text{C}(=\text{O})(\text{R}_{13}).$

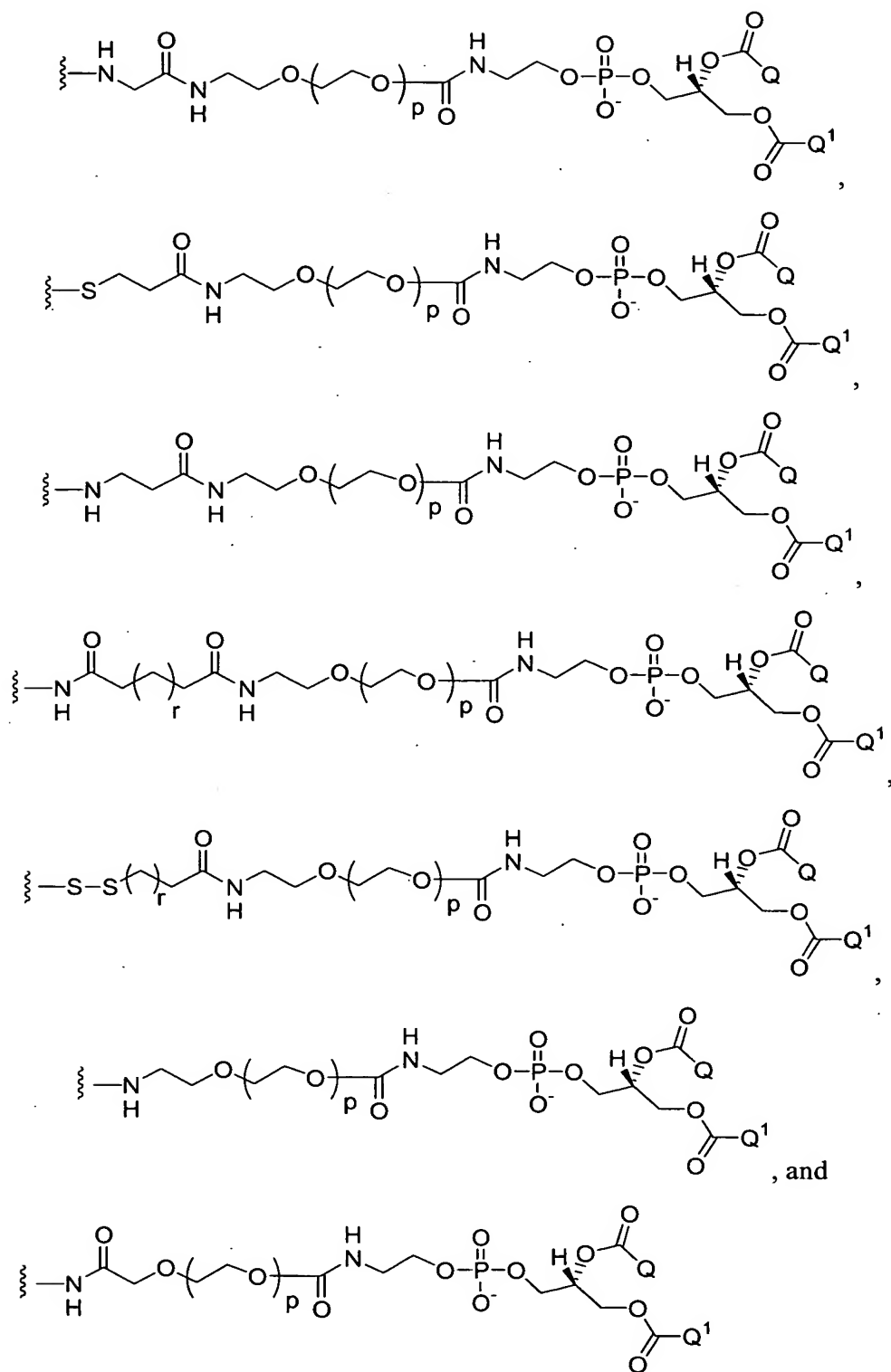
wherein when  $\text{R}_{11}$  or  $\text{R}_{12}$  terminates with a  $-\text{C}(=\text{O})-$ ,  $\text{R}_{13}$  is selected from the group consisting of



and when  $\text{R}_{11}$  or  $\text{R}_{12}$  does not terminate with a  $-\text{C}(=\text{O})-$ ,  $\text{R}_{13}$  is selected from the group consisting of







82. The liposome of claim 79 wherein said  $-O-(CH_2CH_2O)_p-$  or  $-O-(CH_2CH_2O)_p-$  of

R<sub>12</sub> and R<sub>13</sub> is a polyethylene glycol (PEG) polymer ranging in molecular weight from 2000 to 5000 daltons.

83. The liposome of claim 79 wherein wherein Q and Q<sup>1</sup> of substituents

5 R<sub>12</sub> and R<sub>13</sub> are the same within a given compound and are selected from the group consisting of the C<sub>15</sub> saturated chain of palmitic acid, the C<sub>17</sub> saturated chain of stearic acid, and the C<sub>17</sub> mono-unsaturated chain of oleic acid.

10 84. The liposome of claim 79 wherein

W is preferably is selected from the group consisting of -C<sub>0-4</sub>alkyl(R<sub>1</sub>), -C<sub>1-4</sub>alkyl(R<sub>1a</sub>), -C<sub>0-4</sub>alkyl-aryl(R<sub>1</sub>,R<sub>8</sub>), -C<sub>0-4</sub>alkyl-heterocyclyl(R<sub>1</sub>,R<sub>8</sub>), -C<sub>0-4</sub>alkoxy(R<sub>1</sub>), -C<sub>0-4</sub>alkoxy-aryl(R<sub>1</sub>,R<sub>8</sub>), and -C<sub>0-4</sub>alkoxy-heterocyclyl(R<sub>1</sub>,R<sub>8</sub>);

15 R<sub>1</sub> is -N(R<sub>4</sub>)(R<sub>6</sub>), -heterocyclyl(R<sub>8</sub>) or -heteroaryl(R<sub>8</sub>);

R<sub>1a</sub> is -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>) or  
20 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>;

R<sub>4</sub> is hydrogen or -C<sub>1-4</sub>alkyl(R<sub>7</sub>);

25 R<sub>5</sub> is -C(=O)-R<sub>4</sub>, -C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=O)-cycloalkyl(R<sub>8</sub>), -C(=O)-heterocyclyl(R<sub>8</sub>), -C(=O)-aryl(R<sub>8</sub>), -C(=O)-heteroaryl(R<sub>8</sub>), -C(=O)-N(R<sub>4</sub>)-cycloalkyl(R<sub>8</sub>), -C(=O)-N(R<sub>4</sub>)-aryl(R<sub>8</sub>), -CO<sub>2</sub>-R<sub>4</sub>, -CO<sub>2</sub>-cycloalkyl(R<sub>8</sub>), -CO<sub>2</sub>-aryl(R<sub>8</sub>), -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>,  
30 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(R<sub>4</sub>)(=N-R<sub>4</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>,

-N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>),  
 -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>), -SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>,  
 -SO<sub>2</sub>-cycloalkyl(R<sub>8</sub>) or -SO<sub>2</sub>-aryl(R<sub>8</sub>);

5 R<sub>6</sub> is -heterocyclyl(R<sub>8</sub>) or -heteroaryl(R<sub>8</sub>);

R<sub>7</sub> is one to two substituents independently selected from hydrogen,  
 -C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)H,  
 -C(=O)-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
 10 -C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>),  
 -C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H,  
 -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>, -SH, -S-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
 -S-C<sub>1-4</sub>alkyl-S-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-4</sub>alkyl-C<sub>1-4</sub>alkoxy(R<sub>9</sub>),  
 -S-C<sub>1-4</sub>alkyl-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>,  
 15 -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>), cyano, (halo)<sub>1-3</sub>,  
 hydroxy, nitro, oxo, -cycloalkyl(R<sub>10</sub>), -heterocyclyl(R<sub>10</sub>), -aryl(R<sub>10</sub>) or  
 -heteroaryl(R<sub>10</sub>);

R<sub>8</sub> is one to four substituents independently selected from hydrogen,  
 20 -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
 -C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>) or -SO<sub>2</sub>-NH<sub>2</sub> when  
 attached to a nitrogen atom; and, wherein R<sub>8</sub> is one to four substituents  
 independently selected from hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C<sub>1-4</sub>alkoxy(R<sub>9</sub>),  
 -O-aryl(R<sub>10</sub>), -C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
 25 -C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>, -NH<sub>2</sub>,  
 -NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, cyano, halo, hydroxy, nitro or oxo when  
 attached to a carbon atom;

R<sub>9</sub> is hydrogen, -C<sub>1-4</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -C(=O)H,  
 30 -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl, -C(=O)-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H,  
 -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl,  
 -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, cyano, (halo)<sub>1-3</sub>, hydroxy, nitro or oxo;

R<sub>10</sub> is one to four substituents independently selected from hydrogen, -C<sub>1-4</sub>alkyl, -C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl, -C(=O)-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl or -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl)<sub>2</sub> when attached to a nitrogen atom; and, wherein R<sub>10</sub> is one to four substituents independently selected from hydrogen, -C<sub>1-4</sub>alkyl, -C<sub>1-4</sub>alkoxy, -C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl, -C(=O)-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, cyano, halo, hydroxy, nitro or oxo when attached to a carbon atom;

R<sub>2a</sub> is -cycloalkyl(R<sub>8</sub>)(R<sub>11</sub>), -heterocyclyl(R<sub>8</sub>)(R<sub>12</sub>), -aryl(R<sub>8</sub>)(R<sub>12</sub>) or -heteroaryl(R<sub>8</sub>)(R<sub>12</sub>);

q is 1, 2 or 3.

R<sub>11</sub> is selected from the group consisting of -C<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -S-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>), -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>), -C(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), -OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),

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-NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
and -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>);

R<sub>12</sub> is selected from the group consisting of

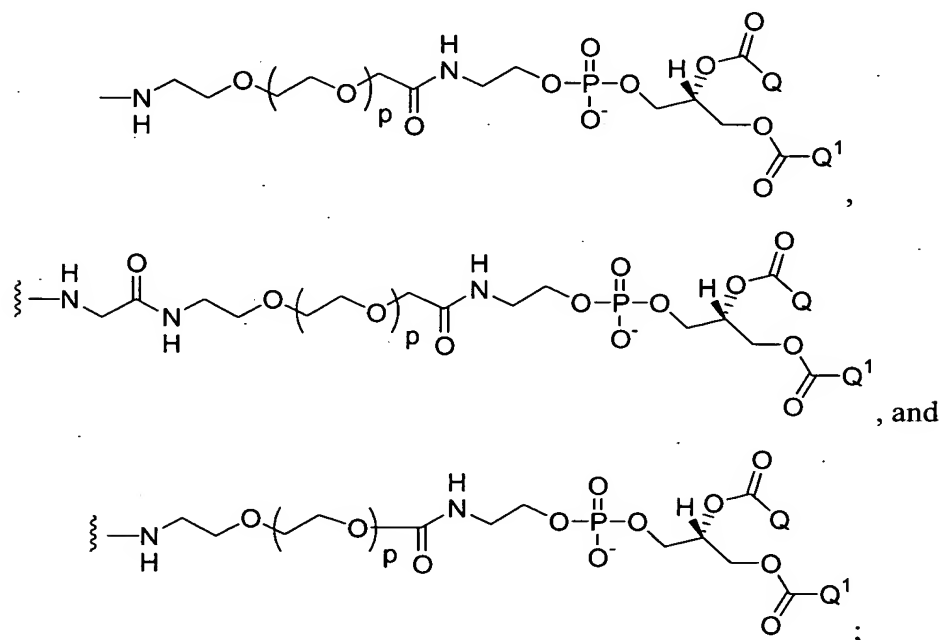
- 5 -C<sub>1-6</sub>alkyl(R<sub>13</sub>), -O-C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-NH-C<sub>1-4</sub>alkyl(R<sub>13</sub>), -S-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>O-C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-CH<sub>2</sub>NH-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>S-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-O-C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),  
-CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>NH-C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
10 -C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-O-C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -O-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-NH-C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -NH-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-NH-C(=O)C<sub>1-6</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
-NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
15 -CH<sub>2</sub>NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
-OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
20 -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
25 -SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
30 -CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),



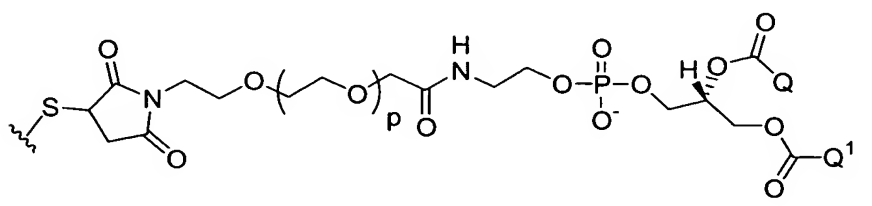
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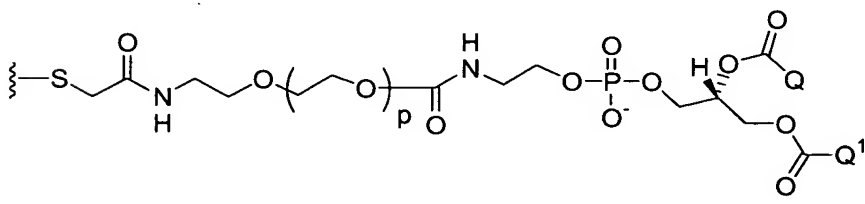
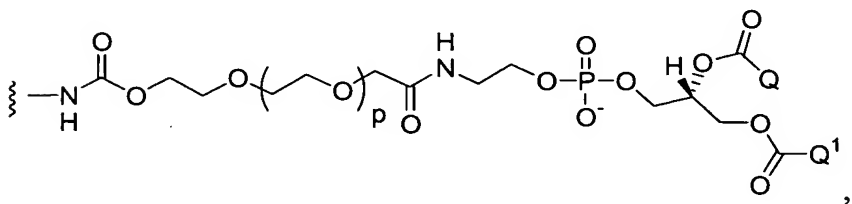
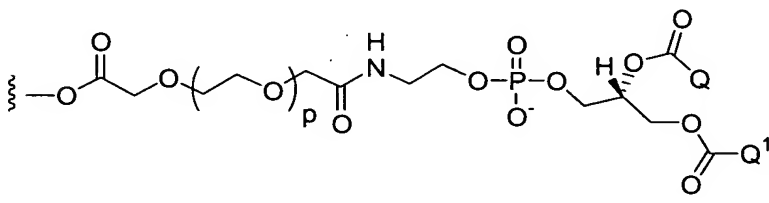
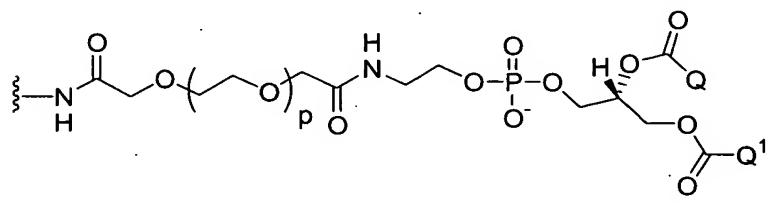
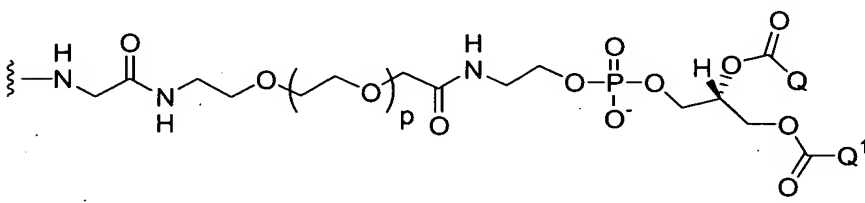
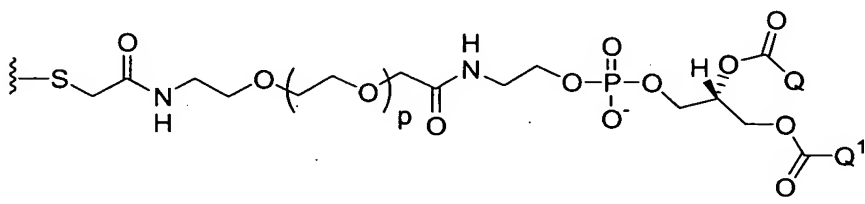
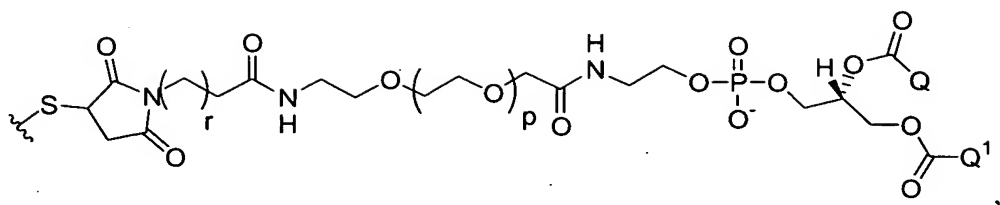
- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), and
- CH<sub>2</sub>NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>);

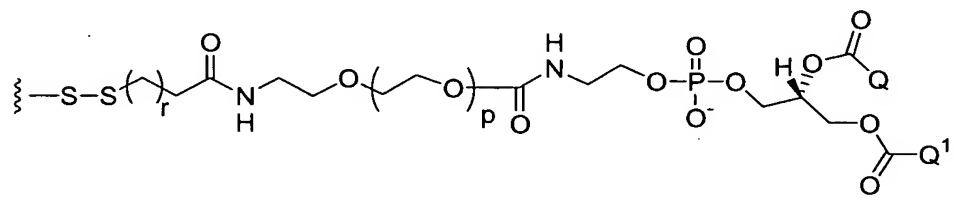
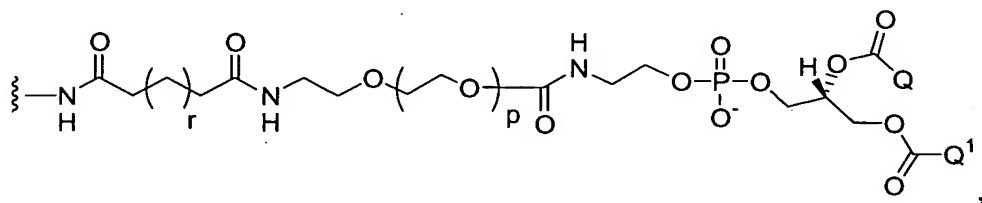
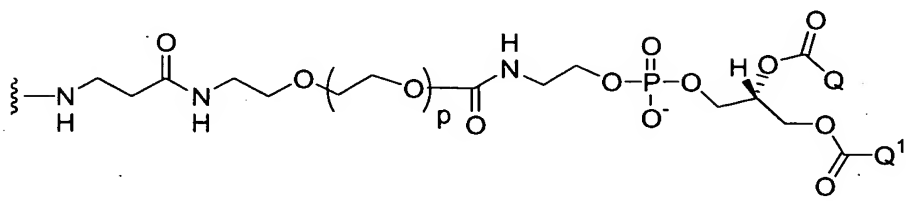
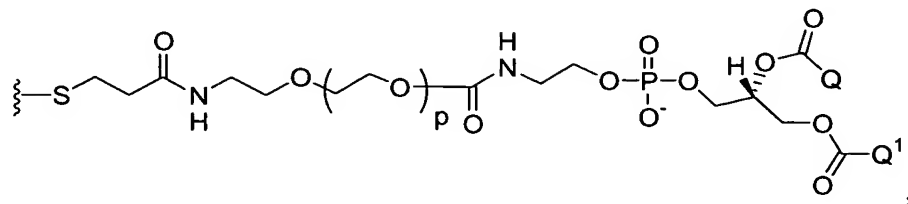
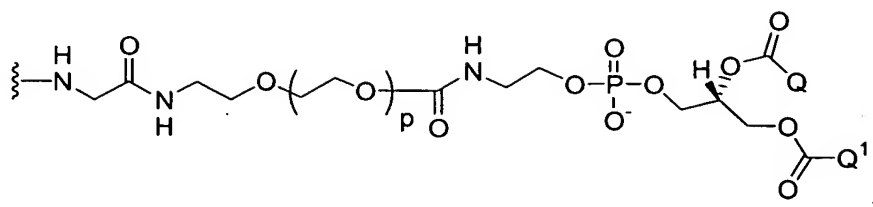
wherein when R<sub>11</sub> or R<sub>12</sub> terminates with a -C(=O)-, R<sub>13</sub> is selected from the group consisting of



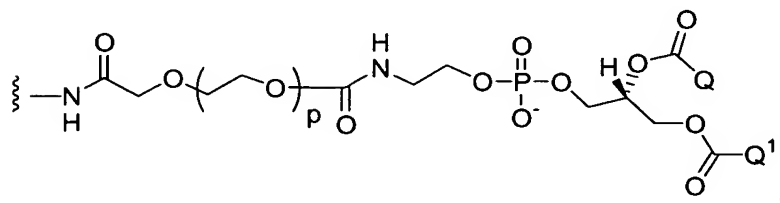
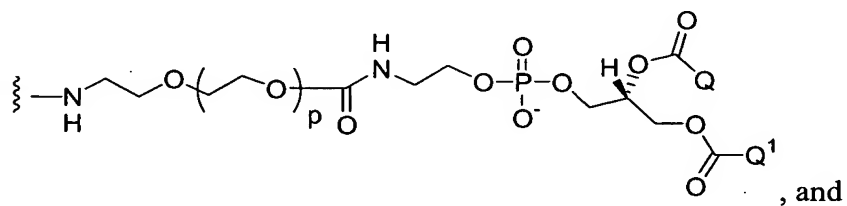
and when R<sub>11</sub> or R<sub>12</sub> does not terminate with a -C(=O)-, R<sub>13</sub> is selected from the group consisting of







5



said  $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_p-$  or  $-\text{O}-\left(\text{CH}_2\text{CH}_2\text{O}\right)_p-$  of  $\text{R}_{12}$  and  $\text{R}_{13}$  is a polyethylene glycol (PEG) polymer ranging in molecular weight from 750 to 5000 daltons;

$r$  is an integer from 0 to 8;

$Q$  and  $Q^1$  of substituents  $\text{R}_{12}$  and  $\text{R}_{13}$  are the same within a given compound and are selected from the group consisting of the  $\text{C}_{11}$  saturated chain of lauric acid, the  $\text{C}_{15}$  saturated chain of palmitic acid, the  $\text{C}_{17}$  saturated chain of stearic acid, the  $\text{C}_{17}$  mono-unsaturated chain of oleic acid, and the  $\text{C}_{17}$  di-unsaturated chain of linoleic acid;

$Z$  is selected from the group consisting of hydroxy,  $-\text{NH}_2$ ,  $-\text{NH}-\text{C}_{1-8}\text{alkyl}$ ,  $-\text{N}(\text{C}_{1-8}\text{alkyl})_2$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{OH}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}_{1-4}\text{alkoxy}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{carbonyl}-\text{C}_{1-4}\text{alkyl}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{CO}_2\text{H}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}(\text{O})-\text{O}-\text{C}_{1-6}\text{alkyl}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{O}-\text{C}(\text{O})-\text{C}_{1-8}\text{alkyl}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{NH}_2$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{NH}-\text{C}_{1-8}\text{alkyl}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{N}(\text{C}_{1-8}\text{alkyl})_2$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{amide}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}(\text{O})-\text{NH}-\text{C}_{1-8}\text{alkyl}$ ,  $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}(\text{O})-\text{N}(\text{C}_{1-8}\text{alkyl})_2$  and  $-\text{NHC}(\text{O})-\text{C}_{1-8}\text{alkyl}$ .

85. The liposome of claim 79 wherein

$W$  is preferably  $-\text{C}_{0-4}\text{alkyl}(\text{R}_1)$  or  $-\text{C}_{0-4}\text{alkyl}-\text{phenyl}(\text{R}_1, \text{R}_8)$ ;

$\text{R}_1$  is  $-\text{N}(\text{R}_4)(\text{R}_6)$ ,  $-\text{tetrahydropyrimidinyl}(\text{R}_8)$  or  $-\text{tetrahydro-1,8-naphthyridinyl}(\text{R}_8)$ ;

$\text{R}_{1a}$  is  $-\text{C}(\text{R}_4)(=\text{N}-\text{R}_4)$ ,  $-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)_2$ ,  $-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)(\text{R}_6)$ ,  $-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)-\text{C}(=\text{O})-\text{R}_4$ ,  $-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)-\text{C}(=\text{O})-\text{N}(\text{R}_4)_2$ ,  $-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)-\text{CO}_2-\text{R}_4$ ,  $-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)-\text{SO}_2-\text{C}_{1-4}\text{alkyl}(\text{R}_7)$  or

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$-C(=N-R_4)-N(R_4)-SO_2-N(R_4)_2$ ;

$R_4$  is hydrogen;

5  $R_5$  is  $-C(=O)-R_4$ ,  $-C(=O)-N(R_4)_2$ ,  $-CO_2-R_4$ ,  $-C(R_4)(=N-R_4)$ ,  $-C(=N-R_4)-N(R_4)_2$ ,  
 $-C(=N-R_4)-N(R_4)(R_6)$ ,  $-N(R_4)-C(R_4)(=N-R_4)$ ,  $-N(R_4)-C(=N-R_4)-N(R_4)_2$ ,  
 $-N(R_4)-C(=N-R_4)-N(R_4)(R_6)$ ,  $-SO_2-C_{1-4}alkyl(R_7)$  or  $-SO_2-N(R_4)_2$ ;

10  $R_6$  is  $-dihydroimidazolyl(R_8)$ ,  $-tetrahydropyridinyl(R_8)$ ,  
 $-tetrahydropyrimidinyl(R_8)$  or  $-pyridinyl(R_8)$ ;

$R_7$  is hydrogen;

15  $R_8$  is one to four substituents independently selected from hydrogen or  
 $-C_{1-4}alkyl(R_9)$  when attached to a nitrogen atom; and, wherein  $R_8$  is one to four  
substituents independently selected from hydrogen,  $-C_{1-4}alkyl(R_9)$ ,  
 $-C_{1-4}alkoxy(R_9)$   $-O-aryl(R_{10})$  or hydroxy when attached to a carbon atom;

20  $R_9$  is hydrogen,  $-C_{1-4}alkoxy$ ,  $-NH_2$ ,  $-NH-C_{1-4}alkyl$ ,  $-N(C_{1-4}alkyl)_2$ ,  $(halo)_{1-3}$  or  
hydroxy;

$R_{10}$  is hydrogen;

25  $R_{2a}$  is  $-tetrahydropyrimidinyl(R_8)(R_{12})$ ,  $-1,3-benzodioxolyl(R_8)(R_{12})$ ,  
 $-dihydrobenzofuranyl(R_8)(R_{12})$ ,  $-tetrahydroquinolinyl(R_8)(R_{12})$ ,  
 $-phenyl(R_8)(R_{12})$ ,  $-naphthalenyl(R_8)(R_{12})$ ,  $-pyridinyl(R_8)(R_{12})$ ,  
 $-pyrimidinyl(R_8)(R_{12})$  or  $-quinolinyl(R_8)(R_{12})$ ;

30  $q$  is 1 or 2;

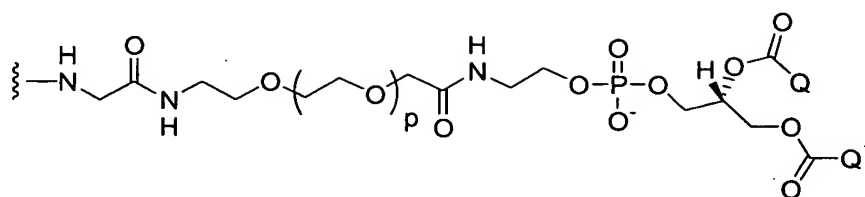
$R_{12}$  is selected from the group consisting of  
 $-CH_2-O-(CH_2)_4(R_{13})-$ ,

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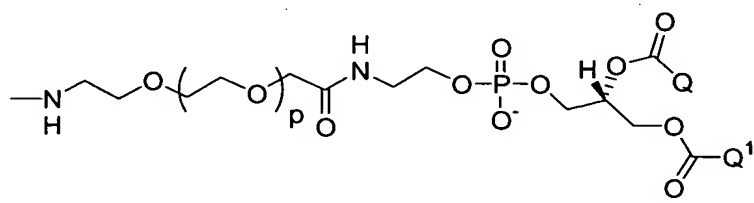
- CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>4</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>4</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>-O-(CH<sub>2</sub>)<sub>6</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>6</sub>(R<sub>13</sub>)-,
- 5        -CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>6</sub>(R<sub>13</sub>)-,
- NH-C(=O)-(CH<sub>2</sub>)<sub>4</sub>(R<sub>13</sub>)-,
- NH-C(=O)-(CH<sub>2</sub>)<sub>7</sub>(R<sub>13</sub>)-,
- NH-C(=O)NH-(CH<sub>2</sub>)<sub>3</sub>(R<sub>13</sub>)-,
- NH-C(=O)NH-(CH<sub>2</sub>)<sub>6</sub>(R<sub>13</sub>)-,
- 10       -CH<sub>2</sub>NH-C(=O)NH-(CH<sub>2</sub>)<sub>2</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>NH-C(=O)NH-(CH<sub>2</sub>)<sub>5</sub>(R<sub>13</sub>)-,
- NHC(=O)-(CH<sub>2</sub>)<sub>2</sub>-C(=O)(R<sub>13</sub>)-,
- NHC(=O)-(CH<sub>2</sub>)<sub>3</sub>-C(=O)(R<sub>13</sub>)-,
- NHC(=O)-(CH<sub>2</sub>)<sub>4</sub>-C(=O)(R<sub>13</sub>)-,
- 15       -OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- NHCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- NHCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)(R<sub>13</sub>)-,
- 20       -OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)(R<sub>13</sub>)-,
- NHC(=O)CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- NHC(=O)CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- 25       -CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>NHC(=O)CH<sub>2</sub>OCH<sub>2</sub>C(=O)(R<sub>13</sub>)-, and
- 30       -NHC(=O)CH<sub>2</sub>OCH<sub>2</sub>C(=O)(R<sub>13</sub>)-;

wherein when R<sub>11</sub> or R<sub>12</sub> terminates with a -C(=O)-, R<sub>13</sub> is selected from the

group consisting of

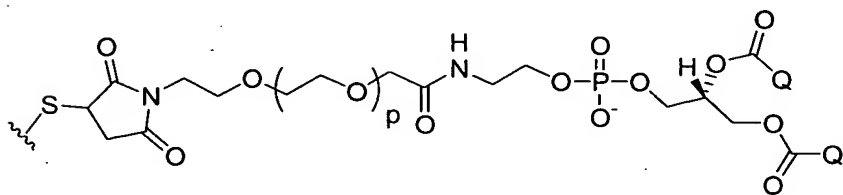


and

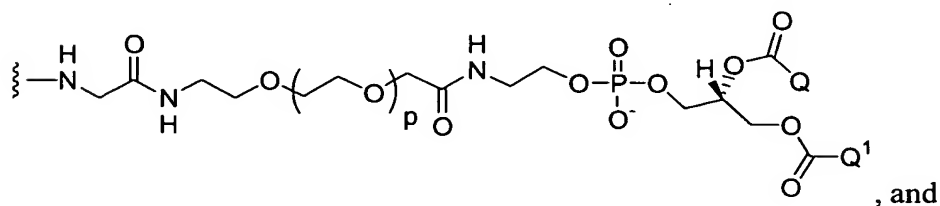
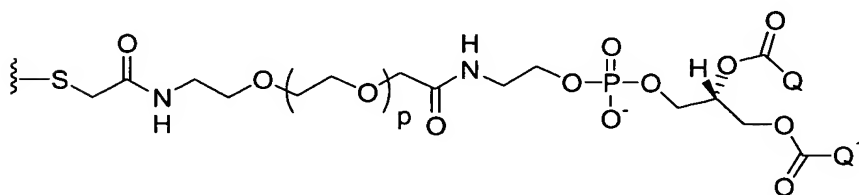
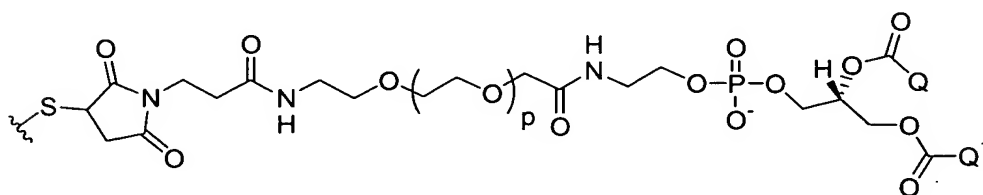


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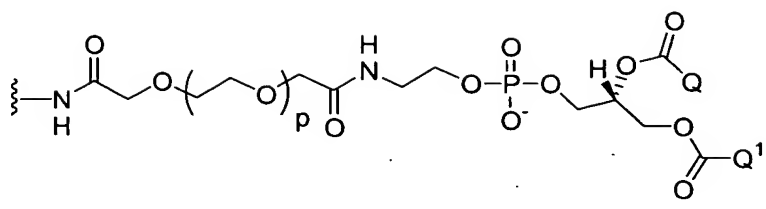
and when  $R_{11}$  or  $R_{12}$  does not terminate with a  $-C(=O)-$ ,  $R_{13}$  is selected from the group consisting of



10



, and



wherein said  $-O-(CH_2CH_2O)_p-$  or  $-O-(CH_2CH_2O)_p-$  of  $R_{12}$  and  $R_{13}$  is a polyethylene glycol (PEG) polymer selected from 2000 (PEG 2000), 3400 (PEG 3400), or 5000 (PEG 5000) Daltons;

$r$  is an integer from 0 to 8;

$Q$  and  $Q^1$  of substituents  $R_{12}$  and  $R_{13}$  are the same within a given compound and is the  $C_{17}$  saturated chain of stearic acid;

$Z$  is selected from the group consisting of hydroxy,  $-NH_2$ ,  $-NH-C_{1-8}alkyl$ ,  $-N(C_{1-8}alkyl)_2$ ,  $-O-C_{1-8}alkyl$ ,  $-O-C_{1-8}alkyl-OH$ ,  $-O-C_{1-8}alkylC_{1-4}alkoxy$ ,  $-O-C_{1-8}alkylcarbonylC_{1-4}alkyl$ ,  $-O-C_{1-8}alkyl-CO_2H$ ,  $-O-C_{1-8}alkyl-C(O)O-C_{1-6}alkyl$ ,  $-O-C_{1-8}alkyl-O-C(O)C_{1-8}alkyl$ ,  $-O-C_{1-8}alkyl-NH_2$ ,  $-O-C_{1-8}alkyl-NH-C_{1-8}alkyl$ ,  $-O-C_{1-8}alkyl-N(C_{1-8}alkyl)_2$ ,  $-O-C_{1-8}alkylamide$ ,  $-O-C_{1-8}alkyl-C(O)-NH-C_{1-8}alkyl$ ,  $-O-C_{1-8}alkyl-C(O)-N(C_{1-8}alkyl)_2$  and  $-NHC(O)C_{1-8}alkyl$ .

86. The therapeutic liposome composition of claim 78 wherein the therapeutic agent is selected from the group consisting of steroids, immunosuppressants, antihistamines, non-steroidal anti-asthamtics, non-steroidal anti-inflammatory agents, cyclooxygenase-2 inhibitors, cytotoxic agents, gene therapy agents, radiotherapy agents, and imaging agents.

87. The therapeutic liposome composition of claim 78 wherein the therapeutic agent is a cytotoxic drug.



88. The therapeutic liposome composition of claim 87 wherein the cytotoxic drug is selected from the group consisting of anthracycline antibiotics, platinum compounds, topoisomerase 1 inhibitors, and vinca alkaloids.

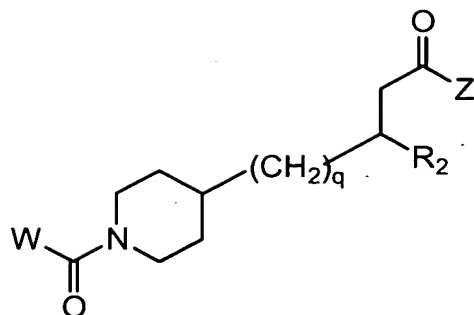
5 89. The therapeutic liposome composition of claim 87 wherein the cytotoxic agent is selected from the group consisting of doxorubicin, daunorubicin, epirubicin, idarubicin, cisplatin, carboplatin, ormaplatin, oxaliplatin, zeniplatin, enloplatin, lobaplatin, spiroplatin, ((-)-(R)-2-aminomethylpyrrolidine (1,1-cyclobutane dicarboxylato)platinum), (SP-4-3(R)-1,1-cyclobutane-dicarboxylato(2-)-(2-  
10 methyl-1,4-butanediamine-N,N')platinum), nedaplatin, (bis-acetato-ammine-dichloro-cyclohexylamine-platinum(IV), topotecan, irinotecan, (7-(4-methylpiperazino-methylene)-10,11-ethylenedioxy-20(S)-camptothecin), 7-(2-(N-isopropylamino)ethyl)-(20S)-camptothecin, 9-aminocamptothecin, 9-nitrocamptothecin, vincristine, vinblastine, vinleurosine, vinrodine,  
15 vinorelbine, and vindesine.

90. The therapeutic liposome composition of claim 87 wherein the cytotoxic agent is selected from the group consisting of doxorubicin, daunorubicin, epirubicin, idarubicin, cisplatin, including salts.

20 ABSTRACT OF THE INVENTION

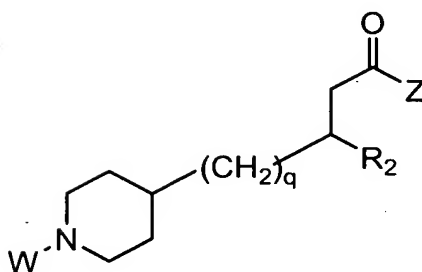
ABSTRACT

25 The present invention relates to the synthesis and biological application of piperidinoyl carboxylic acid integrin antagonists affinity moiety of Formula (I):



Formula (I)

and Formula (II):



Formula (II)

- 5 These affinity moieties may be used with imaging agents or liposomes to target cells that express the  $\alpha_v\beta_3$ ,  $\alpha_v\beta_5$ , or  $\alpha_v\beta_6$  integrin receptors.